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June 23 2005

Case Serial Number: 10/674350

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Location: Remsen Building

Phone: (571) 272-2529

sheppard@uspto.gov

Search Notes

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FILE 'HCAPLUS' ENTERED AT 10:08:24 ON 23 JUN 2005

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FILE COVERS 1907 - 23 Jun 2005 VOL 142 ISS 26

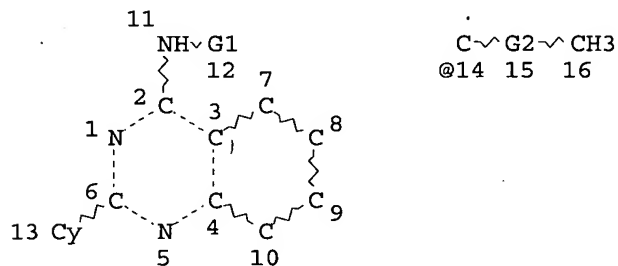
FILE LAST UPDATED: 22 Jun 2005 (20050622/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> => d stat que l24

L1 STR



VAR G1=14/ME/CB

REP G2=(0-6) C

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

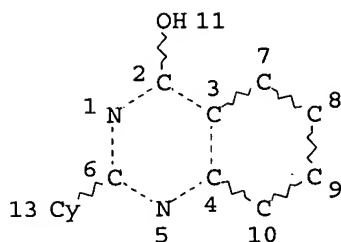
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NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE

L13 1834 SEA FILE=REGISTRY SSS FUL L1

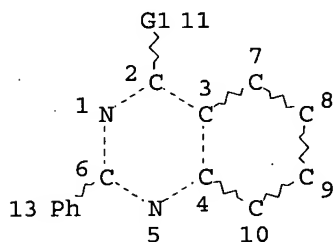
L14 STR



NODE ATTRIBUTES:
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE
 L15 3071 SEA FILE=REGISTRY SSS FUL L14
 L16 STR



VAR G1=N/OH
 NODE ATTRIBUTES:
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE
 L17 1540 SEA FILE=REGISTRY SUB=L13 SSS FUL L1 NOT L16
 L18 2954 SEA FILE=REGISTRY SUB=L15 SSS FUL L14 NOT L16
 L20 668 SEA FILE=HCAPLUS ABB=ON PLU=ON L18
 L22 88 SEA FILE=HCAPLUS ABB=ON PLU=ON L17/P
 L23 38 SEA FILE=HCAPLUS ABB=ON PLU=ON L20 AND L22
 L24 26 SEA FILE=HCAPLUS ABB=ON PLU=ON L23 AND PD=<FEBRUARY 5, 1999

=>
 =>

=> d ibib abs hitstr l24 1-26

L24 ANSWER 1 OF 26 HCAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1999:653031 HCAPLUS
 DOCUMENT NUMBER: 132:64231

TITLE: Structure-activity relationships of novel
2-substituted quinazoline antibacterial agents

AUTHOR(S): Kung, Pei-Pei; Casper, Martin D.; Cook, Kimberley L.;
Wilson-Lingardo, Laura; Risen, Lisa M.; Vickers,
Timothy A.; Ranken, Ray; Blyn, Lawrence B.; Wyatt,
Jacqueline R.; Cook, P. Dan; Ecker, David J.

CORPORATE SOURCE: Ibis Therapeutics a Division of Isis Pharmaceuticals
and Medicinal Chemistry, Isis Pharmaceuticals,
Carlsbad, CA, 92008, USA

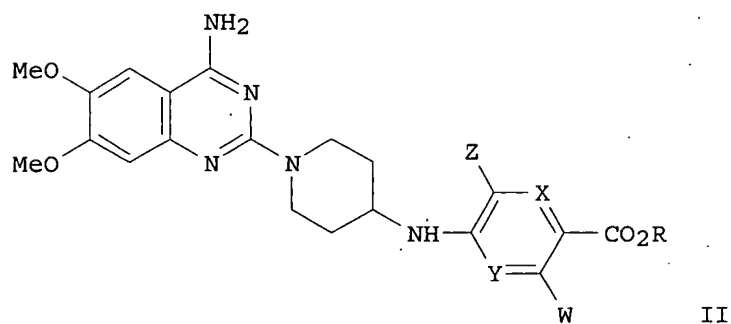
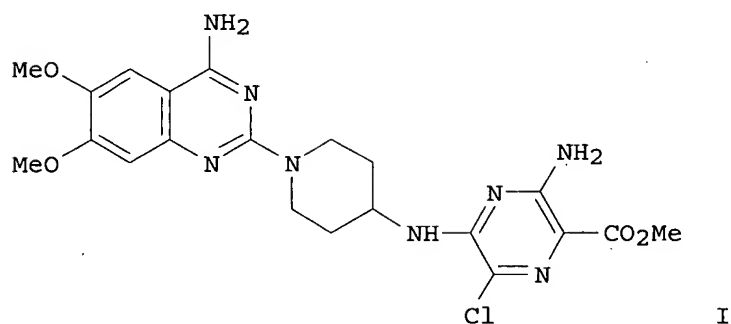
SOURCE: Journal of Medicinal Chemistry (1999),
42(22), 4705-4713
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB High-throughput screening of inhouse compound libraries led to the discovery of a novel antibacterial agent, pyrazinyl quinazoline compound I (MIC: 12-25 μ M against *S. pyogenes*). In an effort to improve the activity of this active compound, a series of 2-substituted quinazolines, e.g., II (X, Y = N, CH, Z = Cl, H, NO₂, W = NH₂, H, R = Me, CMe₃, H) was synthesized and evaluated in several antibacterial assays. One such compound, I (X = Y = CH, Z = W = R = H) (III) displayed improved broad-spectrum antibacterial activity against a variety of bacterial strains. This mol. also inhibited transcription/translation of bacterial RNA, suggesting a mechanism for its antibiotic effects. Structure-activity relationship studies of III led to the synthesis of another 24 compds. Although some of these mols. were found to be active in bacterial growth assays, none were as potent as III. Compound III was tested for its ability to cure a systemic *K. pneumonia* infection in the mouse and displayed moderate effects compared with a

control antibiotic, gentamycin.

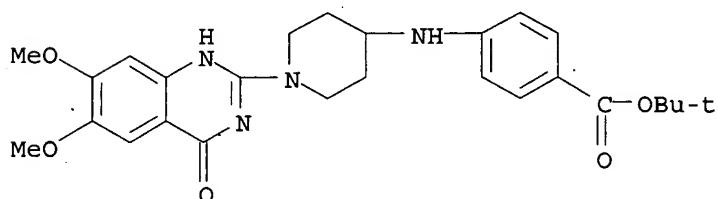
IT 253192-01-7P 253192-02-8P 253192-16-4P
253192-17-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation, antibacterial activity, and structure-activity relationship of quinazolines)

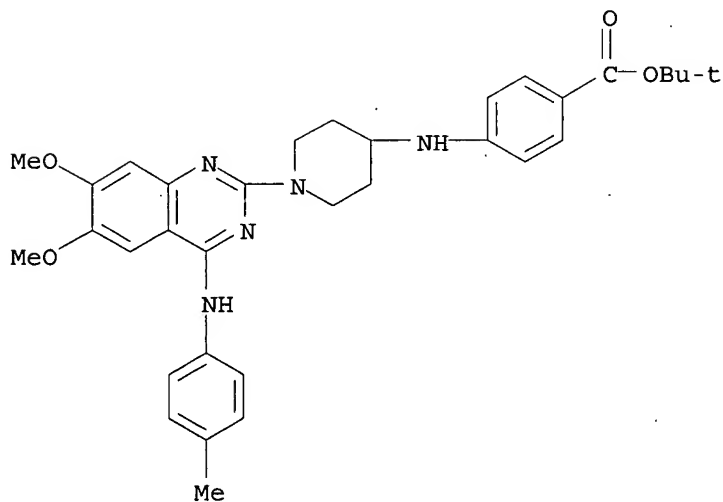
RN 253192-01-7 HCAPLUS

CN Benzoic acid, 4-[[1-(1,4-dihydro-6,7-dimethoxy-4-oxo-2-quinazolinyl)-4-piperidinyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



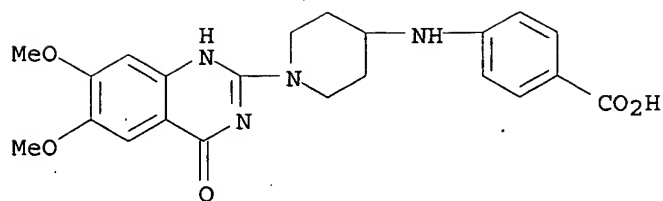
RN 253192-02-8 HCAPLUS

CN Benzoic acid, 4-[[1-[6,7-dimethoxy-4-[(4-methylphenyl)amino]-2-quinazolinyl]-4-piperidinyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



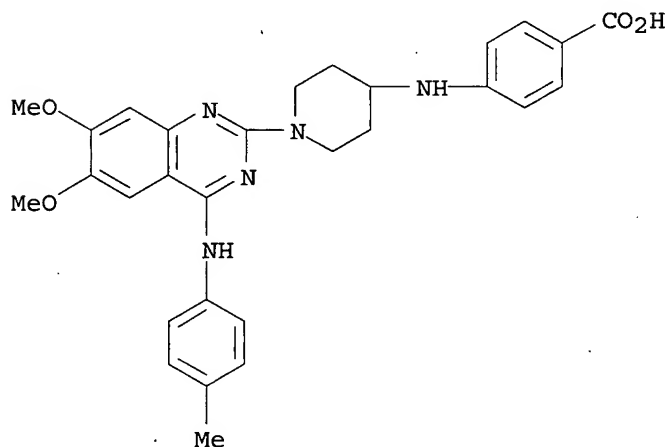
RN 253192-16-4 HCAPLUS

CN Benzoic acid, 4-[[1-(1,4-dihydro-6,7-dimethoxy-4-oxo-2-quinazolinyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



RN 253192-17-5 HCAPLUS

CN Benzoic acid, 4-[[1-[6,7-dimethoxy-4-[(4-methylphenyl)amino]-2-quinazolinyl]-4-piperidiny]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 2 OF 26 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:603674 HCAPLUS

DOCUMENT NUMBER: 129:325734

TITLE: A Novel Class of Adenosine A3 Receptor Ligands. 2. Structure Affinity Profile of a Series of Isoquinoline and Quinazoline Compounds

AUTHOR(S): Van Muijlwijk-Koezen, Jacqueline E.; Timmerman, Henk; Link, Regina; Van der Goot, Henk; IJzerman, Adriaan P.

CORPORATE SOURCE: Division of Medicinal Chemistry Leiden/Amsterdam Center for Drug Research Department of Pharmacochimistry, Vrije Universiteit, Amsterdam, 1081 HV, Neth.

SOURCE: Journal of Medicinal Chemistry (1998), 41(21), 3994-4000

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB 1-Substituted 3-(2-pyridinyl)isoquinolines have been shown to form a novel class of adenosine A3 receptor ligands. In the present study further investigations of this new lead and the structure affinity relationships of this class of compds. are described. First, the influence of an amide group at position 1 of the isoquinoline ring on the adenosine A3 receptor affinity was determined. A carboxamide proved to be a useful spacer between the

isoquinoline and a Ph ring. N-[2-(2-pyridinyl)isoquinolin-4-yl]benzamide (VUF8507) had an affinity of 200 nM at the adenosine A3 receptor. Second, we investigated the effects of substitution of the benzamide ring of VUF8507 with a series of mono- and disubstituted N-[3-(2-pyridinyl)isoquinoline]benzamides. The ratio of the tautomers of the benzamides was determined in the solid state and in solution by spectroscopic techniques (IR and NMR). Affinities were determined in radioligand binding assays at rat brain A1 and A2A receptors and at cloned human A3 receptor. The benzamides showed higher adenosine A3 receptor affinity than aliphatic amides. We propose that the adenosine A3 receptor affinity of the different benzamides is related to their presence in either the iminol or amide form. Ligands present in the iminol form showed relatively high adenosine A3 receptor affinity. Finally, we explored the influence of replacement of C4 of the isoquinoline ring by a nitrogen atom. Comparison of isoquinolines with the corresponding quinazolines revealed that both compds. showed similar adenosine A3 receptor affinity. These investigations led to potent and selective human adenosine A3 receptor ligands with affinities in the nanomolar range. The subtype-selective compound 4-methoxy-N-[2-(2-pyridinyl)quinazolin-4-yl]benzamide (VUF8504) with an affinity of 17.0 nM at the human adenosine A3 receptor might become a useful tool in the pharmacol. characterization or the investigation of the physiol. function of this receptor.

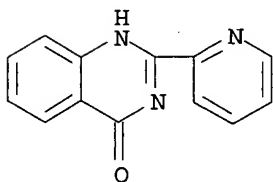
IT 28594-60-7P 91748-43-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PNU (Preparation, unclassified); PRP (Properties); BIOL (Biological study); PREP (Preparation)

(structure of isoquinoline and quinazoline compds. as adenosine A3 receptor ligands)

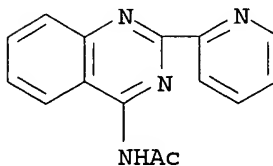
RN 28594-60-7 HCAPLUS

CN 4(1H)-Quinazolinone, 2-(2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 91748-43-5 HCAPLUS

CN Acetamide, N-[2-(2-pyridinyl)-4-quinazolinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

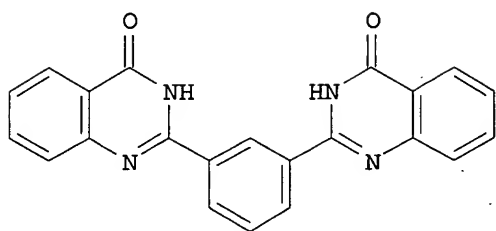
L24 ANSWER 3 OF 26 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:218276 HCAPLUS

DOCUMENT NUMBER: 126:317357

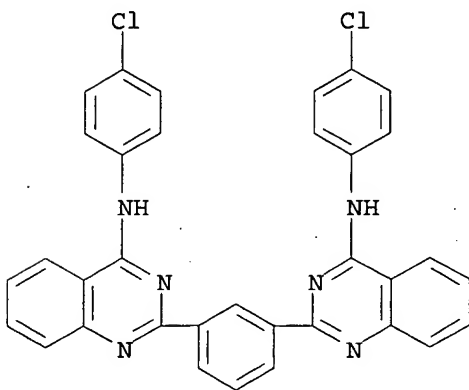
TITLE: Synthesis and antimicrobial activity of some

AUTHOR(S): bis(quinazoline) derivatives
Shiba, S. A.; El-Khamry, A. A.; Shaban, M. E.; Atia, K. S.
CORPORATE SOURCE: Faculty Science, Ain Shams University, Cairo, Egypt
SOURCE: Pharmazie (1997), 52(3), 189-194
CODEN: PHARAT; ISSN: 0031-7144
PUBLISHER: Govi-Verlag Pharmazeutischer Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Bis[quinazolin-4-on-2-yl]-1,3-phenylene (I) and its 3-N-substituted derivs. were prepared from the corresponding bis[3,1-benzoxazin-4-on-2-yl]-1,3-phenylene as precursor. Quinazolinone I was converted into several derivs. such as bis[quinazolin-4-thioxo-2-yl]-, bis[4-chloroquinazolin-2-yl]-, and bis[4-hydrazinoquinazolin-2-yl]-1,3-phenylene. Some of the prepared compds. show activity against Gram-pos. and Gram-neg. bacteria and yeasts.
IT 189294-38-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antimicrobial activity of bis-quinazolines)
RN 189294-38-0 HCAPLUS
CN 4-Quinazolinamine, 2,2'-(1,3-phenylene)bis[N-(4-chlorophenyl)- (9CI) (CA INDEX NAME)



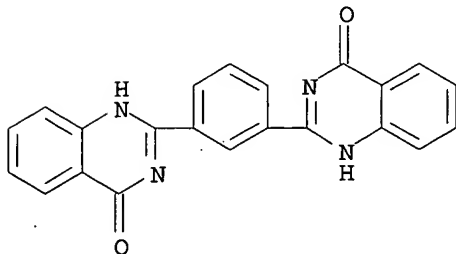
IT 15445-46-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation and antimicrobial activity of bis-quinazolines)

RN 15445-46-2 HCAPLUS

CN 4(1H)-Quinazolinone, 2,2'-(1,3-phenylene)bis- (9CI) (CA INDEX NAME)



L24 ANSWER 4 OF 26 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:401560 HCAPLUS

DOCUMENT NUMBER: 125:58535

TITLE: Preparation of pyrimidine derivatives as gastric secretion inhibitors

INVENTOR(S): Lee, Jong Wook; Chae, Jeong Seok; Kim, Chang Seop; Kim, Jae Kyu; Lim, Dae Sung; Shon, Moon Kyu; Choi, Yeon Shik; Lee, Sang Ho

PATENT ASSIGNEE(S): Yuhan Corporation, S. Korea

SOURCE: PCT Int. Appl., 93 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

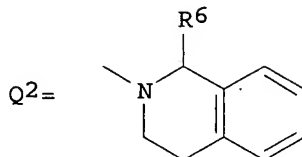
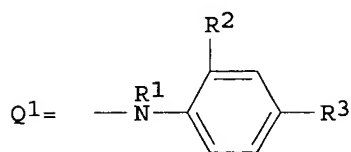
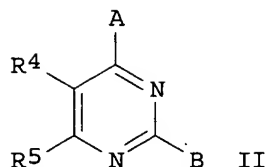
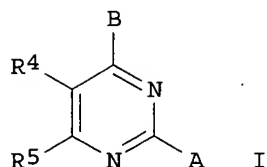
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9605177	A1	19960222	WO 1995-KR105	19950810 <--
W: AU, CA, CN, JP, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
KR 157075	B1	19981116	KR 1994-19997	19940813 <--
KR 157076	B1	19981116	KR 1994-19998	19940813 <--
CA 2197298	AA	19960222	CA 1995-2197298	19950810 <--
CA 2197298	C	19991019		
AU 9531225	A1	19960307	AU 1995-31225	19950810 <--
AU 688087	B2	19980305		
EP 775120	A1	19970528	EP 1995-927092	19950810 <--
EP 775120	B1	20030604		
R: CH, DE, ES, FR, GB, IT, LI, SE				
CN 1155281	A	19970723	CN 1995-194599	19950810 <--
CN 1102144	B	20030226		
JP 09509188	T2	19970916	JP 1995-507208	19950810 <--
JP 2896532	B2	19990531		
RU 2129549	C1	19990427	RU 1997-104208	19950810
ES 2201112	T3	20040316	ES 1995-927092	19950810
US 5750531	A	19980512	US 1997-776220	19970123 <--
HK 1001618	A1	20030822	HK 1998-100535	19980121
PRIORITY APPLN. INFO.:			KR 1994-19997	A 19940813
			KR 1994-19998	A 19940813
			WO 1995-KR105	W 19950810

OTHER SOURCE(S): MARPAT 125:58535

GI



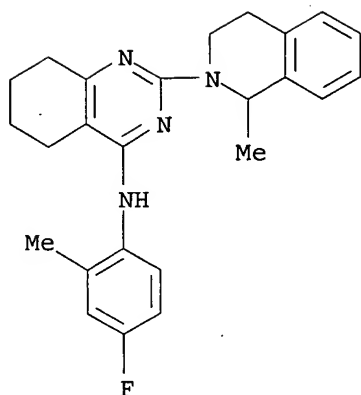
AB The title compds. I and II [R4 and R5, which may be the same or different, are independently hydrogen or a C1-C3 alkyl group, or jointly form a cyclopentyl or cyclohexyl ring; A is Q1 wherein R1 and R2 are, independently of each other, hydrogen or a C1-C3 alkyl group, and R3 is hydrogen, a C1-C3 alkyl group or a halogen; and B is Q2, etc.; R6 is hydrogen or a C1-C3 alkyl group] are prepared 2-(2-Methyl-4-fluorophenylamino)-4-(1-methyl-1,2,3,4-tetrahydroisoquinolin-2-yl)pyrimidine hydrochloride (preparation given) in vitro showed IC50 of 5.4 μ M against H+/K+ ATPase, vs. 5.8 μ M for omeprazole. The inhibition of enzyme activity by compds. of this invention is reversible.

IT 178308-06-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidine derivs. as gastric secretion inhibitors)

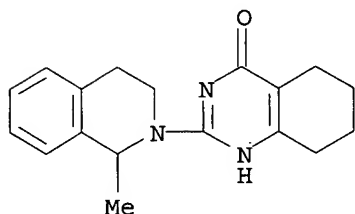
RN 178308-06-0 HCAPLUS

CN 4-Quinazolinamine, 2-(3,4-dihydro-1-methyl-2(1H)-isoquinolinyl)-N-(4-fluoro-2-methylphenyl)-5,6,7,8-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

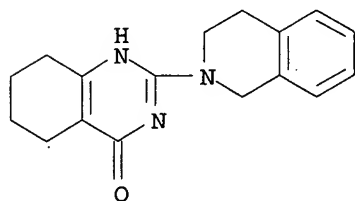


● HCl

IT 178308-52-6P 178308-54-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of pyrimidine derivs. as gastric secretion inhibitors)
 RN 178308-52-6 HCAPLUS
 CN 4(1H)-Quinazolinone, 2-(3,4-dihydro-1-methyl-2(1H)-isoquinolinyl)-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



RN 178308-54-8 HCAPLUS
 CN 4(1H)-Quinazolinone, 2-(3,4-dihydro-2(1H)-isoquinolinyl)-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



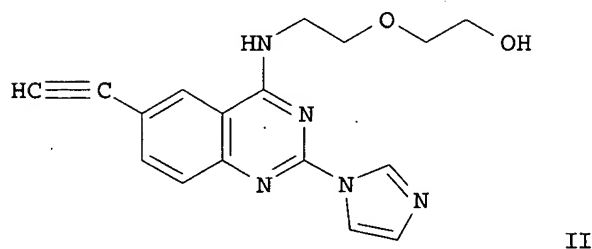
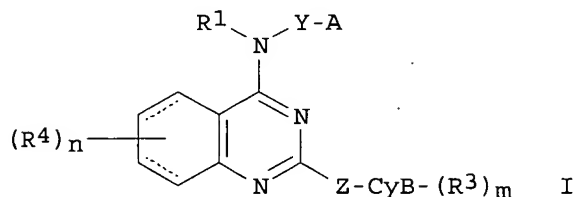
L24 ANSWER 5 OF 26 HCAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1995:795361 HCAPLUS
 DOCUMENT NUMBER: 124:29779
 TITLE: 4-Aminoquinazoline derivatives as inhibitors of cGMP phosphodiesterase and TXA2 synthetase

INVENTOR(S): Lee, Sung J.; Konishi, Yoshitaka; Macina, Orest T.;
Kondo, Kigen; Yu, Dingwei T.
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: U.S., 42 pp. Cont.-in-part of U.S. Ser. No. 76,431,
abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5439895	A	19950808	US 1993-154691	19931119 <--
JP 06192235	A2	19940712	JP 1993-197039	19930714 <--
CA 2100626	AA	19940116	CA 1993-2100626	19930715 <--
KR 191416	B1	19990615	KR 1993-13549	19930715
AT 208771	E	20011115	AT 1993-305557	19930715
ES 2167325	T3	20020516	ES 1993-305557	19930715
PT 579496	T	20020531	PT 1993-305557	19930715
JP 08099962	A2	19960416	JP 1995-264667	19950920 <--
JP 2923742	B2	19990726		

PRIORITY APPLN. INFO.: US 1992-913473 B2 19920715
US 1993-76431 B2 19930614

OTHER SOURCE(S): MARPAT 124:29779
GI



AB The compds. of the formula I and acid addition salts thereof, salts thereof, and hydrates thereof wherein R1 is hydrogen or C1-4 alkyl; Y is C1-6 alkylene; A is OR0 or S(O)pR0, in which R0 is C1-4 alkyl-hydroxy; p is 0-2; Z is single bond, methylene, ethylene, vinylene or ethynylene; CyB is (1) 7-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one, two or three nitrogen atoms, (2) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, two or

three nitrogen atoms, (3) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atom, one nitrogen atom, (4) 4- or 5-membered, unsatd. or partially saturated, monocyclic hetero ring containing

as

hetero atoms, one, two or three nitrogen atoms, or (5) 4-7 membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero

atoms,

one or two oxygen atoms, or one or two sulfur atoms; R3 = e.g., H, C1-4 alkyl, C1-4 alkoxy; R4 = e.g., H, C1-4 alkyl, C1-4 alkoxy; and m and n independently are 1 or 2; with the proviso that (1) a CyB ring does not bond to Z through a nitrogen atom in the CyB ring when Z is vinylene or ethynylene, have inhibitory effect on cGMP-PDE, and addnl. on TXA2 synthetase. Thus, e.g., 2-(1-imidazolyl)-4-[2-(2-hydroxyethoxy)ethyl]amino-6-ethynylquinazoline.2HCl (II.2HCl) (prepared by desilylation of a silylacetylene precursor) exhibited inhibitory effect on cGMP-PDE and TXA2 synthetase with IC50 = 4.6 + 10-8 M and 1.33 + 10-6 M, resp. Pharmaceutical formulations were given.

IT 157862-81-2P 157862-82-3P 157862-99-2P

157863-02-0P 157863-04-2P 157863-22-4P

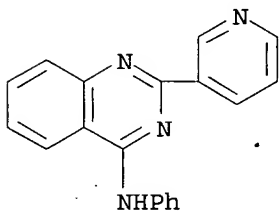
157863-90-6P 157863-91-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(4-aminoquinazoline derivs. as inhibitors of cGMP phosphodiesterase and TXA2 synthetase)

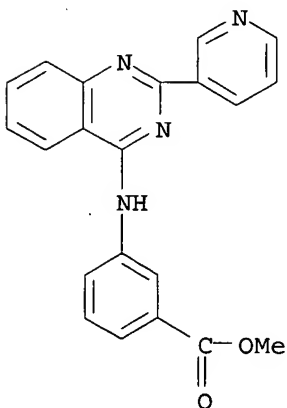
RN 157862-81-2 HCAPLUS

CN 4-Quinazolinamine, N-phenyl-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

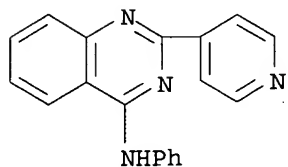


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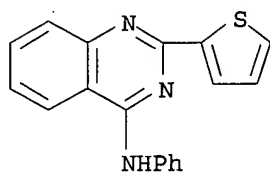
CN Benzoic acid, 3-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-, methyl ester (9CI) (CA INDEX NAME)



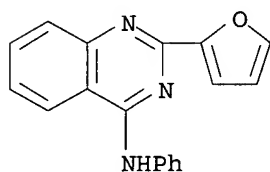
RN 157862-99-2 HCAPLUS
 CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)



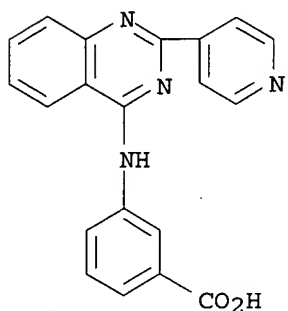
RN 157863-02-0 HCAPLUS
 CN 4-Quinazolinamine, N-phenyl-2-(2-thienyl)- (9CI) (CA INDEX NAME)



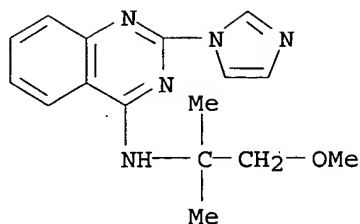
RN 157863-04-2 HCAPLUS
 CN 4-Quinazolinamine, 2-(2-furanyl)-N-phenyl- (9CI) (CA INDEX NAME)



RN 157863-22-4 HCAPLUS
 CN Benzoic acid, 3-[[2-(4-pyridinyl)-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)

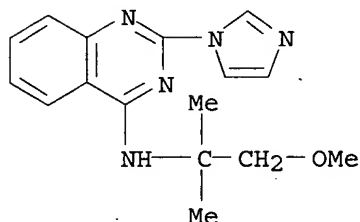


RN 157863-90-6 HCAPLUS
 CN 4-Quinazolinamine, 2-(1H-imidazol-1-yl)-N-(2-methoxy-1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



RN 157863-91-7 HCAPLUS

CN 4-Quinazolinamine, 2-(1H-imidazol-1-yl)-N-(2-methoxy-1,1-dimethylethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

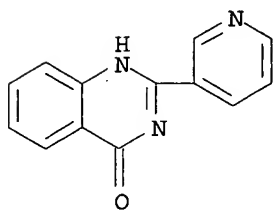
IT 50362-93-1P 157864-22-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(4-aminoquinazoline derivs. as inhibitors of cGMP phosphodiesterase and TXA2 synthetase)

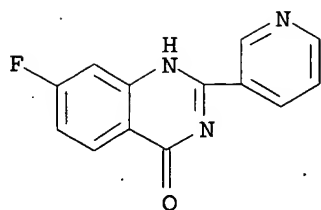
RN 50362-93-1 HCAPLUS

CN 4(1H)-Quinazolinone, 2-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 157864-22-7 HCAPLUS

CN 4(1H)-Quinazolinone, 7-fluoro-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

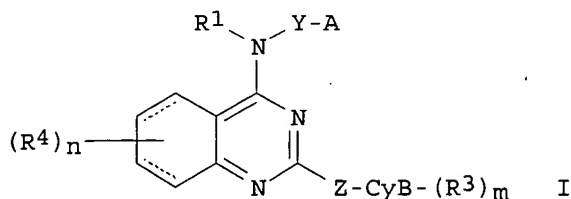


L24 ANSWER 6 OF 26 HCAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1995:761961 HCAPLUS
 DOCUMENT NUMBER: 123:340173
 TITLE: 4-Aminoquinazoline derivatives as inhibitors of cyclic guanosine 3',5'-monophosphate phosphodiesterase and thromboxane A2 synthetase
 INVENTOR(S): Lee, Sung J.; Konishi, Yoshitaka; Macina, Orest T.; Kondo, Kigen; Yu, Dingwei T.
 PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
 SOURCE: U.S., 44 pp. Cont.-in-part of U.S. Ser. No. 76,431, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5436233	A	19950725	US 1993-154518	19931119 <--
JP 06192235	A2	19940712	JP 1993-197039	19930714 <--
CA 2100626	AA	19940116	CA 1993-2100626	19930715 <--
KR 191416	B1	19990615	KR 1993-13549	19930715
AT 208771	E	20011115	AT 1993-305557	19930715
ES 2167325	T3	20020516	ES 1993-305557	19930715
PT 579496	T	20020531	PT 1993-305557	19930715
JP 08099962	A2	19960416	JP 1995-264667	19950920 <--
JP 2923742	B2	19990726		

PRIORITY APPLN. INFO.:
 US 1992-913473 B2 19920715
 US 1993-76431 B2 19930614

OTHER SOURCE(S): MARPAT 123:340173
 GI

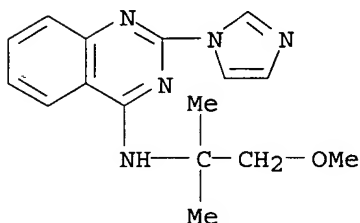


AB Title compds. I [R1 is H, C1-4 alkyl; Y is a single bond or C1-6 alkylene; A is (i) CyA-(R2)1, (ii) OR0 or S(O)pR0 in which R0 is ROA or ROB; ROA is CyA-(R2)1; ROB is H or C1-4 alkyl; p is 0-2; CyA is, e.g., (1) 3-7 membered, saturated or unsatd., monocyclic carbocyclic ring, (2) 7-membered,

unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms,
 one nitrogen atom, one nitrogen and one oxygen atoms, two nitrogen and one oxygen atoms, or one nitrogen and two oxygen atoms, (3) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms,
 one nitrogen and one oxygen atoms, two nitrogen and one oxygen atoms, or one nitrogen and two oxygen atoms; R2 is R2A or R2B; R2A is, e.g., CF3, OCF3; R2B is, e.g., H, C1-4 alkyl, C1-4 alkoxy; Z is ZA or ZB, ZA is methylene, ethylene, vinylene, ethynylene; ZB is a single bond; CyB is, e.g., (1) 7-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one, two or three nitrogen atoms, (2) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms,
 two or three nitrogen atoms, (3) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as a hetero atom, one nitrogen atom; R3 = e.g., H, C1-4 alkyl; R4 = e.g., NHSO2R11, R11 = e.g., C1-4 alkyl; l, m, n are independently 1 or 2 (with provisos)] are provided as inhibitors of cGMP-PDE and TXA2 synthetase. Thus, e.g., treatment of 2-(1-imidazolyl)-4-(2-methoxyethyl)amino-6-(2-triethylsilylethynyl)quinazoline (preparation given) with tetrabutylammonium fluoride afforded 6-ethynyl-4-(2-methoxyethyl)amino-2-(1-imidazolyl)quinazoline (II); II.2HCl demonstrated inhibition of cGMP-PDE with and TXA2 synthetase with IC50 = 4.6 + 10⁻⁸ and 2.4 + 10⁻⁶ M, resp. Pharmaceutical formulations were given.

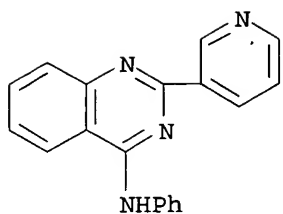
IT 157863-90-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (4-aminoquinazoline derivs. as inhibitors of cyclic guanosine 3',5'-monophosphate phosphodiesterase and thromboxane A2 synthetase)

RN 157863-90-6 HCAPLUS
 CN 4-Quinazolinamine, 2-(1H-imidazol-1-yl)-N-(2-methoxy-1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

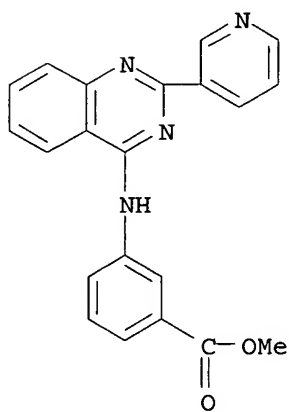


IT 157862-81-2P 157862-82-3P 157862-99-2P
 157863-02-0P 157863-04-2P 157863-22-4P
 157863-91-7P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (4-aminoquinazoline derivs. as inhibitors of cyclic guanosine 3',5'-monophosphate phosphodiesterase and thromboxane A2 synthetase)

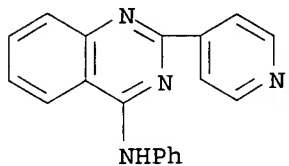
RN 157862-81-2 HCAPLUS
 CN 4-Quinazolinamine, N-phenyl-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)



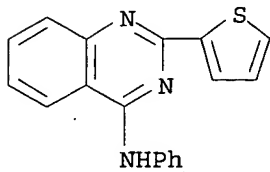
RN 157862-82-3 HCAPLUS
CN Benzoic acid, 3-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-, methyl ester
(9CI) (CA INDEX NAME)



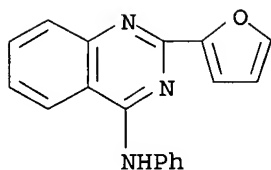
RN 157862-99-2 HCAPLUS
CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)



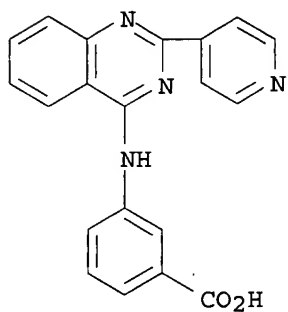
RN 157863-02-0 HCAPLUS
CN 4-Quinazolinamine, N-phenyl-2-(2-thienyl)- (9CI) (CA INDEX NAME)



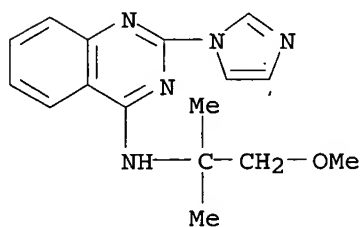
RN 157863-04-2 HCAPLUS
CN 4-Quinazolinamine, 2-(2-furanyl)-N-phenyl- (9CI) (CA INDEX NAME)



RN 157863-22-4 HCAPLUS
 CN Benzoic acid, 3-[[2-(4-pyridinyl)-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)

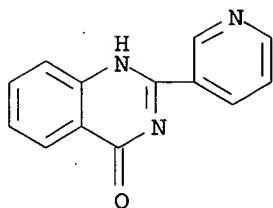


RN 157863-91-7 HCAPLUS
 CN 4-Quinazolinamine, 2-(1H-imidazol-1-yl)-N-(2-methoxy-1,1-dimethylethyl)-, dihydrochloride. (9CI) (CA INDEX NAME)

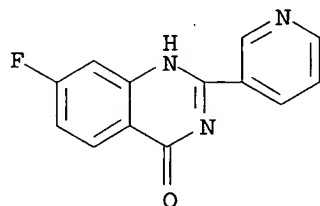


●2 HCl

IT 50362-93-1P, 2-(3-Pyridyl)quinazolin-4-one 157864-22-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (4-aminoquinazoline derivs. as inhibitors of cyclic guanosine 3',5'-monophosphate phosphodiesterase and thromboxane A2 synthetase)
 RN 50362-93-1 HCAPLUS
 CN 4(1H)-Quinazolinone, 2-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 157864-22-7 HCAPLUS
CN 4(1H)-Quinazolinone, 7-fluoro-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)



L24 ANSWER 7 OF 26 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:746792 HCAPLUS

DOCUMENT NUMBER: 123:132021

TITLE: Discovery of Potent Cyclic GMP Phosphodiesterase Inhibitors. 2-Pyridyl- and 2-Imidazolylquinazolines Possessing Cyclic GMP Phosphodiesterase and Thromboxane Synthesis Inhibitory Activities

AUTHOR(S): Lee, Sung J.; Konishi, Yoshitaka; Yu, Dingwei T.; Miskowski, Tamara A.; Riviello, Christopher M.; Macina, Orest T.; Frierson, Manton R.; Kondo, Kigen; Sugitani, Masafumi; et al.

CORPORATE SOURCE: Biofor Inc., Waverly, PA, 18471, USA
SOURCE: Journal of Medicinal Chemistry (1995), 38(18), 3547-57

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Moderate cyclic GMP phosphodiesterase (cGMP-PDE, PDE V) inhibitor 2-phenyl-4-anilinoquinazoline (I) was identified utilizing MultiCASE assisted drug design (MCADD) technol. Modification of I was conducted at the 2-, 4-, and 6-positions of the quinazoline ring for enhancement of cGMP-PDE inhibitory activity. The 6-substituted 2-(imidazol-1-yl)quinazolines are 1000 times more potent in in vitro PDE V enzyme assay than the well-known inhibitor zaprinast. The 6-substituted derivs. of 2-(3-pyridyl)quinazoline and 2-(imidazol-1-yl)quinazoline exhibited more than 1000-fold selectivity for PDE V over the other four PDE isoenzymes. In addition, 3 cGMP-PDE inhibitors were found to have an addnl. property of thromboxane synthesis inhibitory activity.

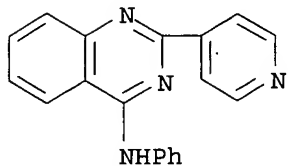
IT 157862-99-2P 157863-02-0P 157863-04-2P
166039-45-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(pyridyl- and imidazolylquinazolines as cyclic GMP phosphodiesterase and thromboxane synthesis inhibitors)

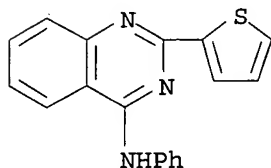
RN 157862-99-2 HCAPLUS

CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)



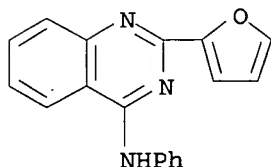
RN 157863-02-0 HCAPLUS

CN 4-Quinazolinamine, N-phenyl-2-(2-thienyl)- (9CI) (CA INDEX NAME)



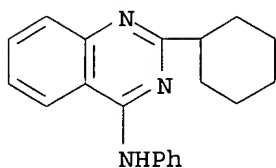
RN 157863-04-2 HCAPLUS

CN 4-Quinazolinamine, 2-(2-furanyl)-N-phenyl- (9CI) (CA INDEX NAME)



RN 166039-45-8 HCAPLUS

CN 4-Quinazolinamine, 2-cyclohexyl-N-phenyl- (9CI) (CA INDEX NAME)



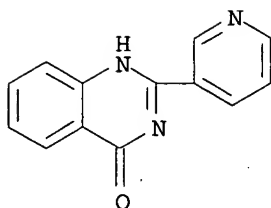
IT 50362-93-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(pyridyl- and imidazolylquinazolines as cyclic GMP phosphodiesterase and thromboxane synthesis inhibitors)

RN 50362-93-1 HCAPLUS

CN 4(1H)-Quinazolinone, 2-(3-pyridinyl)- (9CI) (CA INDEX NAME)



L24 ANSWER 8 OF 26 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:737316 HCAPLUS

DOCUMENT NUMBER: 123:144272

TITLE: Preparation of quinazolinylbenzyl phosphonates derivatives as hyperlipidemia, hypertension, and diabetes agents

INVENTOR(S): Kurogi, Yasuhisa; Miyata, Kazuyoshi; Nakamura, Shizuo; Kondo, Mitsuyoshi; Iwamoto, Takeshi; Naba, Chieko; Tsuda, Yoshihiko; Inoue, Yasuhide; Kanaya, Jun; Sato, Keigo

PATENT ASSIGNEE(S): Otsuka Pharmaceutical Factory, Inc., Japan

SOURCE: PCT Int. Appl., 58 pp.

CODEN: PIXXD2

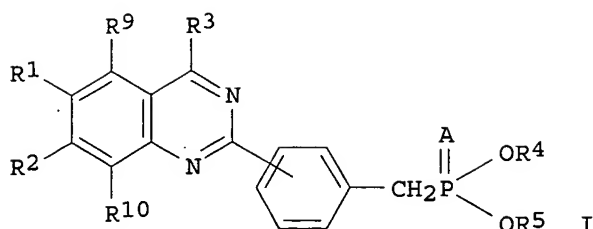
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9500524	A1	19950105	WO 1994-JP883	19940531 <--
W: AU, CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2142597	AA	19950105	CA 1994-2142597	19940531 <--
CA 2142597	C	20040113		
AU 9468558	A1	19950117	AU 1994-68558	19940531 <--
AU 664337	B2	19951109		
EP 655456	A1	19950531	EP 1994-917137	19940531 <--
EP 655456	B1	20000906		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1112365	A	19951122	CN 1994-190513	19940531 <--
CN 1048018	B	20000105		
JP 2926274	B2	19990728	JP 1994-502639	19940531
AT 196145	E	20000915	AT 1994-917137	19940531
US 5624918	A	19970429	US 1995-387907	19950205 <--
PRIORITY APPLN. INFO.:			JP 1993-146528	A 19930617
			WO 1994-JP883	W 19940531
OTHER SOURCE(S):			MARPAT 123:144272	
GI				



AB The preparation of title compds. I (A = O, S; R1, R2, R9, R10 = each independently H, lower alkoxy, nitro, lower alkyl, halogenated lower alkyl, halo; R3 = Ph, -B-R6 [B = O, S; R6 = H, lower alkyl, cycloalkyl, Ph, phenylated lower alkyl wherein Ph may be halogenated, phenoxyated lower alkyl, lower-alkoxy carbonyl-substituted lower alkyl, carboxylated lower alkyl or lower alkenyl, -NR7R8, R7, R8 = each independently H, lower alkyl, amino or cycloalkyl, R7R8 = combined together to form lower alkylene]; R4, R5 = each independently H, lower alkyl), useful as remedies for hyperlipidemia, hypertension, diabetes, and so forth, is described. Thus, reaction of o-aminobenzonitrile with 4-(EtO)2P(O)CH2C6H4COCl gave di-Et 4-[N-(2-cyanophenyl)carbamoyl]benzylphosphonate which on cyclization in the presence of MeOH gave p-substituted title compound I (R1, R2, R9, R10 = H, R3 = OMe, A = O, R4, R5 = Et). I lowered the triglycerides by 37-86% at 100 mg/kg P.O. in rats with Triton-induced hyperlipemia. Tablet, capsule, and granular formulation was also given.

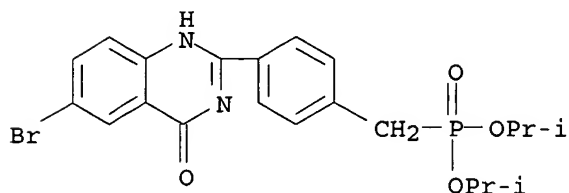
IT 166394-30-5P 166394-32-7P 166394-34-9P
166394-36-1P 166394-38-3P 166394-39-4P
166394-40-7P 166394-41-8P 166394-42-9P
166394-43-0P 166394-44-1P 166394-45-2P
166394-46-3P 166394-47-4P 166394-50-9P
166394-51-0P 166394-52-1P 166394-53-2P
166394-64-5P 166394-65-6P 166394-73-6P
166394-75-8P 166394-77-0P 166394-79-2P
166394-80-5P 166394-81-6P 166394-82-7P
166394-83-8P 166394-84-9P 166394-85-0P
166394-86-1P 166394-87-2P 166394-99-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinazolinylbenzyl phosphonates derivs. as hyperlipidemia, hypertension, and diabetes agents)

RN 166394-30-5 HCAPLUS

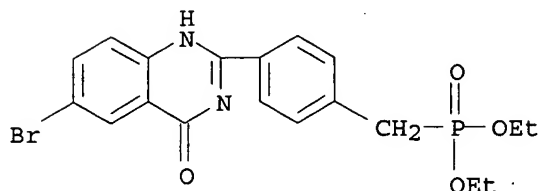
CN Phosphonic acid, [[4-(6-bromo-1,4-dihydro-4-oxo-2-quinazolinyl)phenyl]methyl]-, bis(1-methylethyl) ester (9CI) (CA INDEX NAME)



RN 166394-32-7 HCAPLUS

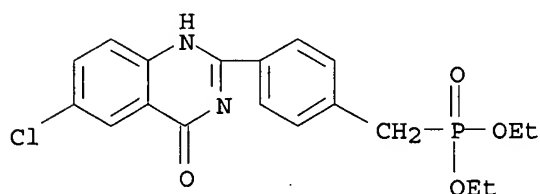
CN Phosphonic acid, [[4-(6-bromo-1,4-dihydro-4-oxo-2-

quinazolinyl)phenyl)methyl]-, diethyl ester (9CI) (CA INDEX NAME)



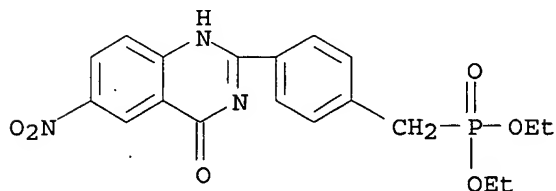
RN 166394-34-9 HCAPLUS

CN Phosphonic acid, [[4-(6-chloro-1,4-dihydro-4-oxo-2-quinazolinyl)phenyl)methyl]-, diethyl ester (9CI) (CA INDEX NAME)



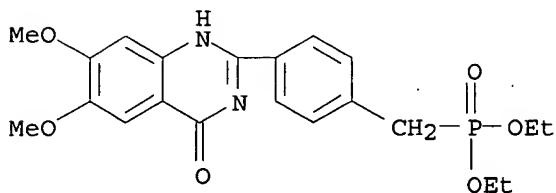
RN 166394-36-1 HCAPLUS

CN Phosphonic acid, [[4-(1,4-dihydro-6-nitro-4-oxo-2-quinazolinyl)phenyl)methyl]-, diethyl ester (9CI) (CA INDEX NAME)



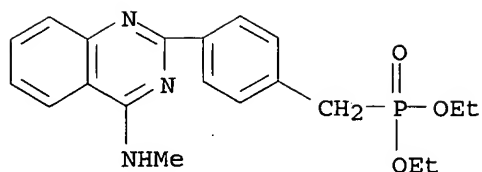
RN 166394-38-3 HCAPLUS

CN Phosphonic acid, [[4-(1,4-dihydro-6,7-dimethoxy-4-oxo-2-quinazolinyl)phenyl)methyl]-, diethyl ester (9CI) (CA INDEX NAME)



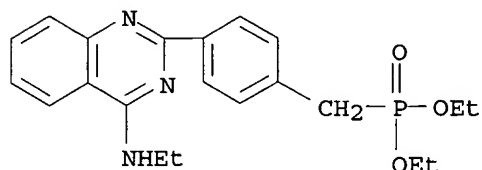
RN 166394-39-4 HCAPLUS

CN Phosphonic acid, [[4-[4-(methylamino)-2-quinazolinyl]phenyl)methyl]-, diethyl ester (9CI) (CA INDEX NAME)



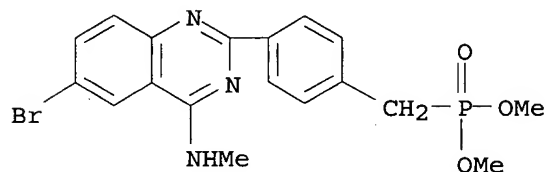
RN 166394-40-7 HCAPLUS

CN Phosphonic acid, [[4-[4-(ethylamino)-2-quinazolinyl]phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



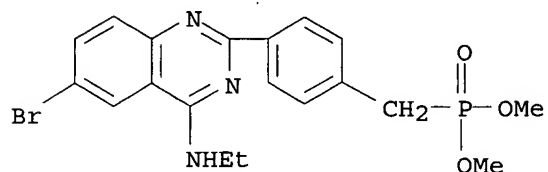
RN 166394-41-8 HCAPLUS

CN Phosphonic acid, [[4-[6-bromo-4-(methylamino)-2-quinazolinyl]phenyl]methyl]-, dimethyl ester (9CI) (CA INDEX NAME)



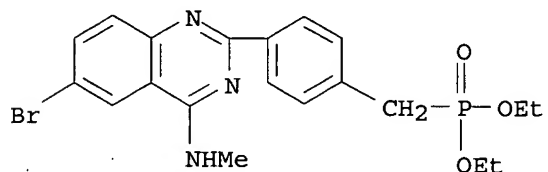
RN 166394-42-9 HCAPLUS

CN Phosphonic acid, [[4-[6-bromo-4-(ethylamino)-2-quinazolinyl]phenyl]methyl]-, dimethyl ester (9CI) (CA INDEX NAME)



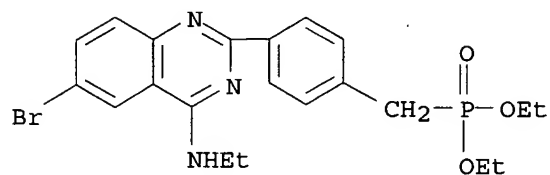
RN 166394-43-0 HCAPLUS

CN Phosphonic acid, [[4-[6-bromo-4-(methylamino)-2-quinazolinyl]phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



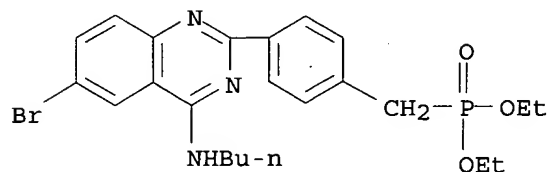
RN 166394-44-1 HCAPLUS

CN Phosphonic acid, [[4-[6-bromo-4-(ethylamino)-2-quinazolinyl]phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



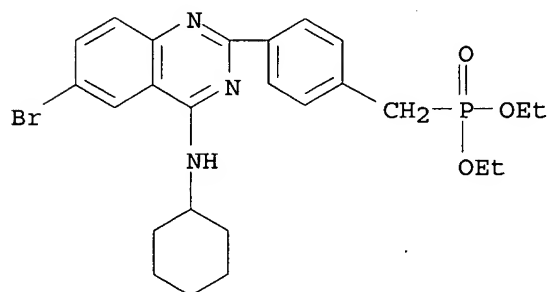
RN 166394-45-2 HCAPLUS

CN Phosphonic acid, [[4-[6-bromo-4-(butylamino)-2-quinazolinyl]phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



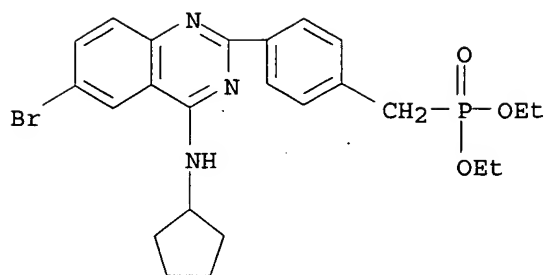
RN 166394-46-3 HCAPLUS

CN Phosphonic acid, [[4-[6-bromo-4-(cyclohexylamino)-2-quinazolinyl]phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



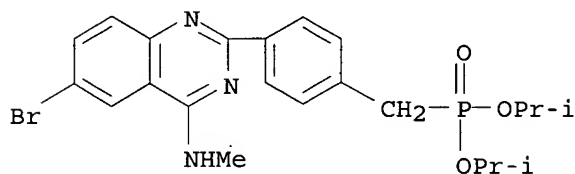
RN 166394-47-4 HCAPLUS

CN Phosphonic acid, [[4-[6-bromo-4-(cyclopentylamino)-2-quinazolinyl]phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



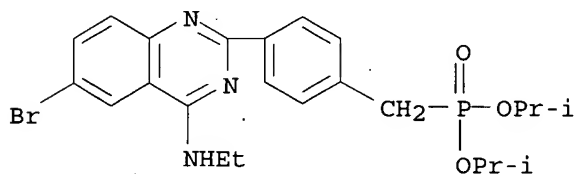
RN 166394-50-9 HCAPLUS

CN Phosphonic acid, [[4-[6-bromo-4-(methylamino)-2-quinazolinyl]phenyl]methyl]-, bis(1-methylethyl) ester (9CI) (CA INDEX NAME)



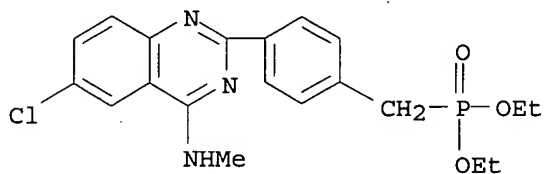
RN 166394-51-0 HCAPLUS

CN Phosphonic acid, [[4-[6-bromo-4-(ethylamino)-2-quinazolinyl]phenyl]methyl]-, bis(1-methylethyl) ester (9CI) (CA INDEX NAME)



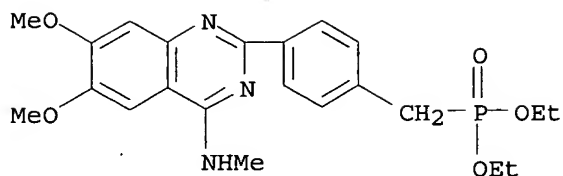
RN 166394-52-1 HCAPLUS

CN Phosphonic acid, [[4-[6-chloro-4-(methylamino)-2-quinazolinyl]phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



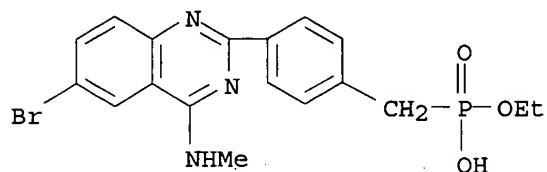
RN 166394-53-2 HCAPLUS

CN Phosphonic acid, [[4-[6,7-dimethoxy-4-(methylamino)-2-quinazolinyl]phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



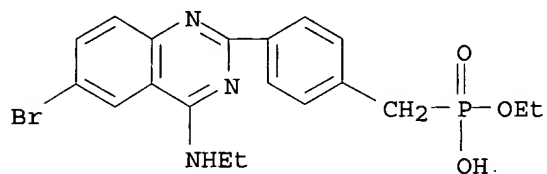
RN 166394-64-5 HCAPLUS

CN Phosphonic acid, [[4-[6-bromo-4-(methylamino)-2-quinazolinyl]phenyl]methyl]-, monoethyl ester (9CI) (CA INDEX NAME)



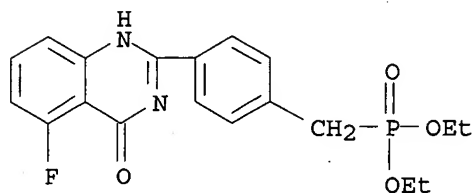
RN 166394-65-6 HCAPLUS

CN Phosphonic acid, [[4-[6-bromo-4-(ethylamino)-2-quinazolinyl]phenyl]methyl]-, monoethyl ester (9CI) (CA INDEX NAME)



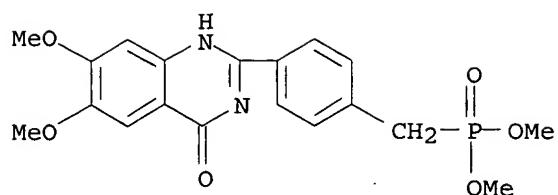
RN 166394-73-6 HCAPLUS

CN Phosphonic acid, [[4-(5-fluoro-1,4-dihydro-4-oxo-2-quinazolinyl)phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

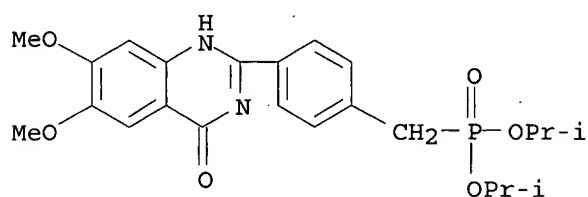


RN 166394-75-8 HCAPLUS

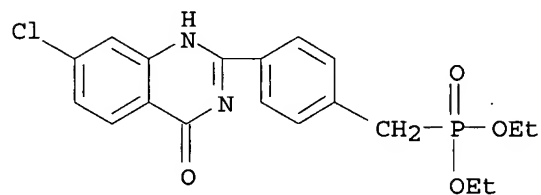
CN Phosphonic acid, [[4-(1,4-dihydro-6,7-dimethoxy-4-oxo-2-quinazolinyl)phenyl]methyl]-, dimethyl ester (9CI) (CA INDEX NAME)



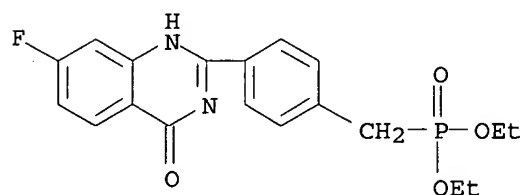
RN 166394-77-0 HCAPLUS
 CN Phosphonic acid, [[4-(1,4-dihydro-6,7-dimethoxy-4-oxo-2-quinazolinyl)phenyl]methyl]-, bis(1-methylethyl) ester (9CI) (CA INDEX NAME)



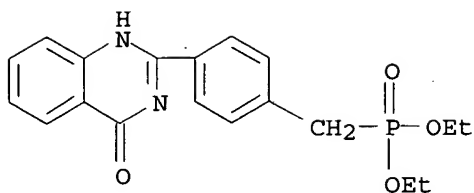
RN 166394-79-2 HCAPLUS
 CN Phosphonic acid, [[4-(7-chloro-1,4-dihydro-4-oxo-2-quinazolinyl)phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



RN 166394-80-5 HCAPLUS
 CN Phosphonic acid, [[4-(7-fluoro-1,4-dihydro-4-oxo-2-quinazolinyl)phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

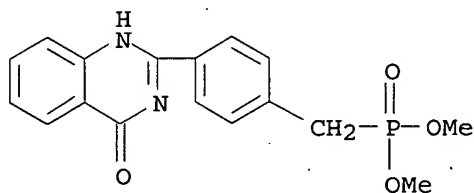


RN 166394-81-6 HCAPLUS
 CN Phosphonic acid, [[4-(1,4-dihydro-4-oxo-2-quinazolinyl)phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



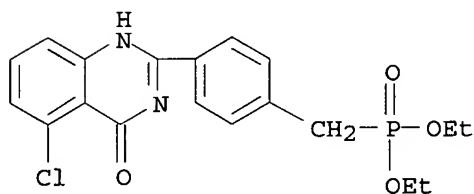
RN 166394-82-7 HCAPLUS

CN Phosphonic acid, [[4-(1,4-dihydro-4-oxo-2-quinazolinyl)phenyl]methyl]-, dimethyl ester (9CI) (CA INDEX NAME)



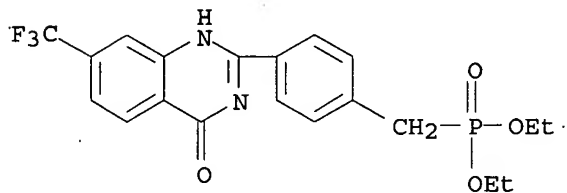
RN 166394-83-8 HCAPLUS

CN Phosphonic acid, [[4-(5-chloro-1,4-dihydro-4-oxo-2-quinazolinyl)phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



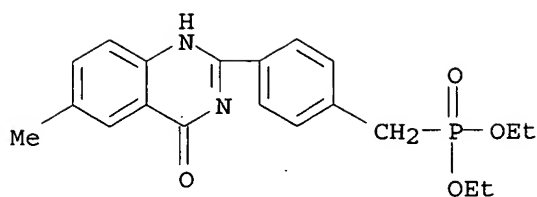
RN 166394-84-9 HCAPLUS

CN Phosphonic acid, [[4-[1,4-dihydro-4-oxo-7-(trifluoromethyl)-2-quinazolinyl]phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)

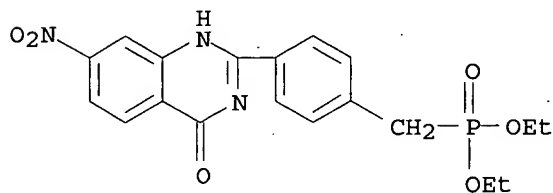


RN 166394-85-0 HCAPLUS

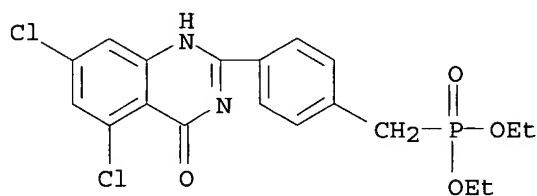
CN Phosphonic acid, [[4-(1,4-dihydro-6-methyl-4-oxo-2-quinazolinyl)phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



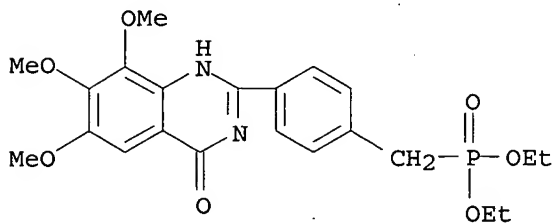
RN 166394-86-1 HCAPLUS
 CN Phosphonic acid, [[4-(1,4-dihydro-7-nitro-4-oxo-2-quinazolinyl)phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



RN 166394-87-2 HCAPLUS
 CN Phosphonic acid, [[4-(5,7-dichloro-1,4-dihydro-4-oxo-2-quinazolinyl)phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



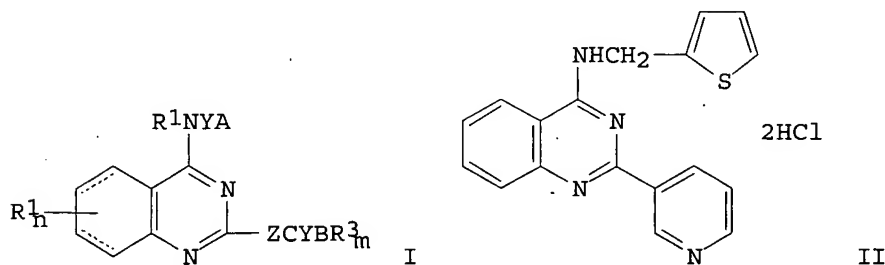
RN 166394-99-6 HCAPLUS
 CN Phosphonic acid, [[4-(1,4-dihydro-6,7,8-trimethoxy-4-oxo-2-quinazolinyl)phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



L24 ANSWER 9 OF 26 HCAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1994:605373 HCAPLUS
 DOCUMENT NUMBER: 121:205373
 TITLE: 4-aminoquinazoline derivatives, and their use as medicine
 INVENTOR(S): Lee, Sung Jai; Konishi, Yoshitaka; Macina, Orest

PATENT ASSIGNEE(S): Taras; Kondo, Kigen; Yu, Dingwei Tim
 SOURCE: Ono Pharmaceutical Co., Ltd., Japan
 Eur. Pat. Appl., 86 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

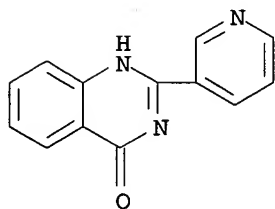
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 579496	A1	19940119	EP 1993-305557	19930715 <--
EP 579496	B1	20011114		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 06192235	A2	19940712	JP 1993-197039	19930714 <--
CA 2100626	AA	19940116	CA 1993-2100626	19930715 <--
KR 191416	B1	19990615	KR 1993-13549	19930715
AT 208771	E	20011115	AT 1993-305557	19930715
ES 2167325	T3	20020516	ES 1993-305557	19930715
PT 579496	T	20020531	PT 1993-305557	19930715
JP 08099962	A2	19960416	JP 1995-264667	19950920 <--
JP 2923742	B2	19990726		
PRIORITY APPLN. INFO.:			US 1992-913473	A 19920715
			US 1993-76431	A 19930614
OTHER SOURCE(S):			MARPAT 121:205373	
GI				



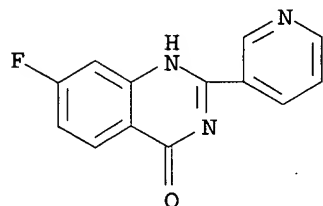
AB The title compds. I wherein R₁ is H or alkyl; Y is bond or alkylene; A is (i) -CyAR₂, (ii) -OR₀ or -S(O)_pR₀, R₀ = H, alkyl, etc., p is 0-2, (iii) -NR₁₆R₁₇, R₁₆, R₁₇ are H, alkyl; CyA is (1) a 3-7 membered monocyclic carbocyclic ring, (2) a 4-7 membered monocyclic hetero ring containing as hetero atoms, one N atom, one N and one O atoms, two N and one O atoms, or one N and two O atoms, (3) a 4-7 membered monocyclic hetero ring containing as hetero atoms, 1 or 2 O or S atoms, R₂ is (1) H, (2) alkyl, (3) alkoxy, (4) -COOR₅, in which R₅ is H or alkyl, (5) -NR₆R₇, R₆, R₇ are H, alkyl, (6) -SO₂NR₆R₇, (7) halogen, (8) CF₃, (9) NO₂ or (10) CF₃O; Z is bond, methylene, ethylene, vinylene or ethynylene; CyB is a heterocyclic ring; R₃ is H, alkyl, alkoxy, halogen or CF₃; R₄ is H, alkyl, alkoxy, etc., and acid addition salts thereof, salts thereof, and hydrates thereof were prepared and have inhibitory effect on cGMP-PDE, or addnl. on TXA₂ synthetase. Thus, a representative prepared compound II had inhibitory activity IC₅₀ of 3.6 x 10⁻⁷ on cGMP-PDE.

IT 50362-93-1P 157864-22-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and reaction of, in preparation of aminoquinazolines as cardiovascular agents)

RN 50362-93-1 HCAPLUS
 CN 4(1H)-Quinazolinone, 2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

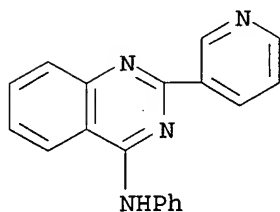


RN 157864-22-7 HCAPLUS
 CN 4(1H)-Quinazolinone, 7-fluoro-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

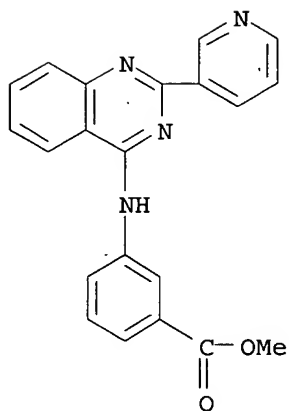


IT 157862-81-2P 157862-82-3P 157862-99-2P
 157863-02-0P 157863-04-2P 157863-22-4P
 157863-90-6P 157863-91-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as cardiovascular agents)

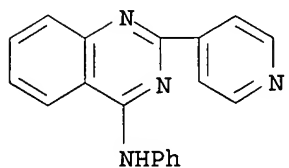
RN 157862-81-2 HCAPLUS
 CN 4-Quinazolinamine, N-phenyl-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)



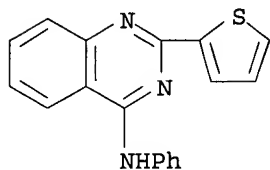
RN 157862-82-3 HCAPLUS
 CN Benzoic acid, 3-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-, methyl ester
 (9CI) (CA INDEX NAME)



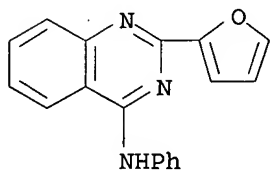
RN 157862-99-2 HCAPLUS
CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (9CI) (CA INDEX NAME)



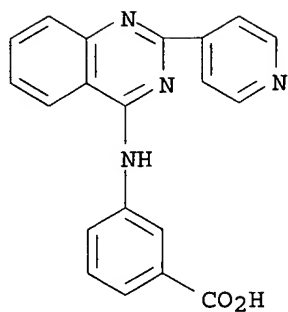
RN 157863-02-0 HCAPLUS
CN 4-Quinazolinamine, N-phenyl-2-(2-thienyl)- (9CI) (CA INDEX NAME)



RN 157863-04-2 HCAPLUS
CN 4-Quinazolinamine, 2-(2-furanyl)-N-phenyl- (9CI) (CA INDEX NAME)

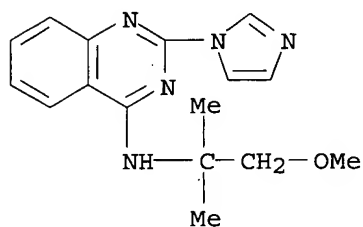


RN 157863-22-4 HCAPLUS
CN Benzoic acid, 3-[[2-(4-pyridinyl)-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



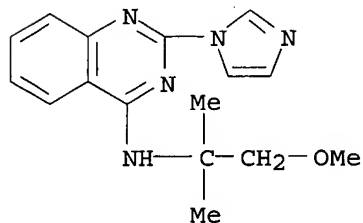
RN 157863-90-6 HCAPLUS

CN 4-Quinazolinamine, 2-(1H-imidazol-1-yl)-N-(2-methoxy-1,1-dimethylethyl)-
(9CI) (CA INDEX NAME)



RN 157863-91-7 HCAPLUS

CN 4-Quinazolinamine, 2-(1H-imidazol-1-yl)-N-(2-methoxy-1,1-dimethylethyl)-,
dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

L24 ANSWER 10 OF 26 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1993:124487 HCAPLUS

DOCUMENT NUMBER: 118:124487

TITLE: Synthesis and reactions of 2-(α-naphthyl)-4-(3H)-quinazolinone

AUTHOR(S): El-Farargy, A. F.; Hamad, M. M.; Said, S. A.; Haikal, A.

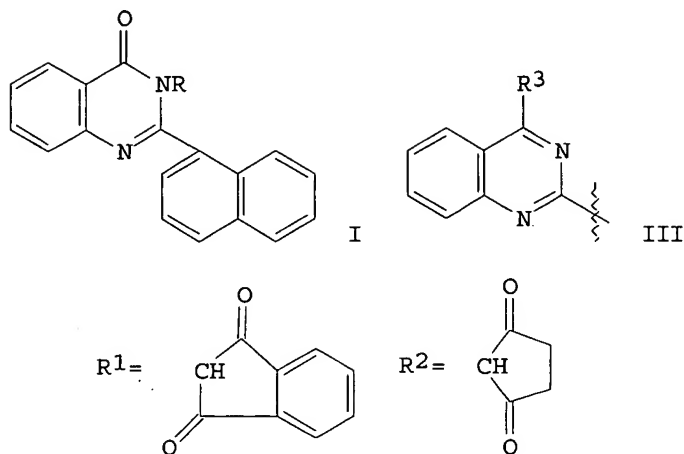
CORPORATE SOURCE: Fac. Sci., Zagazig Univ., Zagazig, Egypt

SOURCE: Egyptian Journal of Chemistry (1991), Volume

Date 1990, 33(3), 283-9

CODEN: EGJCA3; ISSN: 0367-0422

DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 118:124487
 GI

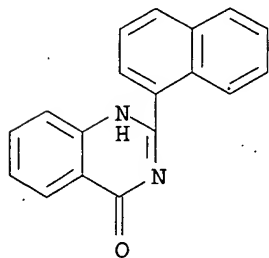


AB Quinazolinone I (R = H) was prepared via fusion of formamide with 2-(α -naphthyl)-3,1-(4H)-benzoxazin-4-one. I was treated with MeI in BuOH to give I (R = Me), which underwent fusion with phthalimide or succinic anhydride to give I (R = R₁, R₂), resp. Condensation of I (R = Me) with PhCHO or 4-MeOC₆H₄CHO gave I (R = CH:CHPh, CH:CHC₆H₄OMe-4), resp. Chlorination of I (R = H) gave chloride II (R₃ = Cl) which was treated with PhNH₂, NH₂NH₂, or NaN₃ to give II (R₃ = NHPh, NHHN₂, N₃), resp. Alkylation of I (R = H) with Me₂SO₄ or ClCH₂CO₂Et gave ether II (R₃ = OMe, OCH₂CO₂Et), resp. Further treatment of II (R₃ = OCH₂CO₂Et) with amines gave amides II (R₃ = OCH₂CONHR₄, R₄ = NH₂, NHPh, Ph, C₆H₄Me-4).

IT **18818-37-6P**, 2-(1-Naphthyl)-4(3H)-quinazolinone
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and chlorination or alkylation of)

RN 18818-37-6 HCAPLUS

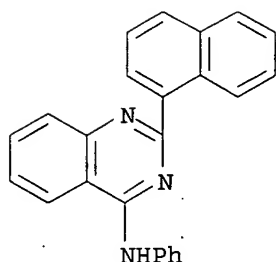
CN 4(1H)-Quinazolinone, 2-(1-naphthalenyl)- (9CI) (CA INDEX NAME)



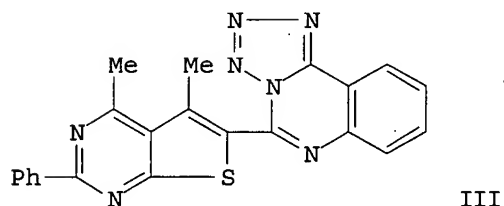
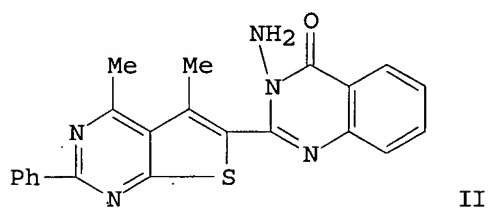
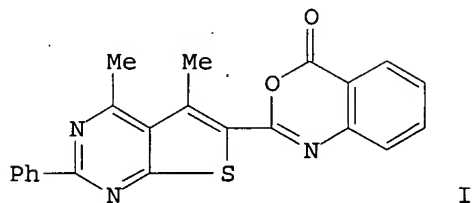
IT **133594-93-1P**, 4-Anilino-2-(1-naphthyl)quinazolinone
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 133594-93-1 HCAPLUS

CN 4-Quinazolinamine, 2-(1-naphthalenyl)-N-phenyl- (9CI) (CA INDEX NAME)



L24 ANSWER 11 OF 26 HCAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1992:651324 HCAPLUS
 DOCUMENT NUMBER: 117:251324
 TITLE: Some reactions with 4-carboxymethylthio-2-phenyl-5-acetylpurimidine
 AUTHOR(S): El-Bahaie, S.; Bayoumy, B. E.; Assy, M. G.; El-Kafrawy, A.; Yousif, Sh.
 CORPORATE SOURCE: Fac. Sci., Zagazig Univ., Zagazig, Egypt
 SOURCE: Egyptian Journal of Pharmaceutical Sciences (1991), 32(1-2), 415-20
 CODEN: EJPSBZ; ISSN: 0301-5068
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 117:251324
 GI



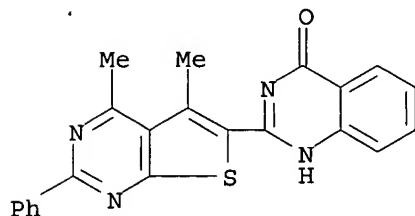
AB (Thienopyrimidinyl)benzoxazinone I was prepared Hydrazinolysis of I gave the (thienopyrimidinyl)quinazolinone II. The tetrazoloquinazolinylthien[2,3-d]pyrimidine III was also prepared

IT 139436-18-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and aromatization/chlorination of)

RN 139436-18-3 HCAPLUS

CN 4(1H)-Quinazolinone, 2-(4,5-dimethyl-2-phenylthieno[2,3-d]pyrimidin-6-yl)-
(9CI) (CA INDEX NAME)

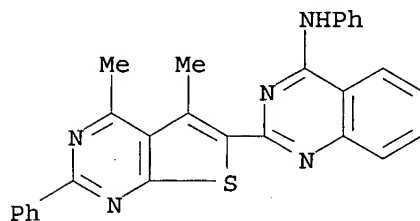


IT 139436-19-4P 139436-20-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

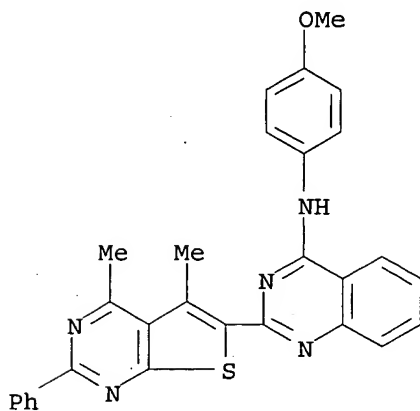
RN 139436-19-4 HCAPLUS

CN 4-Quinazolinamine, 2-(4,5-dimethyl-2-phenylthieno[2,3-d]pyrimidin-6-yl)-N-
phenyl- (9CI) (CA INDEX NAME)

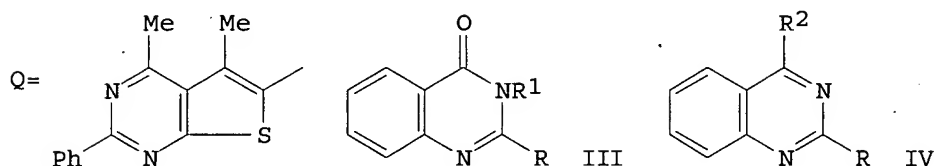
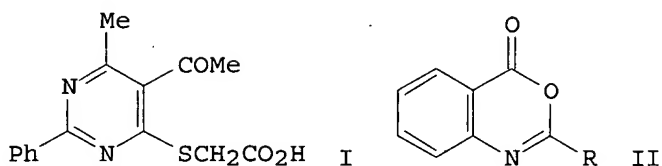


RN 139436-20-7. HCAPLUS

CN 4-Quinazolinamine, 2-(4,5-dimethyl-2-phenylthieno[2,3-d]pyrimidin-6-yl)-N-
(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



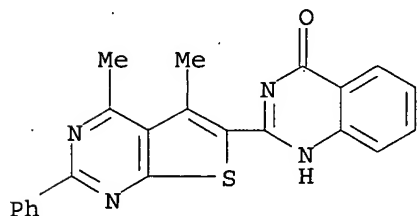
ACCESSION NUMBER: 1992:151703 HCAPLUS
 DOCUMENT NUMBER: 116:151703
 TITLE: Reactions with 4-carboxymethylthio-2-phenyl-5-acetylpyrimidine
 AUTHOR(S): El-Bahaie, Said; Bayoumy, Basher E.; Assy, M. G.; Yousif, S.
 CORPORATE SOURCE: Fac. Sci., Zagazig Univ., Zagazig, Egypt
 SOURCE: Polish Journal of Chemistry (1991), 65(5-6), 1059-64
 CODEN: PJCHDQ; ISSN: 0137-5083
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB Treating the title compound I sequentially with SOCl_2 , 2- $\text{H}_2\text{NC}_6\text{H}_4\text{CO}_2\text{H}$ in AcOH, and Ac₂O gave oxobenzoxazinylthienopyrimidine II ($\text{R} = \text{Q}$). Cyclocondensation of II with aromatic amines, hydrazines, NH_3 and glycine gave quinazolines III ($\text{R}_1 = \text{Ph}$, $\text{C}_6\text{H}_4\text{Br}-4$, $\text{C}_6\text{H}_4\text{OMe}-4$, NH_2 , NHPh , $\text{CH}_2\text{CO}_2\text{H}$, H). Chlorination of III ($\text{R}_1 = \text{H}$) with PCl_5 - POCl_3 led to a number of quinazolinylthienopyrimidine derivs., e.g., IV ($\text{R}_2 = \text{NHPh}$, NHNHPh , NHN:CHPh , $\text{NHNHCOC}_6\text{H}_4\text{Cl}-4$), via substitution of IV ($\text{R}_2 = \text{Cl}$) and in some cases condensation with aldehydes or acylation with acid chlorides.

IT **139436-18-3P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and chlorination of)

RN 139436-18-3 HCAPLUS
 CN 4(1H)-Quinazolinone, 2-(4,5-dimethyl-2-phenylthieno[2,3-d]pyrimidin-6-yl)-(9CI) (CA INDEX NAME)

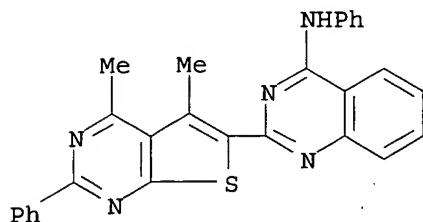


IT 139436-19-4P 139436-20-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

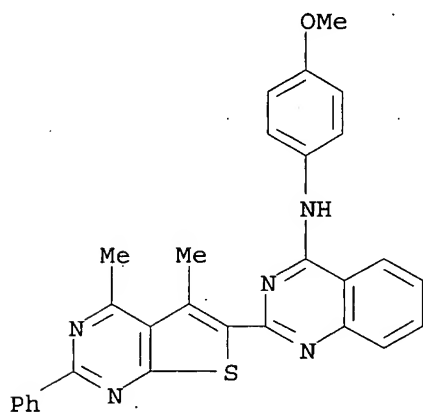
RN 139436-19-4 HCAPLUS

CN 4-Quinazolinamine, 2-(4,5-dimethyl-2-phenylthieno[2,3-d]pyrimidin-6-yl)-N-phenyl- (9CI) (CA INDEX NAME)



RN 139436-20-7 HCAPLUS

CN 4-Quinazolinamine, 2-(4,5-dimethyl-2-phenylthieno[2,3-d]pyrimidin-6-yl)-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



L24 ANSWER 13 OF 26 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1991:400232 HCAPLUS

DOCUMENT NUMBER: 115:232

TITLE: Potential nootropic agents, 4-alkoxy-2-(1-piperazinyl)quinazoline derivatives

AUTHOR(S): Hori, Manabu; Iemura, Ryuichi; Hara, Hideaki; Sukamoto, Takayuki; Ito, Keizo; Ohtaka, Hiroshi

CORPORATE SOURCE: Pharm. Res. Cent., Kanebo Ltd., Osaka, 534, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1991), 39(2), 367-71

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 115:232

AB A series of 4-alkoxy-2-(1-piperazinyl)quinazoline derivs. was synthesized and evaluated for its ability to reverse a scopolamine-induced learned impairment in a one-trial passive avoidance task (antiamnesic activity). 2-(4-Allyl-1-piperazinyl)-4-pentyloxyquinazoline (4) showed more potent antiamnesic activity than such reference compds. as aniracetam, idebenone and bifemelane at a wide dose range (1-30 mg/kg)). Compound 4 also exhibited

potent anticonvulsive and antihypoxic activities, and was selected as the most promising nootropic candidate agent.

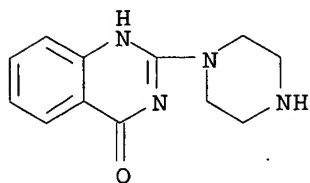
IT 22587-11-7D, derivs. 129663-88-3 134250-02-5

RL: BIOL (Biological study)

(in preparation of anti-amnesia alkoxypiperazinylquinazoline derivs.)

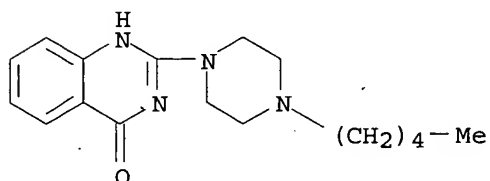
RN 22587-11-7 HCAPLUS

CN 4(1H)-Quinazolinone, 2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



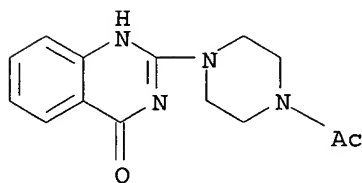
RN 129663-88-3 HCAPLUS

CN 4(1H)-Quinazolinone, 2-(4-pentyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 134250-02-5 HCAPLUS

CN Piperazine, 1-acetyl-4-(1,4-dihydro-4-oxo-2-quinazolinyl)- (9CI) (CA INDEX NAME)



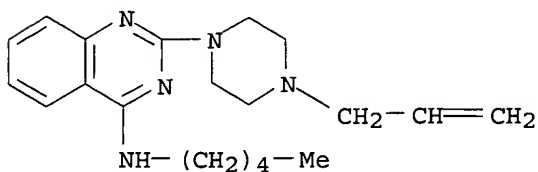
IT 134250-09-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

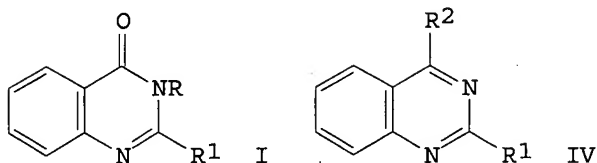
(preparation of, anti-amnesic activity of, structure in relation to)

RN 134250-09-2 HCAPLUS

CN 4-Quinazolinamine, N-pentyl-2-[4-(2-propenyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



L24 ANSWER 14 OF 26 HCAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1991:207187 HCAPLUS
 DOCUMENT NUMBER: 114:207187
 TITLE: Synthesis and reaction of 2-(α -naphthyl)-4-(3H)-quinazolinone
 AUTHOR(S): El-Farargy, A. F.; Hamad, M. M.; Said, S. A.; Haikal, A.
 CORPORATE SOURCE: Fac. Sci., Zagazig Univ., Zagazig, Egypt
 SOURCE: Anales de Quimica (1990), 86(7), 782-5
 CODEN: ANQUEX; ISSN: 1130-2283
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 114:207187
 GI



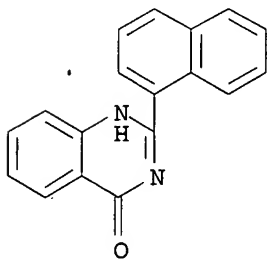
AB Reaction of 2-(1-naphthyl)-3,1-[4H]benzoxazin-4-one with formamide in dry xylene gave 2-(1-naphthyl)quinazolinone I (R = H, 1-naphthyl (II)). II reacted with Me iodide, POCl₃/PCl₅, Et chloroacetate or di-Me sulfate to give I (R = Me, R₁ = 1-naphthyl) (III) and IV (R₁ = 1-naphthyl, R₂ = Cl, OCH₂CO₂Et, OMe) resp. The condensation of III with benzaldehyde or p-anisaldehyde gave styryl derivs. I (R = CH:CHR₃; R₃ = Ph, C₆H₄OMe-4). Treatment of IV (R₂ = OCH₂CO₂Et with hydrazine, Ph hydrazine, aniline and p-toluidine gave the corresponding amides IV (R₂ = OCH₂CONHR₄; R₄ = NH₂, NHPh, Ph, C₆H₄Me-4) resp.

IT 18818-37-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and reactions of)

RN 18818-37-6 HCAPLUS

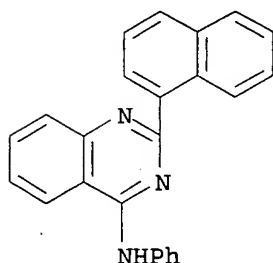
CN 4(1H)-Quinazolinone, 2-(1-naphthalenyl)- (9CI) (CA INDEX NAME)



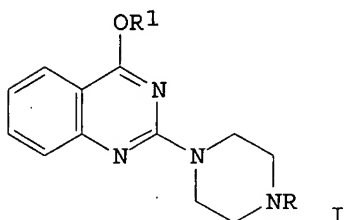
IT 133594-93-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

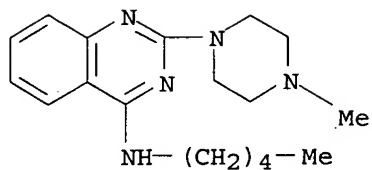
RN 133594-93-1 HCAPLUS
 CN 4-Quinazolinamine, 2-(1-naphthalenyl)-N-phenyl- (9CI) (CA INDEX NAME)



L24 ANSWER 15 OF 26 HCAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1990:552359 HCAPLUS
 DOCUMENT NUMBER: 113:152359
 TITLE: Novel 4-substituted 2-piperazinyquinazolines as potent anticonvulsive and antihypoxic agents
 AUTHOR(S): Hori, Manabu; Iemura, Ryuichi; Hara, Hideaki; Ozaki, Akio; Sukamoto, Takayuki; Ohtaka, Hiroshi
 CORPORATE SOURCE: Pharm. Res. Cent., Kanebo Ltd., Osaka, 534, Japan
 SOURCE: Chemical & Pharmaceutical Bulletin (1990), 38(5), 1286-91
 CODEN: CPBTAL; ISSN: 0009-2363
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 113:152359
 GI



AB Piperazinyquinazolines, e.g. I [R = Me, Ph, CH₂Ph, CH₂CH:CH₂, (CH₂)_nMe, R₁ = Me, CH₂Ph, (CH₂)_nMe, n = 2-4] were prepared and examined for anticonvulsive and antihypoxic activities. The anal. of quant. structure-activity relationships indicated that the anticonvulsive activity was related to the lipophilicity of the compds. Most of the alkoxyquinazolines I showed potent anticonvulsive and antihypoxic activities. There is a good correlation between the potencies of these activities.
 IT 129663-87-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and anticonvulsive activity of)
 RN 129663-87-2 HCAPLUS
 CN 4-Quinazolinamine, 2-(4-methyl-1-piperaziny)-N-pentyl- (9CI) (CA INDEX NAME)



IT 129664-16-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

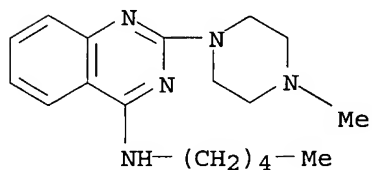
RN 129664-16-0 HCAPLUS

CN 4-Quinazolinamine, 2-(4-methyl-1-piperazinyl)-N-pentyl-,
(2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 129663-87-2

CMF C18 H27 N5

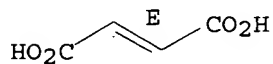


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.

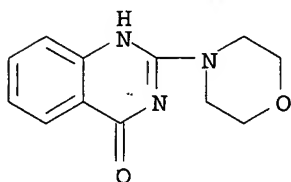


IT 33080-91-0P 129663-88-3P 129663-89-4P
129663-90-7P 129663-91-8P 129663-92-9P
129663-93-0P 129663-98-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, chlorination, or condensation of, with alcs.)

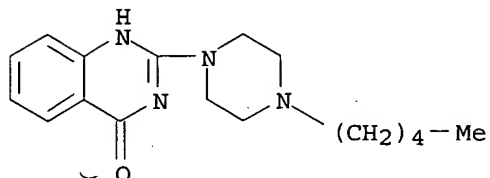
RN 33080-91-0 HCAPLUS

CN 4(1H)-Quinazolinone, 2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



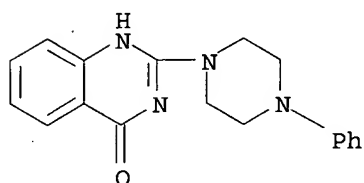
RN 129663-88-3 HCAPLUS

CN 4(1H)-Quinazolinone, 2-(4-pentyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



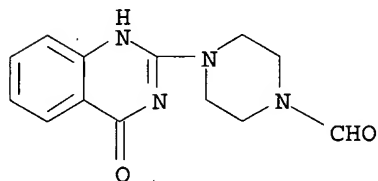
RN 129663-89-4 HCAPLUS

CN 4(1H)-Quinazolinone, 2-(4-phenyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



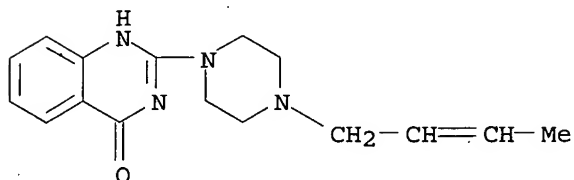
RN 129663-90-7 HCAPLUS

CN 1-Piperazinecarboxaldehyde, 4-(1,4-dihydro-4-oxo-2-quinazolinyl)- (9CI)
(CA INDEX NAME)



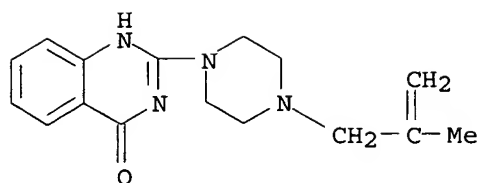
RN 129663-91-8 HCAPLUS

CN 4(1H)-Quinazolinone, 2-[4-(2-butenyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)

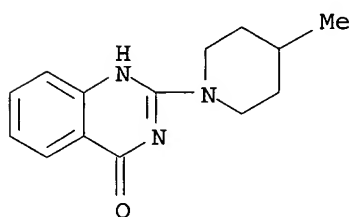


RN 129663-92-9 HCAPLUS

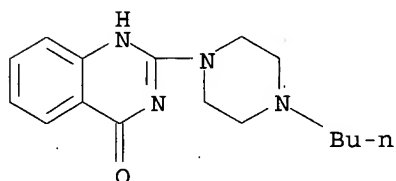
CN 4(1H)-Quinazolinone, 2-[4-(2-methyl-2-propenyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



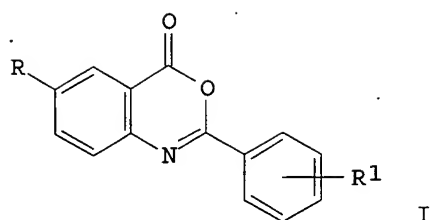
RN 129663-93-0 HCAPLUS
CN 4(1H)-Quinazolinone, 2-(4-methyl-1-piperidiny)- (9CI) (CA INDEX NAME)



RN 129663-98-5 HCAPLUS
CN 4(1H)-Quinazolinone, 2-(4-butyl-1-piperaziny)- (9CI) (CA INDEX NAME)



L24 ANSWER 16 OF 26 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:38944 HCAPLUS
DOCUMENT NUMBER: 110:38944
TITLE: Hypolipidemic 2-[4-(1,1-dimethylethyl)phenyl]-4H-3,1-benzoxazin-4-ones. Structure-activity relationships of a novel series of high-density lipoprotein elevators
AUTHOR(S): Fenton, Garry; Newton, Christopher G.; Wyman, Barry M.; Bagge, Philip; Dron, Donald I.; Riddell, David; Jones, Graham D.
CORPORATE SOURCE: Dagenham Res. Cent., Rhone Poulenc Ltd., Dagenham Essex, RM10 7XS, UK
SOURCE: Journal of Medicinal Chemistry (1989), 32(1), 265-72
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:38944
GI



AB The preparation and plasma lipid altering characteristics of a series of 4H-3,1-benzoxazin-4-ones I (R = Me, Br, Cl, iodo, H, etc.; R1 = 4-Me3C, 3-Me3C, 2-Me3C, 4-Me3CCH2, H) are described. Thus, 2,5-H2N(Br)C6H3CO2H was treated with 4-Me3CC6H4COCl in pyridine and then Ac2O to give 51% I (R = Br, R1 = 4-Me3C). Hypocholesterolemic, hypotriglyceridemic, and high-d.-lipoprotein elevating properties are found for derivs. bearing a 4-(1,1-dimethylethyl)phenyl group at the 2-position, and this activity is displayed in both hypercholesterolemic and in normolipidemic rats when the ring system is substituted at position 6 with H, Me, Cl, or iodo groups, and is optimal when the 6-position is substituted by a bromine atom. Evidence is presented suggesting that a metabolite or degradation product is responsible for the changes in lipoprotein concentration observed with active

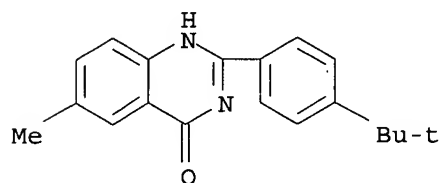
mols. of this type. Synthesis of anticipated degradation products of the active mols. gave products displaying the expected in vivo activity, but no improvement in the narrow therapeutic margin of the best compound, I (R = Br, R1 = 4-Me3C) was obtained.

IT 117145-75-2P 117145-77-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and hypolipidemic activity of)

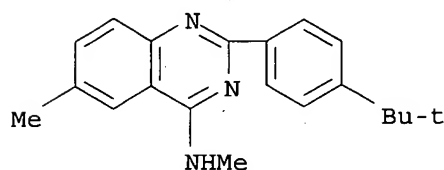
RN 117145-75-2 HCAPLUS

CN 4(1H)-Quinazolinone, 2-[4-(1,1-dimethylethyl)phenyl]-6-methyl- (9CI) (CA INDEX NAME)



RN 117145-77-4 HCAPLUS

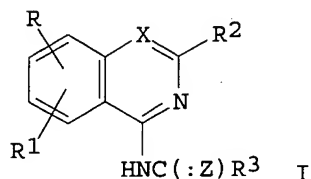
CN 4-Quinazolinamine, 2-[4-(1,1-dimethylethyl)phenyl]-N,6-dimethyl- (9CI)
(CA INDEX NAME)



L24 ANSWER 17 OF 26 HCAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1985:523502 HCAPLUS
 DOCUMENT NUMBER: 103:123502
 TITLE: Quinazoline and isoquinoline derivatives
 INVENTOR(S): Timmerman, Hendrik; Van der Goot, Henderikus
 PATENT ASSIGNEE(S): AKZO N. V. , Neth.
 SOURCE: Eur. Pat. Appl., 16 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 135975	A2	19850403	EP 1984-201386	19840928 <--
EP 135975	A3	19850612		
EP 135975	B1	19880914		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
WO 8501501	A1	19850411	WO 1984-EP312	19840928 <--
W: AU, DK, JP, US				
AU 8435518	A1	19850423	AU 1984-35518	19840928 <--
AU 572585	B2	19880512		
ZA 8407673	A	19850529	ZA 1984-7673	19840928 <--
ES 536402	A1	19851216	ES 1984-536402	19840928 <--
JP 61500019	T2	19860109	JP 1984-503906	19840928 <--
AT 37183	E	19880915	AT 1984-201386	19840928 <--
CA 1255674	A1	19890613	CA 1984-464249	19840928 <--
US 4694000	A	19870915	US 1984-679000	19841206 <--
DK 8406043	A	19850411	DK 1984-6043	19841217 <--
ES 545936	A1	19860201	ES 1985-545936	19850806 <--
PRIORITY APPLN. INFO.:			NL 1983-3328	A 19830929
			EP 1984-201386	A 19840928
			WO 1984-EP312	A 19840928

GI



AB Quinazolines and isoquinolines I (R, R1 = H, alkyl, alkoxy, halo, F3C; R2 = (un)substituted 2-pyridyl; R3 = H, (un)substituted alkyl, cycloalkyl, aryl; X = N, CH; Z = O, NH), useful as bactericides, protozoacides, and inhibitors of Mycoplasma (no data) were prepared Thus, 2-H2NC6H4CONH2 was treated with 2-pyridinecarbonitrile to give 61% 4-amino-2-(2-pyridyl)quinazoline which was acylated with Ac2O to give 23% I (R = R1 = H, R2 = 2-pyridyl, R3 = Me, X = N, Z = O). The microbicidal activities of I are increased by the addition of Cu salts (no data).

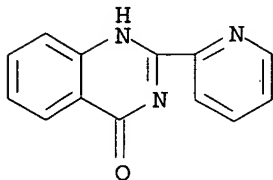
IT 28594-60-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and chlorination of)

RN 28594-60-7 HCAPLUS

CN 4(1H)-Quinazolinone, 2-(2-pyridinyl)- (9CI) (CA INDEX NAME)

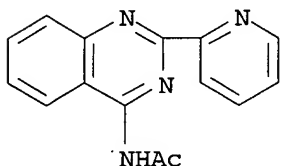


IT 91748-43-5P 91748-50-4P

RL: SPN (Synthetic preparation); PREP (Préparation)
(preparation of)

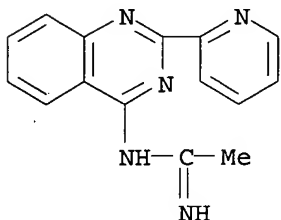
RN 91748-43-5 HCAPLUS

CN Acetamide, N-[2-(2-pyridinyl)-4-quinazolinyl]- (9CI) (CA INDEX NAME)



RN 91748-50-4 HCAPLUS

CN Ethanimidamide, N-[2-(2-pyridinyl)-4-quinazolinyl]- (9CI) (CA INDEX NAME)



L24 ANSWER 18 OF 26 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1984:510863 HCAPLUS

DOCUMENT NUMBER: 101:110863

TITLE: Synthesis and copper dependent antimycoplasmal activity of quinazolinylamidines and amides: a case of concentration quenching

AUTHOR(S): Linschoten, Marcel R.; Gaisser, H. Dieter; Van der Goot, Hendricks; Timmerman, Hendrick

CORPORATE SOURCE: Dep. Pharmacochem., Vrije Univ., Amsterdam, 1081 HV, Neth.

SOURCE: European Journal of Medicinal Chemistry (1984), 19(2), 137-42

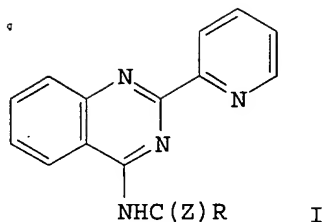
CODEN: EJMCA5; ISSN: 0009-4374

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 101:110863

GI

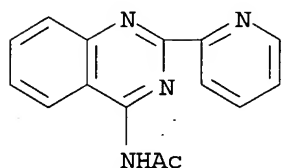


AB The title compds. I (R = H, Me, Ph, CF₃, 2-pyridyl, Z = NH; R = Me, Ph, CF₃, Z = O) were prepared from the amine or from the chloroquinoline. In the absence of Cu, I (R = Me, Ph, CF₃, Z = NH) showed concentration quenching of their antimycoplasmal activity, i.e. decreasing toxicity with increasing concentration. The presence of 10 µg Cu/mL enhanced the activity of I manyfold. In the presence of Cu I, except I (R = H, Z = NH), were more effective than tylosin.

IT 91748-43-5P 91748-50-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and antimycoplasmal activity of, copper presence effect on)

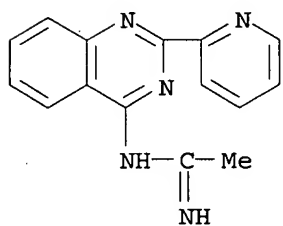
RN 91748-43-5 HCAPLUS

CN Acetamide, N-[2-(2-pyridinyl)-4-quinazolinyl]- (9CI) (CA INDEX NAME)



RN 91748-50-4 HCAPLUS

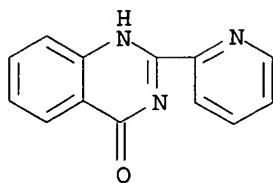
CN Ethanimidamide, N-[2-(2-pyridinyl)-4-quinazolinyl]- (9CI) (CA INDEX NAME)



IT 28594-60-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and chlorination of)

RN 28594-60-7 HCAPLUS

CN 4(1H)-Quinazolinone, 2-(2-pyridinyl)- (9CI) (CA INDEX NAME)



L24 ANSWER 19 OF 26 HCAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1983:143349 HCAPLUS
 DOCUMENT NUMBER: 98:143349
 TITLE: Some reactions of 3-[2'-(4'H,2',1')-benzoxazin-4'-onyl]coumarins and 3-(2'-quinazol-4'-onyl)coumarins
 AUTHOR(S): El-Hashash, M. A.; Kaddah, A. M.; El-Kady, M.; Ammer, M. M.
 CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Cairo, Egypt
 SOURCE: Pakistan Journal of Scientific and Industrial Research (1982), 25(4), 104-8
 CODEN: PSIRAA; ISSN: 0030-9885
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 98:143349
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

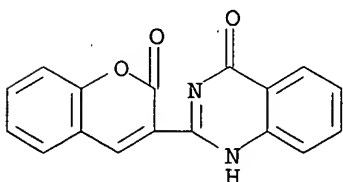
AB Condensation of benzoxazinylcoumarins I (R = H, Br; X = O) with NH₄OAc or HCONH₂ at 190° gave I (X = NH). Treatment of I (R = H, X = NH) with BzCl or POCl₃ gave quinazolinylcoumarins II (R₁ = BzO, Cl), and ring cleavage of I (X = O) with anilines gave coumarincarboxanilides III (R₂ = Me, Cl, CO₂H). Condensation of I (X = O, NH) with N₂H₄ gave salicylaldehyde azines and the pyrazolinone IV, and Michael addition of I (R = H, X = O) with MeCOCH₂CO₂Et gave pyranobenzopyrandione V whereas addition with MeCOCH₂COMe gave dihydrocoumarin VI. Cyclocondensation of NaN₃ and I (R = H, X = O) gave tetrazole VII.

IT 85226-76-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and benzylation and chlorination of)

RN 85226-76-2 HCAPLUS

CN 4(1H)-Quinazolinone, 2-(2-oxo-2H-1-benzopyran-3-yl)-. (9CI) (CA INDEX NAME)

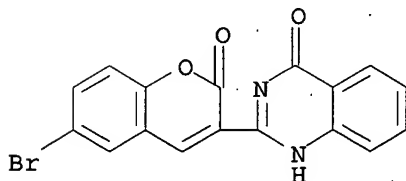


IT 85226-77-3P 85226-80-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

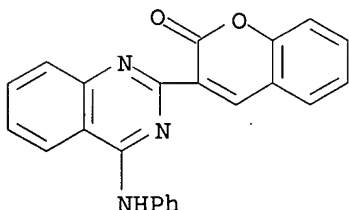
RN 85226-77-3 HCAPLUS

CN 4(1H)-Quinazolinone, 2-(6-bromo-2-oxo-2H-1-benzopyran-3-yl)- (9CI) (CA
INDEX NAME)



RN 85226-80-8 HCAPLUS

CN 2H-1-Benzopyran-2-one, 3-[4-(phenylamino)-2-quinazolinyl]- (9CI) (CA
INDEX NAME)



L24 ANSWER 20 OF 26 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1981:532796 HCAPLUS

DOCUMENT NUMBER: 95:132796

TITLE: Pyrimidine derivatives. II. New synthesis and
reactions of 4-amino-2-methylthiopyrimidine
derivatives

AUTHOR(S): Sekiya, Tetsuo; Hiranuma, Hidetoshi; Uchide, Masayuki;
Hata, Shunsuke; Yamada, Shunichi

CORPORATE SOURCE: Res. Lab., Mitsubishi Pharm. Co., Ltd., Ibaraki,
300-03, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1981),
29(4), 948-54

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 95:132796

GI For diagram(s), see printed CA Issue.

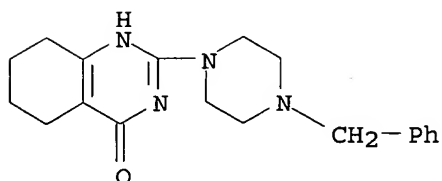
AB Oxidation of pyrimidines I [RR1 = (CH2)n, n = 3-5; R2 = SOMe, m = 0], prepared
by cyclocondensation of RCOCH2R1 with H2NC(SMe):NCN, gave I (m = 1, 2).
Aminating I [RR1 = (CH2)4, R2 = SOME] with NH3, MeNH2, and pyrrolidine
gave II (R2 = NH2, NHMe, pyrrolidino). Quinazolinones III (n = 3, 4) were
prepared by treating I [RR1 = (CH2)n, n = 3, 4; R2 = SMe] with NaNO2 or
isoamyl nitrite.

IT 79051-08-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and chlorination of)

RN 79051-08-4 HCAPLUS

CN 4(1H)-Quinazolinone, 5,6,7,8-tetrahydro-2-[4-(phenylmethyl)-1-piperazinyl]-
(9CI) (CA INDEX NAME)

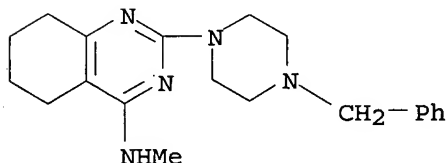


IT 79051-12-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 79051-12-0 HCAPLUS

CN 4-Quinazolinamine, 5,6,7,8-tetrahydro-N-methyl-2-[4-(phenylmethyl)-1-piperazinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

L24 ANSWER 21 OF 26 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1979:557681 HCAPLUS

DOCUMENT NUMBER: 91:157681

TITLE: Heterocyclic compounds. XII. Quinazoline derivatives
as potential antifertility agents

AUTHOR(S): Manhas, M. S.; Hoffman, W. A., III; Bose, A. K.

CORPORATE SOURCE: Dep. Chem. Chem. Eng., Stevens Inst. Technol.,
Hoboken, NJ, 07030, USA

SOURCE: Journal of Heterocyclic Chemistry (1979),
16(4), 711-15

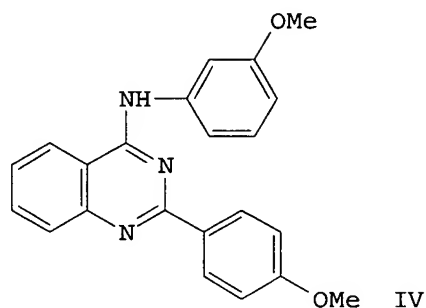
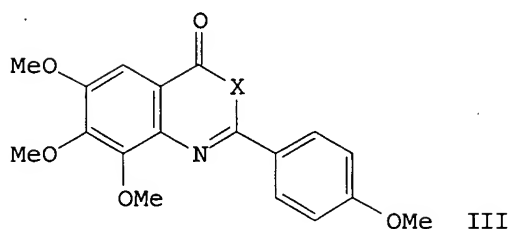
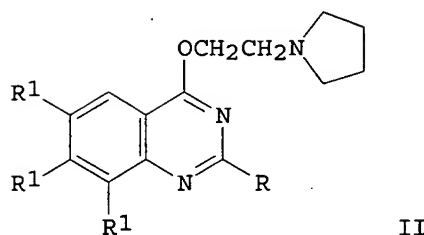
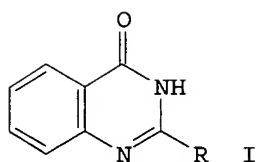
CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 91:157681

GI



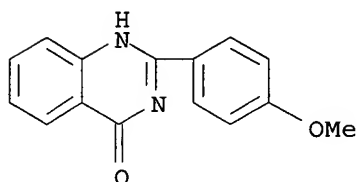
AB Acylation of 2-H₂NC₆H₄CONH₂ by RCOCl [R = 4-MeOC₆H₄, 4-MeOC₆H₄CH:CPh, α-benzylidene-3,4-dimethoxybenzyl, 3,4-methylenedioxyphenyl] gave 2-(RCONH)C₆H₄CONH₂, which cyclized in refluxing Ph₂O to give the corresponding quinazolinones I. Chlorination of I by POCl₃ followed by substitution reaction with 2-pyrrolidinoethanol Na salt gave ethoxyquinazolines II (R as defined above; R₁ = H). Hydrogenation of Me 3,4,5-trimethoxy-2-nitrobenzoate over Pt/C followed by acylation with 4-MeOC₆H₄COCl gave Me 2-(p-methoxybenzamido)-3,4,5-trimethoxybenzoate, which underwent cyclocondensation in refluxing C₆H₆ containing NaOMe to give the benzoxazinone III (X = O). Treatment of III (X = O) with NH₃ in MeOH under pressure gave III (X = NH), which underwent chlorination and substitution reaction with pyrrolidinoethanol Na salt to give II (R = 4-MeOC₆H₄; R₁ = MeO). Reaction of I (R = 4-MeOC₆H₄) with P₂S₅ gave the corresponding quinazolinethione, which underwent S-methylation with Me iodide and then substitution reaction with 3-MeOC₆H₄NH₂ to give the anilinoquinazoline IV. II (R = 4-MeOC₆H₄, α-benzylidene-3,4,5-trimethoxybenzyl, 3,4-methylenedioxyphenyl; R₁ = H) and IV possessed low level postcoital contraceptive activity in rats.

IT 1152-07-4P 61195-11-7P 71628-70-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and chlorination of)

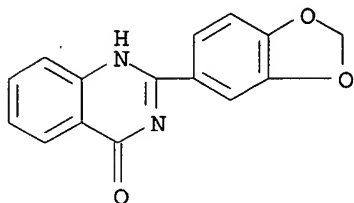
RN 1152-07-4 HCAPLUS

CN 4(1H)-Quinazolinone, 2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



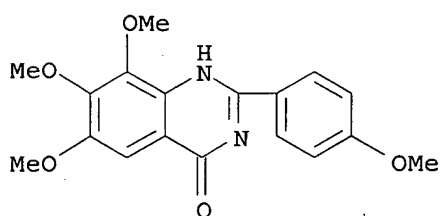
RN 61195-11-7 HCAPLUS

CN 4(1H)-Quinazolinone, 2-(1,3-benzodioxol-5-yl)- (9CI) (CA INDEX NAME)



RN 71628-70-1 HCAPLUS

CN 4(1H)-Quinazolinone, 6,7,8-trimethoxy-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

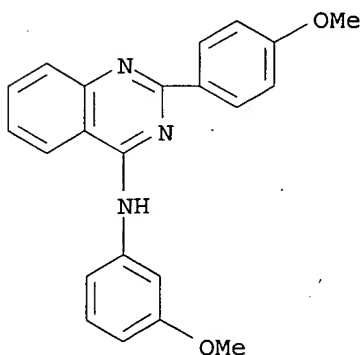


IT 71622-66-7P 71622-69-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

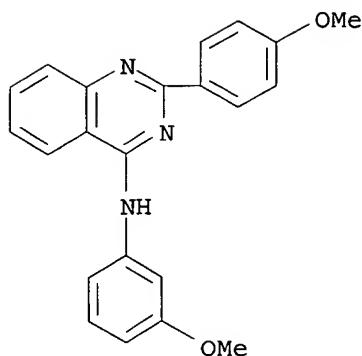
RN 71622-66-7 HCAPLUS

CN 4-Quinazolinamine, N-(3-methoxyphenyl)-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 71622-69-0 HCAPLUS

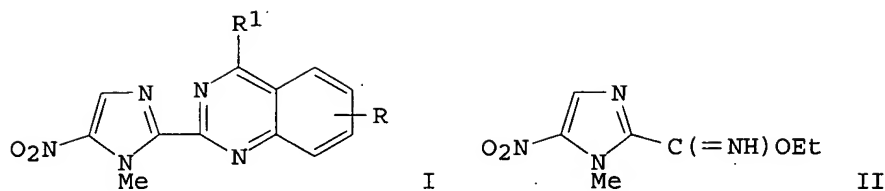
CN 4-Quinazolinamine, N-(3-methoxyphenyl)-2-(4-methoxyphenyl)-, monohydriodide (9CI) (CA INDEX NAME)



● HI

L24 ANSWER 22 OF 26 HCAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1977:535380 HCAPLUS
 DOCUMENT NUMBER: 87:135380
 TITLE: Quinazoline derivatives
 INVENTOR(S): Nesvadba, H.; Reinshagen, H.
 PATENT ASSIGNEE(S): Sandoz Ltd., Switz.
 SOURCE: Belg., 31 pp.
 CODEN: BEXXAL
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 841669	A1	19761110	BE 1976-166912	19760510 <--
CH 612432	A	19790731	CH 1975-6041	19750512 <--
DK 7601974	A	19761113	DK 1976-1974	19760503 <--
FI 7601232	A	19761113	FI 1976-1232	19760503 <--
NO 7601537	A	19761115	NO 1976-1537	19760504 <--
SE 7605158	A	19761113	SE 1976-5158	19760505 <--
SE 409455	B	19790820		
SE 409455	C	19791129		
US 4055642	A	19771025	US 1976-683291	19760505 <--
NL 7604894	A	19761116	NL 1976-4894	19760507 <--
GB 1551117	A	19790822	GB 1976-18778	19760507 <--
ES 447751	A1	19771001	ES 1976-447751	19760510 <--
CA 1071626	A1	19800212	CA 1976-252103	19760510 <--
JP 51138689	A2	19761130	JP 1976-53730	19760511 <--
AT 7603421	A	19800815	AT 1976-3421	19760511 <--
FR 2310756	A1	19761210	FR 1976-14235	19760512 <--
FR 2310756	B1	19781020		
CH 617691	A	19800613	CH 1978-12678	19781129 <--
PRIORITY APPLN. INFO.:			CH 1975-6041	A 19750512
GI				



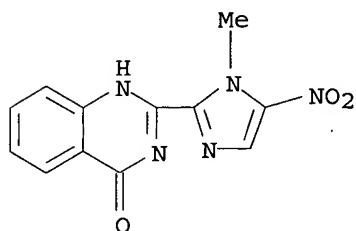
AB Amebicidal and trichomonacidal (no data) imidazolylquinazolines I (R = H, 7-Cl, 6-Me, 6-Cl; R₁ = aminoalkoxy, aminoalkylthio, aminoalkylamino) (24 compds.) were prepared. Thus, imidazole II was condensed with 2-H₂NC₆H₄CO₂H, the quinazolinone chlorinated, and I (R = H, R₁ = Cl) treated with diethanolamine to give I (R = H, R₁ = OCH₂CH₂NHCH₂CH₂OH).

IT 61717-33-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and chlorination of)

RN 61717-33-7 HCAPLUS

CN 4(1H)-Quinazolinone, 2-(1-methyl-5-nitro-1H-imidazol-2-yl)- (9CI) (CA INDEX NAME)

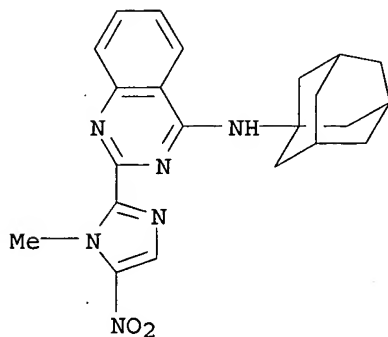


IT 61717-15-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 61717-15-5 HCAPLUS

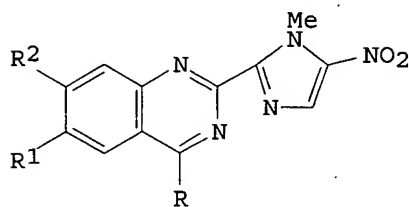
CN 4-Quinazolinamine, 2-(1-methyl-5-nitro-1H-imidazol-2-yl)-N-tricyclo[3.3.1.1^{3,7}]dec-1-yl- (9CI) (CA INDEX NAME)



L24 ANSWER 23 OF 26 HCAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1977:72696 HCAPLUS
 DOCUMENT NUMBER: 86:72696

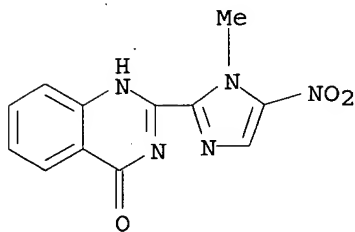
TITLE: Quinazoline derivatives
 INVENTOR(S): Nesvadba, Hans; Reinshagen, Hellmuth
 PATENT ASSIGNEE(S): Sandoz-Patent-G.m.b.H., Fed. Rep. Ger.
 SOURCE: Ger. Offen., 21 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2619110	A1	19761125	DE 1976-2619110	19760503 <--
CH 612432	A	19790731	CH 1975-6041	19750512 <--
DK 7601974	A	19761113	DK 1976-1974	19760503 <--
FI 7601232	A	19761113	FI 1976-1232	19760503 <--
NO 7601537	A	19761115	NO 1976-1537	19760504 <--
SE 7605158	A	19761113	SE 1976-5158	19760505 <--
SE 409455	B	19790820		
SE 409455	C	19791129		
US 4055642	A	19771025	US 1976-683291	19760505 <--
NL 7604894	A	19761116	NL 1976-4894	19760507 <--
GB 1551117	A	19790822	GB 1976-18778	19760507 <--
ES 447751	A1	19771001	ES 1976-447751	19760510 <--
CA 1071626	A1	19800212	CA 1976-252103	19760510 <--
JP 51138689	A2	19761130	JP 1976-53730	19760511 <--
AT 7603421	A	19800815	AT 1976-3421	19760511 <--
FR 2310756	A1	19761210	FR 1976-14235	19760512 <--
FR 2310756	B1	19781020		
CH 617691	A	19800613	CH 1978-12678	19781129 <--
PRIORITY APPLN. INFO.:			CH 1975-6041	A 19750512
GI				



AB Imidazolylquinazolines (I; R = e.g., HOCH₂CH₂NH, HOCH₂CH₂NHCH₂CH₂O, Et₂NCH₂CH₂S, morpholino, 4-methyl-1-piperazinyl; R₁ = H, Me; R₂ = H, Cl), useful as amebicides and trichomonacides, are prepared by reaction of 4-chloro-2-(1-methyl-5-nitro-2-imidazolyl)quinazolines with the appropriate alcs., thiols, or amines. The 4-chloro derivs. are obtained from the 4(3H)-quinazolinones which are prepared by cyclocondensation of an anthranilic acid with an alkyl 1-methyl-5-nitro-2-imidazolecarboximate. Thus, reaction of I (R = Cl, R₁ = R₂ = H) with HN(CH₂CH₂OH)₂ in DMF 1.5 h at 100° gives I(R = HOCH₂CH₂NHCH₂CH₂O, R₁ = R₂ = H).
 IT 61717-33-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and chlorination of)
 RN 61717-33-7 HCAPLUS

CN 4(1H)-Quinazolinone, 2-(1-methyl-5-nitro-1H-imidazol-2-yl)- (9CI) (CA INDEX NAME)

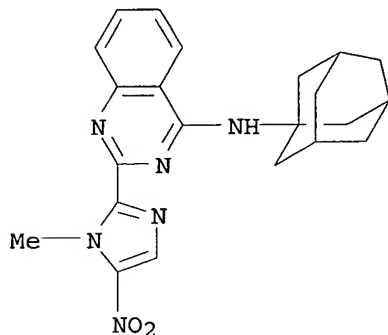


IT 61717-15-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 61717-15-5 HCAPLUS

CN 4-Quinazolinamine, 2-(1-methyl-5-nitro-1H-imidazol-2-yl)-N-tricyclo[3.3.1.1^{3,7}]dec-1-yl- (9CI) (CA INDEX NAME)



L24 ANSWER 24 OF 26 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1977:29739 HCAPLUS

DOCUMENT NUMBER: 86:29739

TITLE: Chemotherapeutic nitroheterocycles. 25.
2-(5-Nitro-2-furyl)-5,6,7,8-tetrahydroquinazolines and related compounds

AUTHOR(S): Albrecht, R.; Schumann, K.

CORPORATE SOURCE: Forschungslab., Schering A.-G., Berlin, Fed. Rep. Ger.

SOURCE: European Journal of Medicinal Chemistry (1976)
, 11(2), 155-8

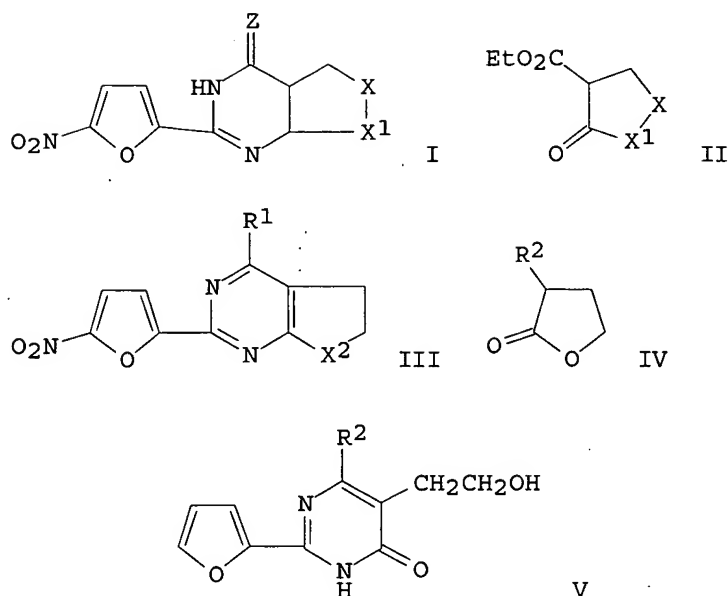
CODEN: EJMCA5; ISSN: 0223-5234

DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 86:29739

GI



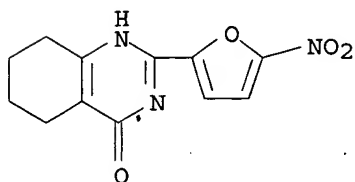
AB Fused pyrimidines I [XX1 = (CH₂)₂, (CH₂)₃, NBuCH₂CH₂; Z = O] were prepared by treating 2-furamidine-HCl with NaOEt and II and nitrating the product. Chlorination of I [XX1 = (CH₂)₃] gave quinazoline III, which was aminated to give III [R = NH₂, NHMe, pyrrolidino-HCl, morpholino-HCl, NHCH₂CH₂NMe₂-2HCl; X₂ = (CH₂)₂]. 2-Furamidine-HCl and furanones IV (R₂ = CO₂Et, Ac, cyano) gave pyrimidinones V (R₂ = OH, Me, NH₂), which were cyclized with concentrated H₂SO₄ and the products nitrated to give III (R₁ = R₂ of V, X₂ = O). Also prepared was I [XX1 = (CH₂)₂, Z = S]. III (R₁ = Cl, Me, basic substituent) had min. inhibitory concns. against *Trichomonas vaginalis* of 0.05-1.6 µg/ml.

IT 61378-80-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and chlorination of)

RN 61378-80-1 HCAPLUS

CN 4(1H)-Quinazolinone; 5,6,7,8-tetrahydro-2-(5-nitro-2-furanyl)- (9CI) (CA INDEX NAME)

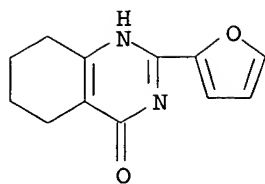


IT 61378-77-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and nitration of)

RN 61378-77-6 HCAPLUS

CN 4(1H)-Quinazolinone, 2-(2-furanyl)-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)

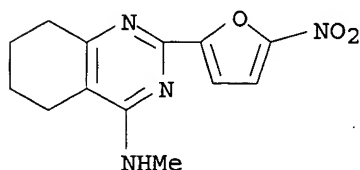


IT 61378-96-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and trichomonocidal activity of)

RN 61378-96-9 HCAPLUS

CN 4-Quinazolinamine, 5,6,7,8-tetrahydro-N-methyl-2-(5-nitro-2-furanyl)-
(9CI) (CA INDEX NAME)



L24 ANSWER 25 OF 26 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1973:111244 HCAPLUS

DOCUMENT NUMBER: 78:111244

TITLE: New synthesis of 2-aminoquinazoline derivatives

AUTHOR(S): Ried, Walter; Merkel, Wulf

CORPORATE SOURCE: Inst. Org. Chem., Univ. Frankfurt, Frankfurt/M., Fed.
Rep. Ger.

SOURCE: Justus Liebigs Annalen der Chemie (1973),
(1), 122-8

CODEN: JLACBF; ISSN: 0075-4617

DOCUMENT TYPE: Journal

LANGUAGE: German

GI For diagram(s), see printed CA Issue.

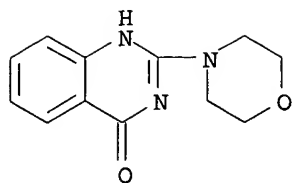
AB [PhN:C(Z)S]2Hg (Z = morpholino) reacted with excess BrCN in boiling dioxane to give 30% quinazoline derivative (I) which on acid hydrolysis, HCl(g) cleavage in Bu2O at 80%, or LiAlH4 reduction in Et2O gave the derivs. 2-morpholino-4-quinazolinone, II, or III, resp. Reaction of RC6H4N:C(Z)Cl (Z = morpholino or NMe2) with KSCN in MeOCH2CH2OMe at room temperature gave 30-90% quinazolinethiones IV (R = H, 8-Me, or 5-NO2), the formation of which served as a model reaction for the discussion of the mechanism yielding I.

IT 33080-91-0P 41078-30-2P

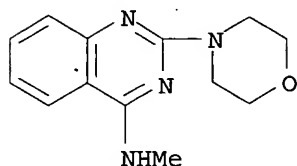
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 33080-91-0 HCAPLUS

CN 4(1H)-Quinazolinone, 2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



RN 41078-30-2 HCAPLUS
CN 4-Quinazolinamine, N-methyl-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)

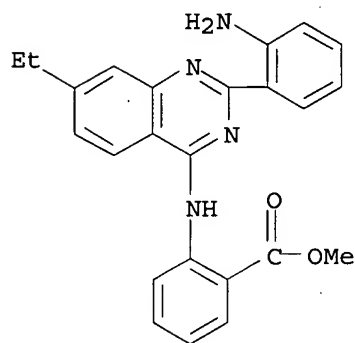


L24 ANSWER 26 OF 26 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1971:53704 HCAPLUS
DOCUMENT NUMBER: 74:53704
TITLE: Cyclic amidines. XXII. Novel isomerism of disubstituted tricycloquinazolines and molecular orientations in carcinogenesis
AUTHOR(S): Partridge, Maurice W.; Brunswick, D. J.; Vipond, H. J.
CORPORATE SOURCE: Univ. Nottingham, Nottingham, UK
SOURCE: Journal of the Chemical Society [Section] C: Organic (1970), (19), 2641-7
CODEN: JSOOAX; ISSN: 0022-4952
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Certain disubstituted tricycloquinazolines, such as I and II, exhibit an unusual structural isomerism originating from the modification of the symmetry of tricycloquinazoline by substitution. Mol. orientations specific for carcinogenesis, consistent with differences in the carcinogenic activities of such isomers and other 2-substituted tri-cycloquinazolines were deduced.
IT 30380-10-0P 30380-11-1P 30380-12-2P
30380-13-3P 30380-14-4P 30380-15-5P
30380-16-6P 30380-17-7P 30380-18-8P
30380-19-9P 30380-20-2P 30380-21-3P
30380-22-4P 30380-23-5P 30380-24-6P
30380-25-7P 30380-26-8P 30391-09-4P
30391-10-7P 30391-11-8P 30391-19-6P
30391-20-9P 30391-21-0P 30391-22-1P
30391-23-2P 30391-24-3P 30391-25-4P
30391-26-5P 30391-27-6P 30391-28-7P
30391-29-8P 30391-30-1P 30391-31-2P
30391-32-3P 30391-33-4P 30391-34-5P
30391-35-6P 30391-36-7P 30391-37-8P
30391-38-9P 30391-39-0P 30391-40-3P
30391-41-4P 30391-42-5P 30391-43-6P
30391-44-7P 30391-45-8P 30563-96-3P
30563-97-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

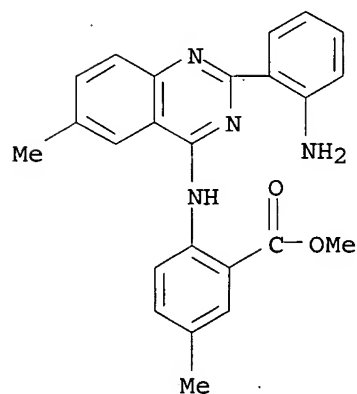
RN 30380-10-0 HCAPLUS

CN Anthranilic acid, N-[2-(o-aminophenyl)-7-ethyl-4-quinazolinyl]-, methyl ester (8CI) (CA INDEX NAME)



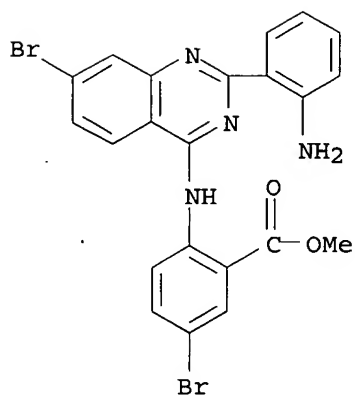
RN 30380-11-1 HCAPLUS

CN m-Toluic acid, 6-[[2-(o-aminophenyl)-6-methyl-4-quinazolinyl]amino]-, methyl ester (8CI) (CA INDEX NAME)



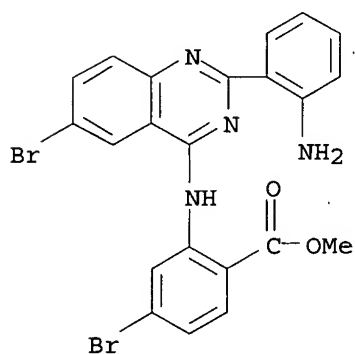
RN 30380-12-2 HCAPLUS

CN Anthranilic acid, N-[2-(o-aminophenyl)-7-bromo-4-quinazolinyl]-5-bromo-, methyl ester (8CI) (CA INDEX NAME)



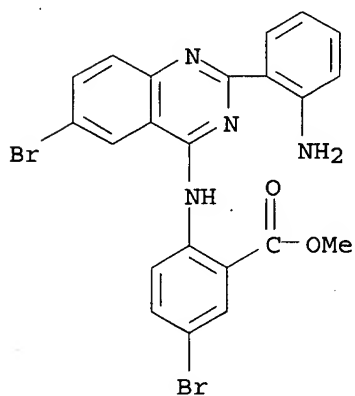
RN 30380-13-3 HCAPLUS

CN Anthranilic acid, N-[2-(o-aminophenyl)-6-bromo-4-quinazolinyl]-4-bromo-, methyl ester (8CI) (CA INDEX NAME)



RN 30380-14-4 HCAPLUS

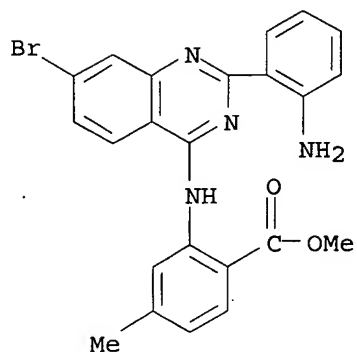
CN Anthranilic acid, N-[2-(o-aminophenyl)-6-bromo-4-quinazolinyl]-5-bromo-, methyl ester (8CI) (CA INDEX NAME)



RN 30380-15-5 HCAPLUS

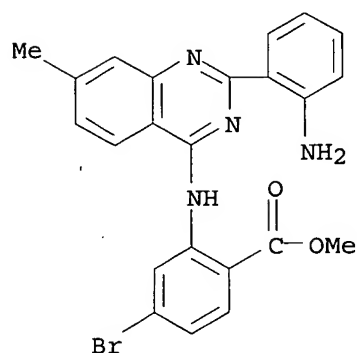
CN p-Toluic acid, 2-[[2-(o-aminophenyl)-7-bromo-4-quinazolinyl]amino]-,

methyl ester (8CI) (CA INDEX NAME)



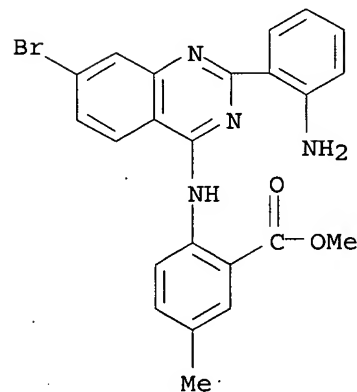
RN 30380-16-6 HCAPLUS

CN Anthranilic acid, N-[2-(o-aminophenyl)-7-methyl-4-quinazolinyl]-4-bromo-, methyl ester (8CI) (CA INDEX NAME)



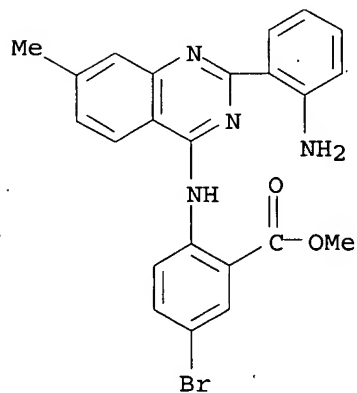
RN 30380-17-7 HCAPLUS

CN m-Toluic acid, 6-[[2-(o-aminophenyl)-7-bromo-4-quinazolinyl]amino]-, methyl ester (8CI) (CA INDEX NAME)

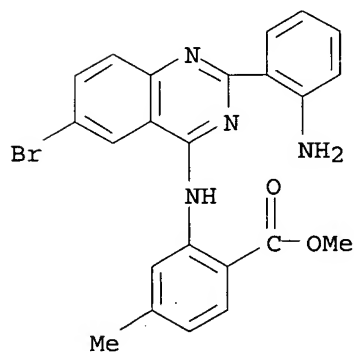


RN 30380-18-8 HCAPLUS

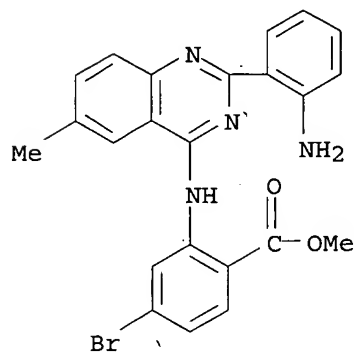
CN Anthranilic acid, N-[2-(o-aminophenyl)-7-methyl-4-quinazolinyl]-5-bromo-, methyl ester (8CI) (CA INDEX NAME)



RN 30380-19-9 HCAPLUS
CN p-Toluic acid, 2-[[2-(o-aminophenyl)-6-bromo-4-quinazolinyl]amino]-, methyl ester (8CI) (CA INDEX NAME)

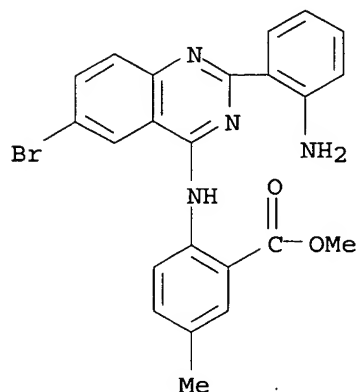


RN 30380-20-2 HCAPLUS
CN Anthranilic acid, N-[2-(o-aminophenyl)-6-methyl-4-quinazolinyl]-4-bromo-, methyl ester (8CI) (CA INDEX NAME)



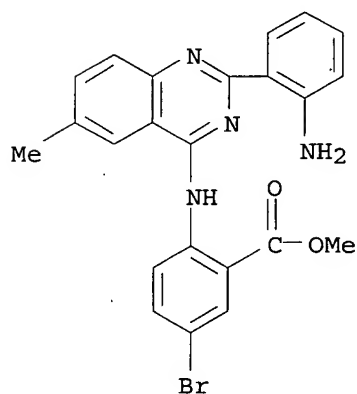
RN 30380-21-3 HCAPLUS

CN m-Toluic acid, 6-[[2-(o-aminophenyl)-6-bromo-4-quinazolinyl]amino]-, methyl ester (8CI) (CA INDEX NAME)



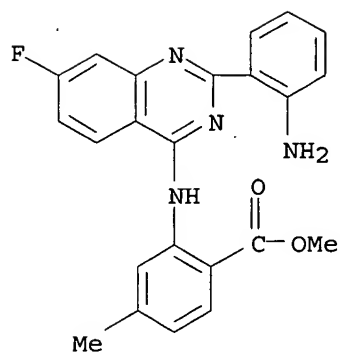
RN 30380-22-4 HCAPLUS

CN Anthranilic acid, N-[2-(o-aminophenyl)-6-methyl-4-quinazolinyl]-5-bromo-, methyl ester (8CI) (CA INDEX NAME)



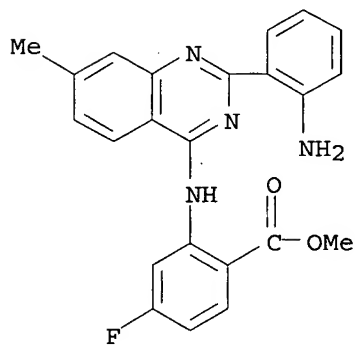
RN 30380-23-5 HCAPLUS

CN p-Toluic acid, 2-[[2-(o-aminophenyl)-7-fluoro-4-quinazolinyl]amino]-, methyl ester (8CI) (CA INDEX NAME)



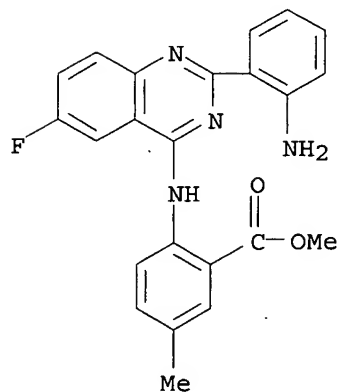
RN 30380-24-6 HCAPLUS

CN Anthranilic acid, N-[2-(o-aminophenyl)-7-methyl-4-quinazolinyl]-4-fluoro-, methyl ester (8CI) (CA INDEX NAME)



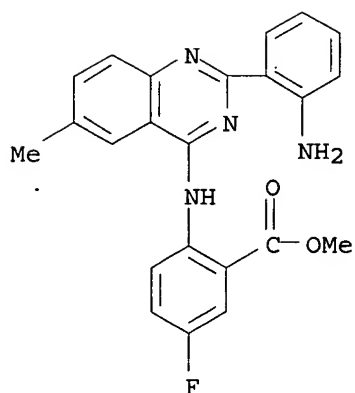
RN 30380-25-7 HCAPLUS

CN m-Toluic acid, 6-[[2-(o-aminophenyl)-6-fluoro-4-quinazolinyl]amino]-, methyl ester (8CI) (CA INDEX NAME)

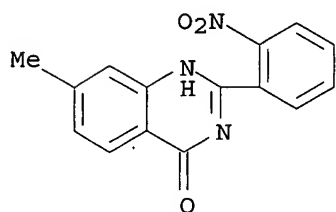


RN 30380-26-8 HCAPLUS

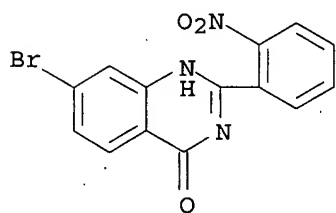
CN Anthranilic acid, N-[2-(o-aminophenyl)-6-methyl-4-quinazolinyl]-5-fluoro-, methyl ester (8CI) (CA INDEX NAME)



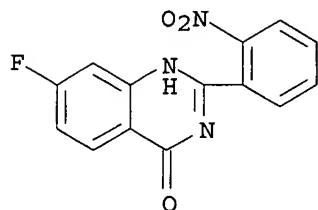
RN 30391-09-4 HCAPLUS
CN 4(3H)-Quinazolinone, 7-methyl-2-(o-nitrophenyl)- (8CI) (CA INDEX NAME)



RN 30391-10-7 HCAPLUS
CN 4(3H)-Quinazolinone, 7-bromo-2-(o-nitrophenyl)- (8CI) (CA INDEX NAME)

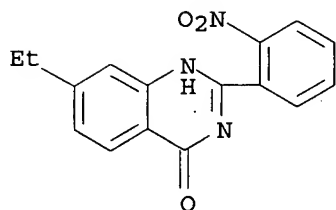


RN 30391-11-8 HCAPLUS
CN 4(3H)-Quinazolinone, 7-fluoro-2-(o-nitrophenyl)- (8CI) (CA INDEX NAME)



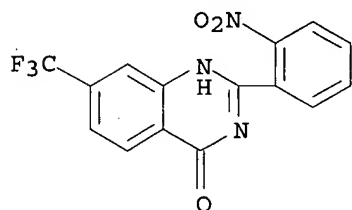
RN 30391-19-6 HCAPLUS

CN 4(3H)-Quinazolinone, 7-ethyl-2-(o-nitrophenyl)- (8CI) (CA INDEX NAME)



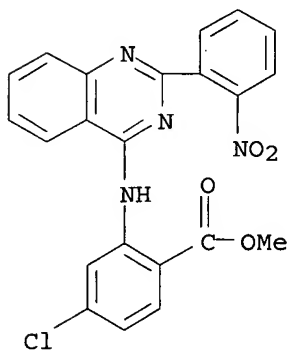
RN 30391-20-9 HCAPLUS

CN 4(3H)-Quinazolinone, 2-(o-nitrophenyl)-7-(trifluoromethyl)- (8CI) (CA INDEX NAME)



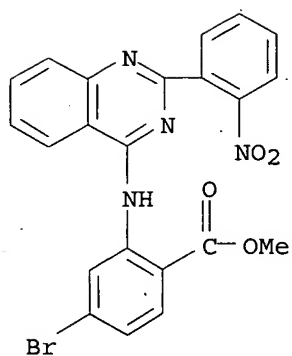
RN 30391-21-0 HCAPLUS

CN Anthranilic acid, 4-chloro-N-[2-(o-nitrophenyl)-4-quinazolinyl]-, methyl ester (8CI) (CA INDEX NAME)



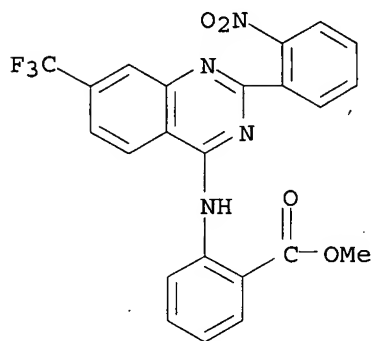
RN 30391-22-1 HCAPLUS

CN Anthranilic acid, 4-bromo-N-[2-(o-nitrophenyl)-4-quinazolinyl]-, methyl ester (8CI) (CA INDEX NAME)



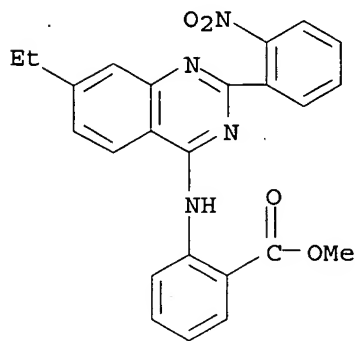
RN 30391-23-2 HCAPLUS

CN Anthranilic acid, N-[2-(o-nitrophenyl)-7-(trifluoromethyl)-4-quinazolinyl]-, methyl ester (8CI) (CA INDEX NAME)



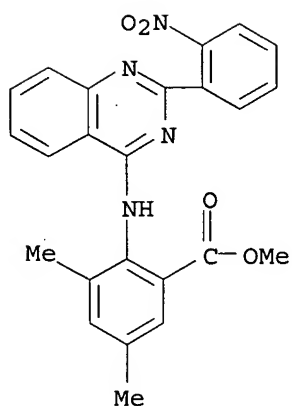
RN 30391-24-3 HCAPLUS

CN Anthranilic acid, N-[7-ethyl-2-(o-nitrophenyl)-4-quinazolinyl]-, methyl ester (8CI) (CA INDEX NAME)



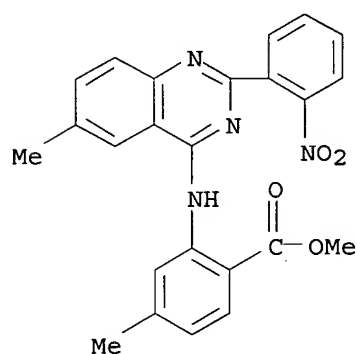
RN 30391-25-4 HCAPLUS

CN Anthranilic acid, 3,5-dimethyl-N-[2-(o-nitrophenyl)-4-quinazolinyl]-, methyl ester (8CI) (CA INDEX NAME)



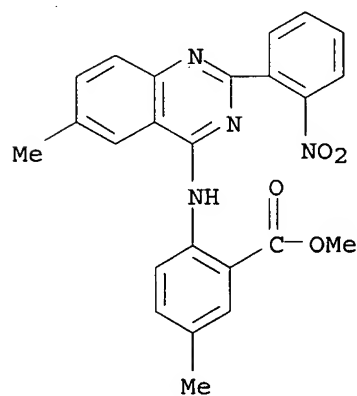
RN 30391-26-5 HCAPLUS

CN p-Toluic acid, 2-[[6-methyl-2-(o-nitrophenyl)-4-quinazolinyl]amino]-, methyl ester (8CI) (CA INDEX NAME)



RN 30391-27-6 HCAPLUS

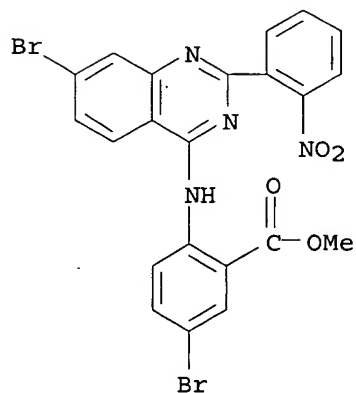
CN m-Toluic acid, 6-[[6-methyl-2-(o-nitrophenyl)-4-quinazolinyl]amino]-, methyl ester (8CI) (CA INDEX NAME)



RN 30391-28-7 HCAPLUS

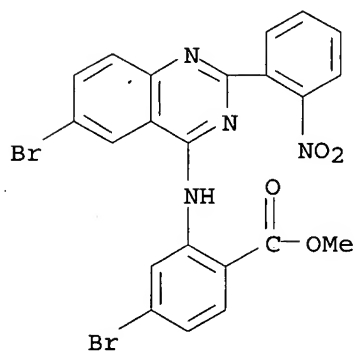
CN Anthranilic acid, 5-bromo-N-[7-bromo-2-(o-nitrophenyl)-4-quinazolinyl]-,

methyl ester (8CI) (CA INDEX NAME)



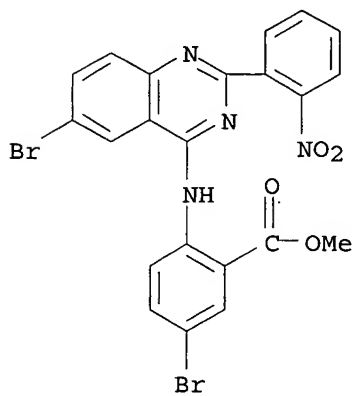
RN 30391-29-8 HCAPLUS

CN Anthranilic acid, 4-bromo-N-[6-bromo-2-(o-nitrophenyl)-4-quinazolinyl]-, methyl ester (8CI) (CA INDEX NAME)



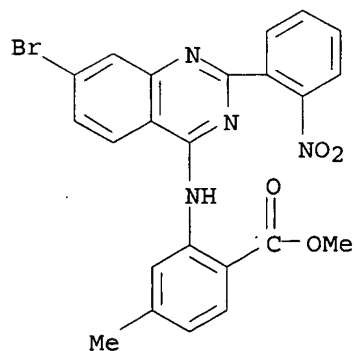
RN 30391-30-1 HCAPLUS

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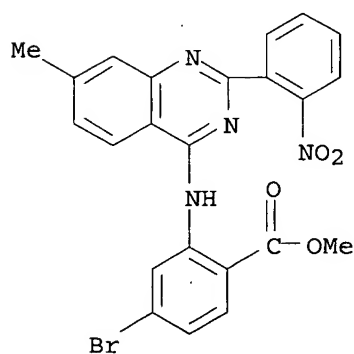
RN 30391-31-2 HCAPLUS

CN p-Toluic acid, 2-[[7-bromo-2-(o-nitrophenyl)-4-quinazolinyl]amino]-, methyl ester (8CI) (CA INDEX NAME)



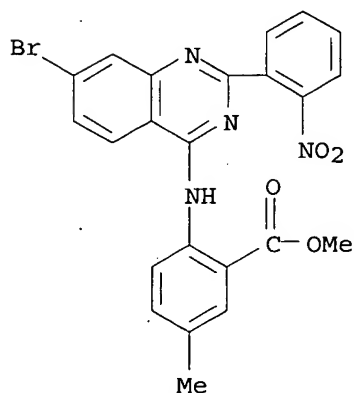
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CN Anthranilic acid, 4-bromo-N-[7-methyl-2-(o-nitrophenyl)-4-quinazolinyl]-, methyl ester (8CI) (CA INDEX NAME)



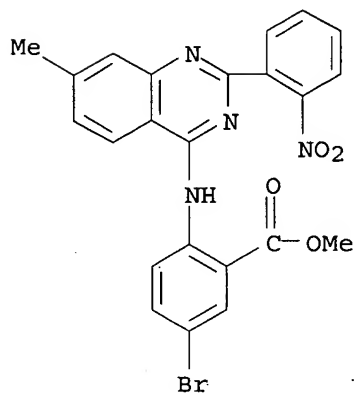
RN 30391-33-4 HCAPLUS

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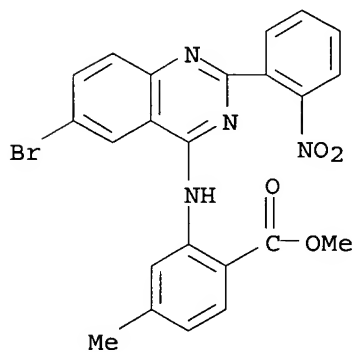
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CN Anthranilic acid, 5-bromo-N-[7-methyl-2-(o-nitrophenyl)-4-quinazolinyl]-, methyl ester (8CI) (CA INDEX NAME)



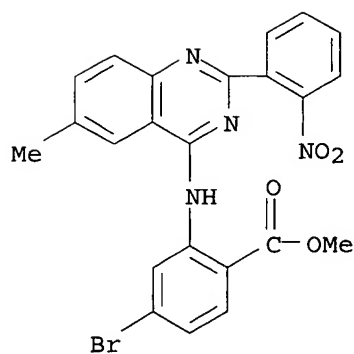
RN 30391-35-6 HCAPLUS

CN p-Toluic acid, 2-[[6-bromo-2-(o-nitrophenyl)-4-quinazolinyl]amino]-, methyl ester (8CI) (CA INDEX NAME)

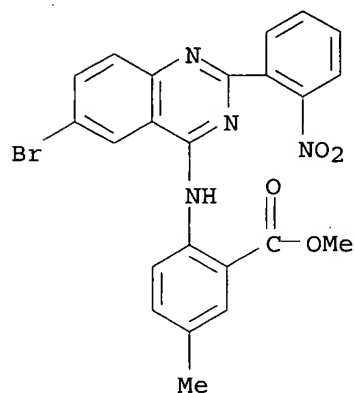


RN 30391-36-7 HCAPLUS

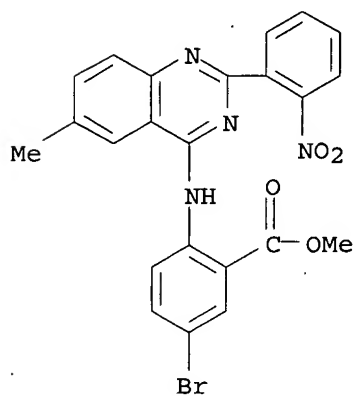
CN Anthranilic acid, 4-bromo-N-[6-methyl-2-(o-nitrophenyl)-4-quinazolinyl]-, methyl ester (8CI) (CA INDEX NAME)



RN 30391-37-8 HCAPLUS
 CN m-Toluic acid, 6-[[6-bromo-2-(o-nitrophenyl)-4-quinazolinyl]amino]-, methyl ester (8CI) (CA INDEX NAME)

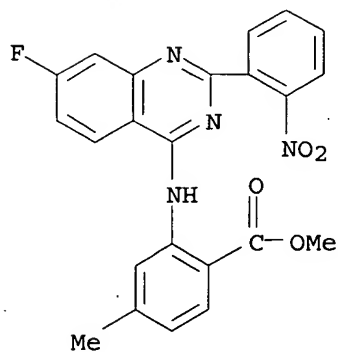


RN 30391-38-9 HCAPLUS
 CN Anthranilic acid, 5-bromo-N-[6-methyl-2-(o-nitrophenyl)-4-quinazolinyl]-, methyl ester (8CI) (CA INDEX NAME)



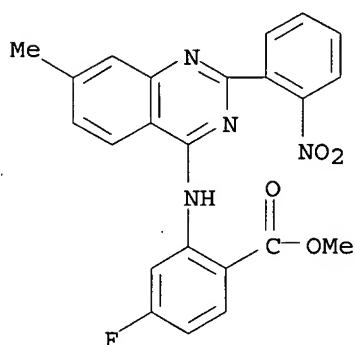
RN 30391-39-0 HCAPLUS
 CN p-Toluic acid, 2-[[7-fluoro-2-(o-nitrophenyl)-4-quinazolinyl]amino]-,

methyl ester (8CI) (CA INDEX NAME)



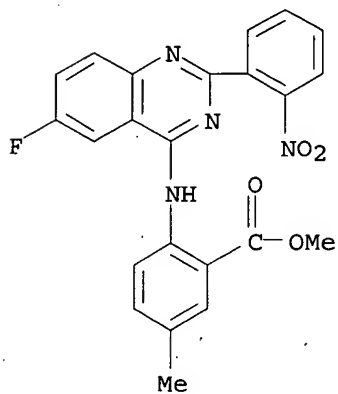
RN 30391-40-3 HCAPLUS

CN Anthranilic acid, 4-fluoro-N-[7-methyl-2-(o-nitrophenyl)-4-quinazolinyl]-, methyl ester (8CI) (CA INDEX NAME)



RN 30391-41-4 HCAPLUS

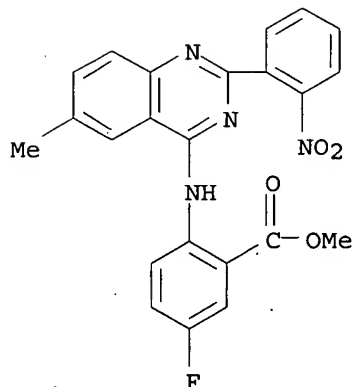
CN m-Toluic acid, 6-[[6-fluoro-2-(o-nitrophenyl)-4-quinazolinyl]amino]-, methyl ester (8CI) (CA INDEX NAME)



RN 30391-42-5 HCAPLUS

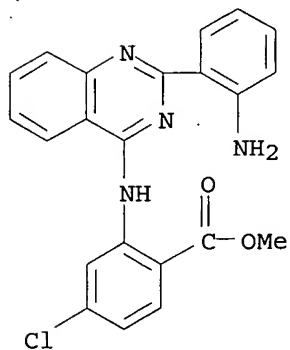
CN Anthranilic acid, 5-fluoro-N-[6-methyl-2-(o-nitrophenyl)-4-quinazolinyl]-, methyl ester (8CI) (CA INDEX NAME)

methyl ester (8CI) (CA INDEX NAME)



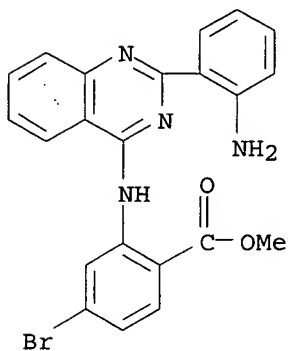
RN 30391-43-6 HCAPLUS

CN Anthranilic acid, N-[2-(o-aminophenyl)-4-quinazolinyl]-4-chloro-, methyl ester (8CI) (CA INDEX NAME)



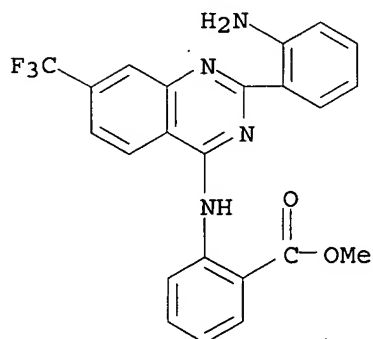
RN 30391-44-7 HCAPLUS

CN Anthranilic acid, N-[2-(o-aminophenyl)-4-quinazolinyl]-4-bromo-, methyl ester (8CI) (CA INDEX NAME)

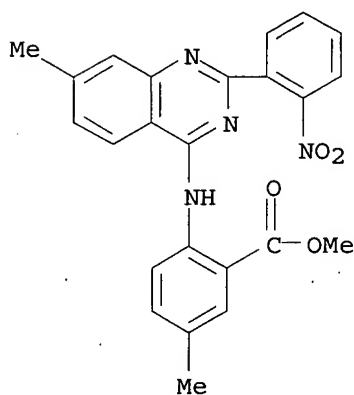


RN 30391-45-8 HCAPLUS

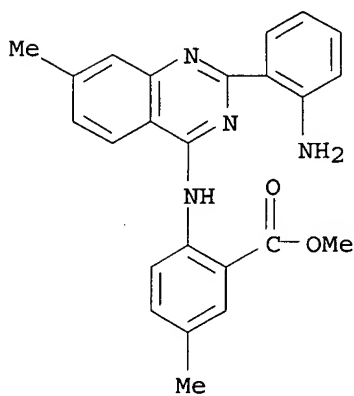
CN Anthranilic acid, N-[2-(o-aminophenyl)-7-(trifluoromethyl)-4-quinazolinyl]-, methyl ester (8CI) (CA INDEX NAME)



RN 30563-96-3 HCAPLUS
CN m-Toluic acid, 6-[[7-methyl-2-(o-nitrophenyl)-4-quinazolinyl]amino]-, methyl ester (8CI) (CA INDEX NAME)



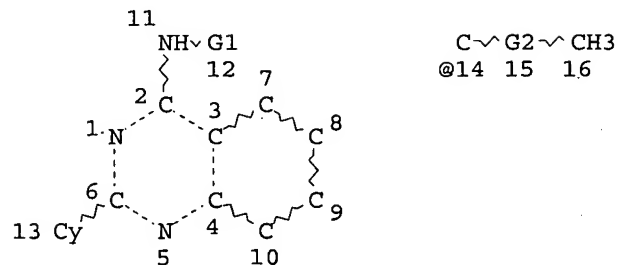
RN 30563-97-4 HCAPLUS
CN m-Toluic acid, 6-[[2-(o-aminophenyl)-7-methyl-4-quinazolinyl]amino]-, methyl ester (8CI) (CA INDEX NAME)



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L1 STR



VAR G1=14/ME/CB

REP G2=(0-6) C

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

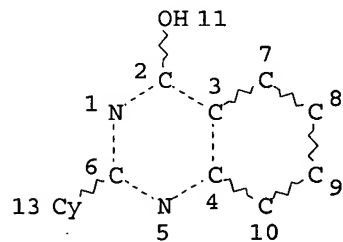
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE

L13 1834 SEA FILE=REGISTRY SSS FUL L1

L14 STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

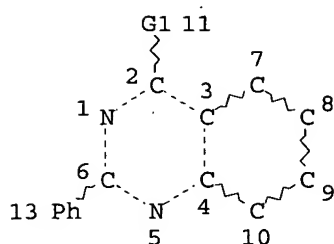
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L15 3071 SEA FILE=REGISTRY SSS FUL L14

L16 STR



VAR G1=N/OH
 NODE ATTRIBUTES:
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L17 1540 SEA FILE=REGISTRY SUB=L13 SSS FUL L1 NOT L16
 L18 2954 SEA FILE=REGISTRY SUB=L15 SSS FUL L14 NOT L16
 L19 112 SEA FILE=HCAPLUS ABB=ON PLU=ON L17
 L20 668 SEA FILE=HCAPLUS ABB=ON PLU=ON L18
 L22 88 SEA FILE=HCAPLUS ABB=ON PLU=ON L17/P
 L23 38 SEA FILE=HCAPLUS ABB=ON PLU=ON L20 AND L22
 L24 26 SEA FILE=HCAPLUS ABB=ON PLU=ON L23 AND PD=<FEBRUARY 5, 1999
 L25 78 SEA FILE=HCAPLUS ABB=ON PLU=ON L19 AND PD=<FEBRUARY 5, 1999
 L26 7 SEA FILE=HCAPLUS ABB=ON PLU=ON (L25 AND L20) NOT L24

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 =>

=> d ibib abs hitstr l26 1-7

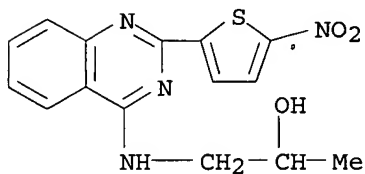
L26 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1972:107933 HCAPLUS
 DOCUMENT NUMBER: 76:107933
 TITLE: Anthelmintic 2-(5-nitro-2-thienyl)-4-(substituted amino)quinazolines
 AUTHOR(S): Alaimo, Robert J.; Hatton, Christopher J.
 CORPORATE SOURCE: Res. Dev. Dep., Norwich Pharm. Co., Norwich, NY, USA
 SOURCE: Journal of Medicinal Chemistry (1972), 15(1), 108-9
 CODEN: JMCMAR; ISSN: 0022-2623
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Of 19 anthelmintic 2-(5-nitro-2-thienyl)-4-(substituted amino)quinazolines (I), synthesized by displacement of the activated Cl atom in 4-chloro-2-(5-nitro-2-thienyl)quinazolines by various amines, 4-[(2-hydroxyethyl)amino]-2-(5-nitro-2-thienyl)quinazoline [33389-36-5] (I, R=R1=H, R2 = CH2CH2OH) exhibited the strongest activity against the helminthic parasites Ascaris suum and Syphacia obvelata and against the tapeworm Hymenolepis nana in mice. The chloroquinazolines were synthesized from 5-nitro-2-thiophenecarboxaldehyde and anthranilamides, affording dihydroquinazolinones which were oxidized with p-benzoquinone and chlorinated with PCl5 in POCl3.

IT 35771-24-5 35771-26-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(anthelmintic activity of)

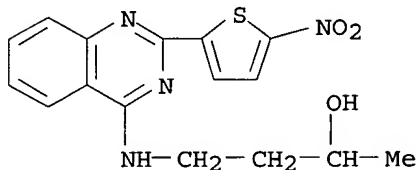
RN 35771-24-5 HCAPLUS

CN 2-Propanol, 1-[[2-(5-nitro-2-thienyl)-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



RN 35771-26-7 HCAPLUS

CN 2-Butanol, 4-[[2-(5-nitro-2-thienyl)-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)

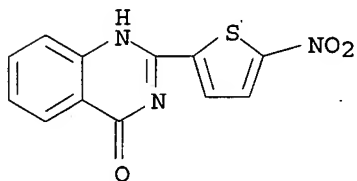


IT 33389-34-3P 33433-28-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

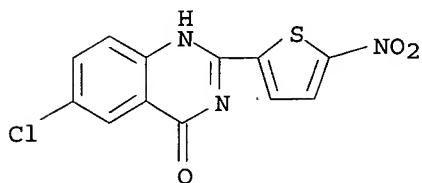
RN 33389-34-3 HCAPLUS

CN 4(1H)-Quinazolinone, 2-(5-nitro-2-thienyl)- (9CI) (CA INDEX NAME)



RN 33433-28-2 HCAPLUS

CN 4(1H)-Quinazolinone, 6-chloro-2-(5-nitro-2-thienyl)- (9CI) (CA INDEX NAME)



L26 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1966:104206 HCAPLUS

DOCUMENT NUMBER: 64:104206

ORIGINAL REFERENCE NO.: 64:19608c-d

TITLE: Nitrofuryl heterocycles. IV. 4-Amino-2-(5-nitro-2-furyl)quinazoline derivatives

AUTHOR(S): Burch, Homer A.

CORPORATE SOURCE: Chem. Div., Norwich Pharmacal Co., Norwich, NY

SOURCE: Journal of Medicinal Chemistry (1966), 9(3), 408-10

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

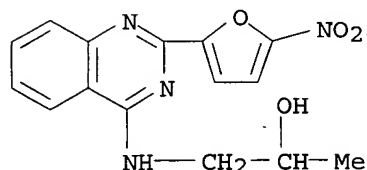
OTHER SOURCE(S): CASREACT 64:104206

AB cf. CA 64, 19596c. Thirty-five 4-(substituted amino)-2-(5-nitro-2-furyl)quinazolines were prepared and found to possess broad in vitro antibacterial activity against a variety of organisms. Several compds. were also active in vivo against Staphylococcus aureus infections. The most active compound contained the 4-bis(2-hydroxyethyl)amino group. A new mol. grouping responsible for enhancing the antibacterial activity of nitrofurans is postulated.

IT 5019-70-5, 2-Propanol, 1-[[2-(5-nitro-2-furyl)-4-quinazolinyl]amino]- 5019-71-6, 1-Propanol, 2-methyl-2-[[2-(5-nitro-2-furyl)-4-quinazolinyl]amino]- 6023-96-7, 4(3H)-Quinazolinone, 2-(5-nitro-2-furyl)-(preparation of)

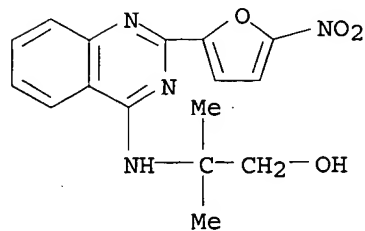
RN 5019-70-5 HCAPLUS

CN 2-Propanol, 1-[[2-(5-nitro-2-furanyl)-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



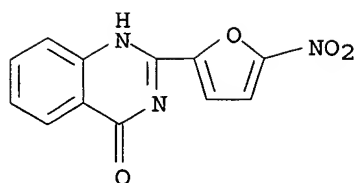
RN 5019-71-6 HCAPLUS

CN 1-Propanol, 2-methyl-2-[[2-(5-nitro-2-furanyl)-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



RN 6023-96-7 HCAPLUS

CN 4(1H)-Quinazolinone, 2-(5-nitro-2-furanyl)- (9CI) (CA INDEX NAME)



L26 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1965:3106 HCAPLUS

DOCUMENT NUMBER: 62:3106

ORIGINAL REFERENCE NO.: 62:561f-g

TITLE: Cyclic Amidines. XVIII. The synthesis of tricycloquinazolines by cyclodehydrogenation

AUTHOR(S): Partridge, M. W.; Slorach, S. A.; Vipond, H. J.

SOURCE: Journal of the Chemical Society, Abstracts (1964), (Oct.), 3670-3

CODEN: JCSAAZ; ISSN: 0590-9791

DOCUMENT TYPE: Journal

LANGUAGE: English

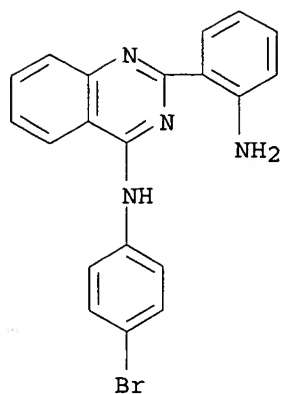
GI For diagram(s), see printed CA Issue.

AB Cyclization of 2-o-aminophenyl-4-arylaminquinazolines with HC(OEt)₃ yields 7-aryliminotriazabenz[a]anthracenes (I) which, on cyclodehydrogenation, afford tricycloquinazolines, e.g. II.

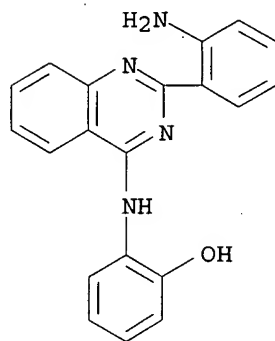
IT 855-89-0, Quinazoline, 2-(o-aminophenyl)-4-(p-bromoanilino)-
856-01-9, Phenol, o-[[2-(o-aminophenyl)-4-quinazolinyl]amino]-
857-68-1, Formanilide, 2'-(4-anilino-2-quinazolinyl)-
859-13-2, Phenol, o-[[2-(o-nitrophenyl)-4-quinazolinyl]amino]-
859-14-3, Quinazoline, 4-(p-bromoanilino)-2-(o-nitrophenyl)-
860-40-2, Quinazoline, 2-(o-aminophenyl)-4-(2-naphthylamino)-
862-07-7, Quinazoline, 4-(2-naphthylamino)-2-(o-nitrophenyl)-
863-07-0, 1-Naphthoic acid, 2-[[2-(o-aminophenyl)-4-quinazolinyl]amino]-, methyl ester 863-08-1, 2-Naphthoic acid, 3-[[2-(o-aminophenyl)-4-quinazolinyl]amino]-, methyl ester 863-93-4, 2-Naphthoic acid, 3-[[2-(o-nitrophenyl)-4-quinazolinyl]amino]-, methyl ester 976-20-5, Quinazoline, 2-(p-aminophenyl)-4-anilino- 982-56-9, o-Toluanilide, 2'-(4-hydroxy-2-quinazolinyl)- 1062-47-1, 1-Naphthoic acid, 2-[[2-(o-nitrophenyl)-4-quinazolinyl]amino]-, methyl ester 27259-73-0, 4-Quinazolinol, 2-(o-aminophenyl)- (preparation of)

RN 855-89-0 HCAPLUS

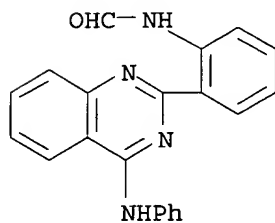
CN Quinazoline, 2-(o-aminophenyl)-4-(p-bromoanilino)- (7CI, 8CI) (CA INDEX NAME)



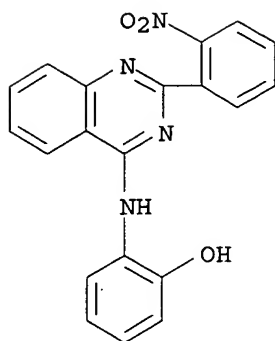
RN 856-01-9 HCAPLUS
 CN Phenol, o-[[2-(o-aminophenyl)-4-quinazolinyl]amino]- (7CI, 8CI) (CA INDEX NAME)



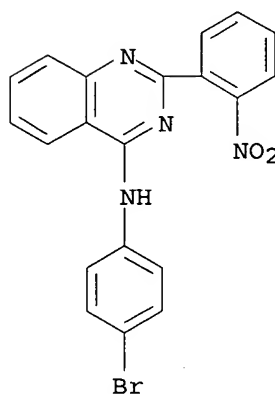
RN 857-68-1 HCAPLUS
 CN Formanilide, 2'-[(4-anilino-2-quinazolinyl)- (7CI, 8CI) (CA INDEX NAME)



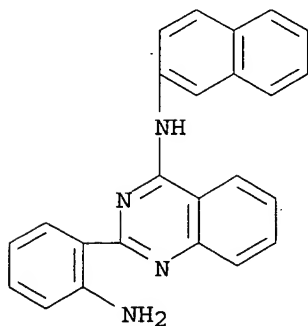
RN 859-13-2 HCAPLUS
 CN Phenol, o-[[2-(o-nitrophenyl)-4-quinazolinyl]amino]- (7CI, 8CI) (CA INDEX NAME)



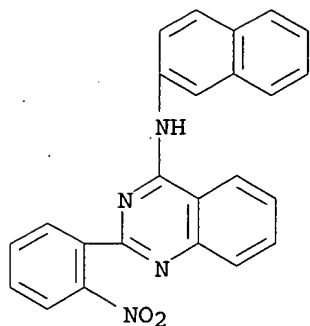
RN 859-14-3 HCAPLUS
CN Quinazoline, 4-(p-bromoanilino)-2-(o-nitrophenyl)- (7CI, 8CI) (CA INDEX NAME)



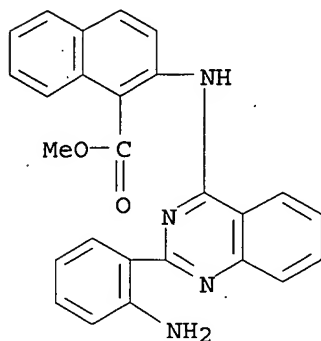
RN 860-40-2 HCAPLUS
CN Quinazoline, 2-(o-aminophenyl)-4-(2-naphthylamino)- (7CI, 8CI) (CA INDEX NAME)



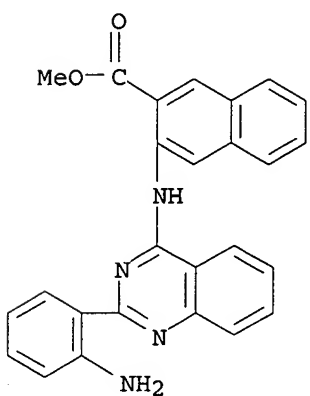
RN 862-07-7 HCAPLUS
CN Quinazoline, 4-(2-naphthylamino)-2-(o-nitrophenyl)- (7CI, 8CI) (CA INDEX NAME)



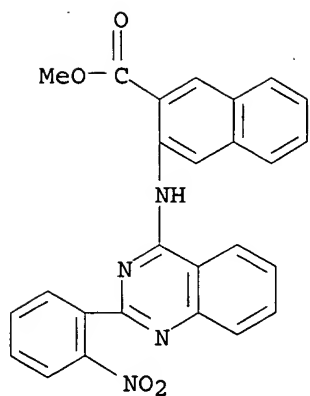
RN 863-07-0 HCAPLUS
 CN 1-Naphthoic acid, 2-[[2-(o-aminophenyl)-4-quinazolinyl]amino]-, methyl ester (7CI, 8CI) (CA INDEX NAME)



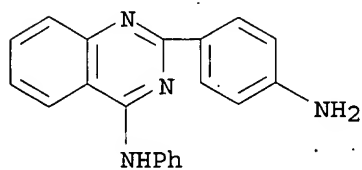
RN 863-08-1 HCAPLUS
 CN 2-Naphthoic acid, 3-[[2-(o-aminophenyl)-4-quinazolinyl]amino]-, methyl ester (7CI, 8CI) (CA INDEX NAME)



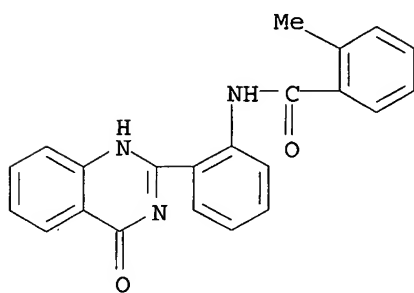
RN 863-93-4 HCAPLUS
 CN 2-Naphthoic acid, 3-[[2-(o-nitrophenyl)-4-quinazolinyl]amino]-, methyl ester (7CI, 8CI) (CA INDEX NAME)



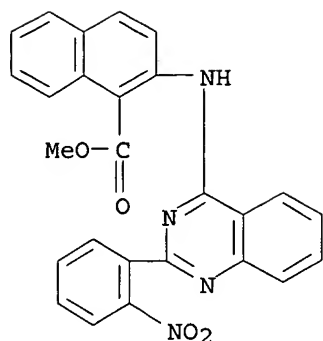
RN 976-20-5 HCAPLUS
CN Quinazoline, 2-(p-aminophenyl)-4-anilino- (7CI, 8CI) (CA INDEX NAME)



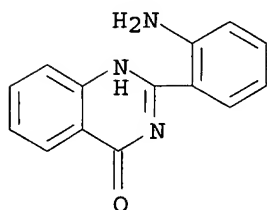
RN 982-56-9 HCAPLUS
CN o-Toluanilide, 2'-(4-hydroxy-2-quinazolinyl)- (7CI, 8CI) (CA INDEX NAME)



RN 1062-47-1 HCAPLUS
CN 1-Naphthoic acid, 2-[[2-(o-nitrophenyl)-4-quinazolinyl]amino]-, methyl ester (7CI, 8CI) (CA INDEX NAME)



RN 27259-73-0 HCAPLUS
CN 4(1H)-Quinazolinone, 2-(2-aminophenyl)- (9CI) (CA INDEX NAME)



L26 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1964:411794 HCAPLUS
DOCUMENT NUMBER: 61:11794
ORIGINAL REFERENCE NO.: 61:1980g-h,1981a-d
TITLE: Bis[4 - (anthraquinonylamino) - 2 - quinazoly]azobenzenes and -azobiphenyls
INVENTOR(S): Weidinger, Hans; Haese, Gottfried
PATENT ASSIGNEE(S): Badische Anilin- & Soda-Fabrik A.-G.
SOURCE: 15 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 634560		19640106	BE	
			DE	19620706

PRIORITY APPLN. INFO.:
GI For diagram(s), see printed CA Issue.
AB Bis(4-chloro-2-quinazoly)azobenzenes and -azobiphenyls are treated with an aminoanthraquinone to give dyes of the general formula I which give fast, full, brilliant colors on cotton. Thus, o-H₂NC₆H₄CONH₂ 100 in 2N Na₂CO₃ 700 is treated with a solution of 4-O₂NC₆H₄COCl 136 in C₆H₆ 140 to give 2-(4-nitrophenyl)-4-hydroxyquinazoline (II) 178-85 parts, m. 352-4°. A mixture of II 133.5 in a solution of KOH 50 in H₂O 2000 is treated with NaOH 300 in H₂O 1625, heated at 85°, treated with glucose 100 parts, heated 30 min. at 90-5°, treated with 50 parts addnl. glucose, and heated for 1 hr. at 90-5° to give 4,4'-bis(4-hydroxy-2-quinazoly)azobenzene (III), m. >350°. A mixture of III 20 and PhNO₂ 120 parts is treated for 5 hrs. at 180° with COCl₂ to give 18-20 parts 4,4'-bis(4-chloro-2-quinazoly)azobenzene

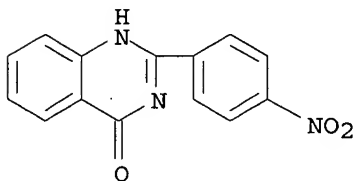
(IV), m. >350°. A mixture of IV 9, 2-aminoanthraquinone (V) 8.1, and PhNO₂ 120-50 parts is heated for 3 hrs. at 190°, cooled, filtered, and the precipitate washed with MeOH to give I (R = p-C₆H₄, X = anthraquinon-2-ylamino), yellow on cotton. Similarly prepared are dyes from IV and the following amines (color of dye on cotton given):

1-amino-3-chloroanthraquinone, golden orange; 1-aminoanthraquinone (VI), orange; 1-amino-5-(benzoylamino)anthraquinone (VII), brown-orange; 5-aminoanthrapyrimidine (VIII), brown; 1-amino-2-[2-(2-aminophenyl)-5-oxadiazolyl] anthraquinone (IX), red; 1-amino-4-(benzoylamino)anthraquinone (X), bordeaux; 1,4-diamino-2-(2-phenyl-5-oxadiazolyl)anthraquinone (XI), blue-green; 1,4-diamino-2-acetylanthraquinone (XII), blue-green; 4-aminoanthraquinone-1(N)-2-benzacridone (XIII), green; 1'-chloro-4'-aminoanthraquinone-1(N)-2-benzacridone (XIV), gray; dyes from I (R = m-C₆H₄, X = Cl) and the following amines (color on cotton given): V, yellow; VII, brown-orange; IX, red; X, red-violet; XI, blue; XIII, blue-green; XIV, blue-gray; VI, orange; dyes from I (R = 4,4' - biphenylylene, X = Cl) and the following amines (color on cotton given): VI, yellow; V, yellow; VII, yellow; XII, blue-green; XIII, green; dyes from IV and the following amine mixts. (color on cotton given): VII and VIII, brown; VII and XIII, olive; XII and XIII, blue green; VII and XII, olive; VI and VIII, brown. Also prepared are the following intermediates (m.p. given): 2-(3-nitrophenyl)-4-hydroxyquinazoline, 340-2°; I (R = m-C₆H₄, X = OH), 350°; I (R = m-C₆H₄, X = Cl), 300-10° 2-[(4'-nitro-4-biphenylylcarbonyl)amino]benzamide, 245-50°; 2-(4'-nitro-4-biphenylyl)4-hydroxyquinazoline, 325-30°; I (R = 4,4'-biphenylylene, X = OH), 350°; I (R = 4,4'-biphenylylene, X = Cl), 324-6°.

IT 4765-59-7, 4-Quinazolinol, 2-(p-nitrophenyl)- 34637-85-9
 , 4-Quinazolinol, 2(m-nitrophenyl)- 94550-98-8, 4-Quinazolinol,
 2-(4'-nitro-4-biphenylyl)- 96378-51-7, 4-Quinazolinol,
 2,2'-(azodi-p-phenylene)di- 97113-90-1, 4-Quinazolinol,
 2,2'-(azodi-m-phenylene)di- 106067-85-0, 4-Quinazolinol,
 2,2'-[azobis(4',4-biphenylylene)]di- 106713-05-7, Anthraquinone,
 1,1'-[azobis(m-phenylene-2,4-quinazolinediylimino)]di- 106713-06-8
 , Anthraquinone, 1,1'-[azobis(p-phenylene-2,4-quinazolinediylimino)]di-
 106784-84-3, Anthraquinone, 2,2'-[azobis(p-phenylene-2,4-
 quinazoline-diylimino)]di- 107101-22-4, Anthraquinone,
 1,1'-[azobis(4',4-biphenylylene-2,4-quinazolinediylimino)]di-
 107420-02-0, Anthraquinone, 5-benzamido-1,1'-[azobis(p-phenylene-
 2,4-quinazolinediylimino)]di-
 (preparation of)

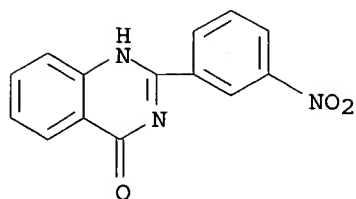
RN 4765-59-7 HCAPLUS

CN 4(1H)-Quinazolinone, 2-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

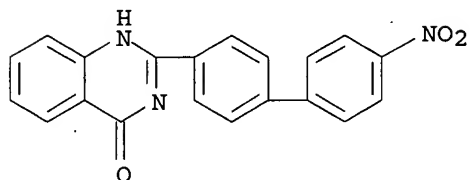


RN 34637-85-9 HCAPLUS

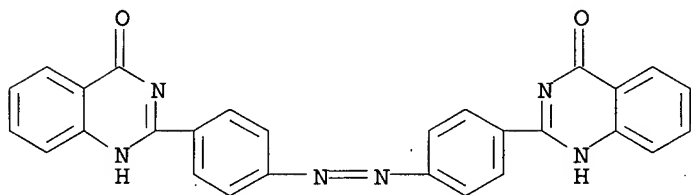
CN 4(1H)-Quinazolinone, 2-(3-nitrophenyl)- (9CI) (CA INDEX NAME)



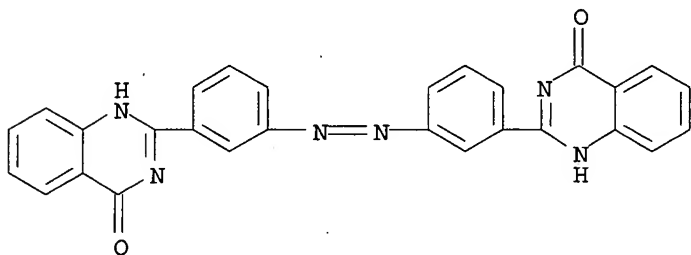
RN 94550-98-8 HCAPLUS
CN 4-Quinazolinol, 2-(4'-nitro-4-biphenyl)- (7CI) (CA INDEX NAME)



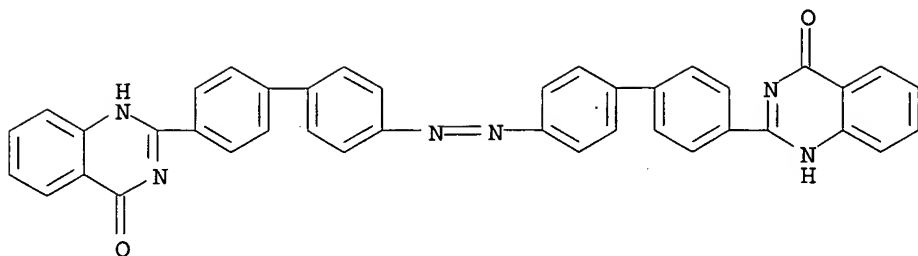
RN 96378-51-7 HCAPLUS
CN 4-Quinazolinol, 2,2'-(azodi-p-phenylene)di- (7CI) (CA INDEX NAME)



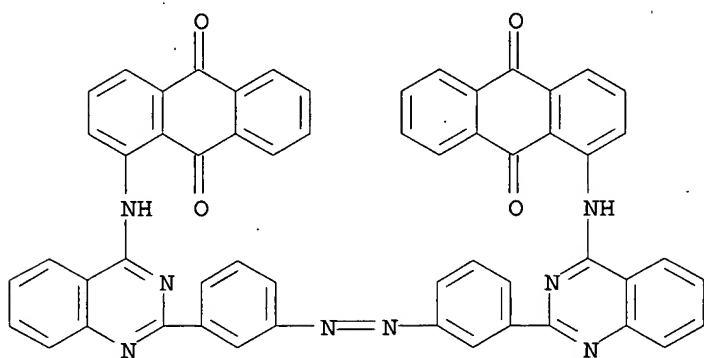
RN 97113-90-1 HCAPLUS
CN 4-Quinazolinol, 2,2'-(azodi-m-phenylene)di- (7CI) (CA INDEX NAME)



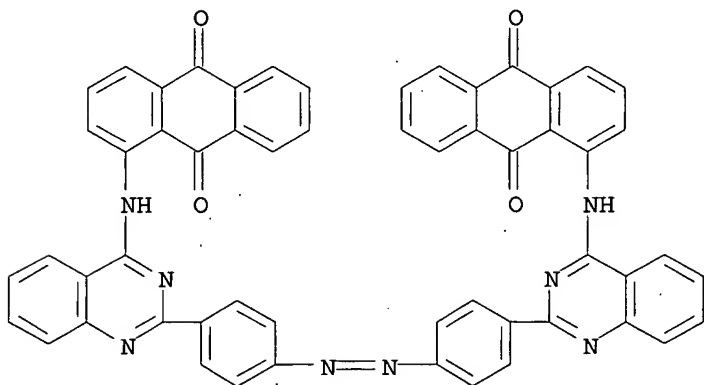
RN 106067-85-0 HCAPLUS
CN 4-Quinazolinol, 2,2'-(azobis(4',4-biphenylene))di- (7CI) (CA INDEX NAME)



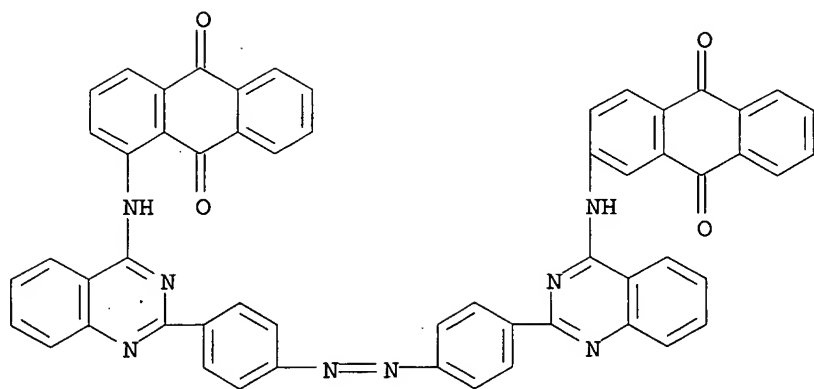
RN 106713-05-7 HCAPLUS
CN Anthraquinone, 1,1'-[azobis(m-phenylene-2,4-quinazolinediylimino)]di-
(7CI) (CA INDEX NAME)



RN 106713-06-8 HCAPLUS
CN Anthraquinone, 1,1'-[azobis(p-phenylene-2,4-quinazolinediylimino)]di-
(7CI) (CA INDEX NAME)

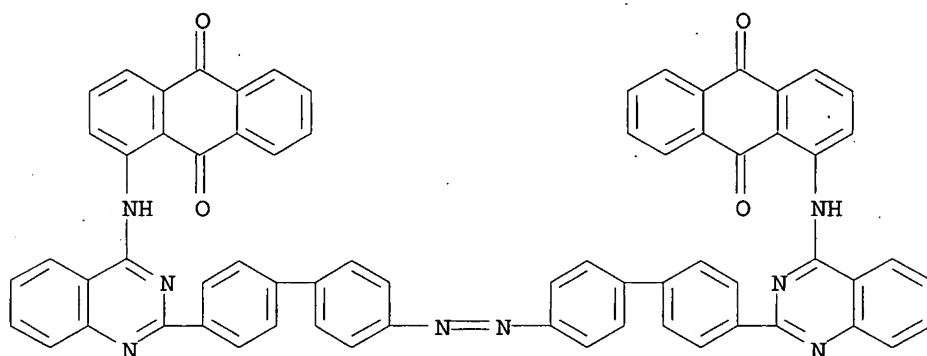


RN 106784-84-3 HCAPLUS
CN Anthraquinone, 2,2'-[azobis(p-phenylene-2,4-quinazolinediylimino)]di-
(7CI) (CA INDEX NAME)



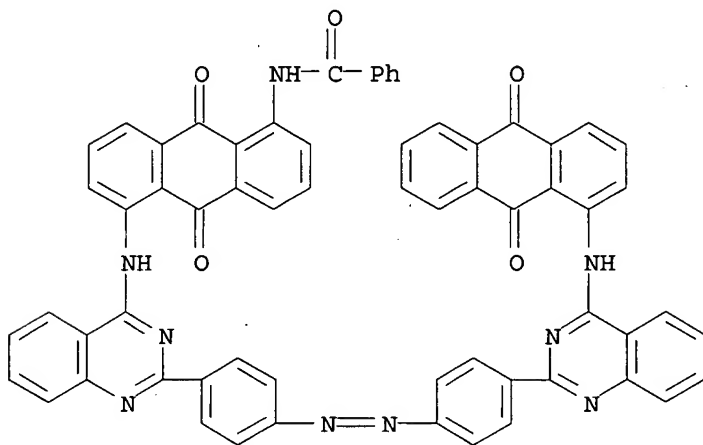
RN 107101-22-4 HCAPLUS

CN Anthraquinone, 1,1'-[azobis(4',4-biphenylene-2,4-quinazolinediylimino)]di- (7CI) (CA INDEX NAME)



RN 107420-02-0 HCAPLUS

CN Anthraquinone, 5-benzamido-1,1'-[azobis(p-phenylene-2,4-quinazolinediylimino)]di- (7CI) (CA INDEX NAME)



L26 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1963:428562 HCAPLUS

DOCUMENT NUMBER: 59:28562

ORIGINAL REFERENCE NO.: 59:5170e-h,5171a-e

TITLE: Cyclic amidines. XVI. Tetraazanaphtho[1,2,3-fg]naphthacenes

AUTHOR(S): Parfitt, R. T.; Partridge, M. W.; Vipond, H. J.

CORPORATE SOURCE: Univ. Nottingham, Nottingham, UK

SOURCE: Journal of the Chemical Society, Abstracts (1963) 3062-6

CODEN: JCSAAZ; ISSN: 0590-9791

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

OTHER SOURCE(S): CASREACT 59:28562

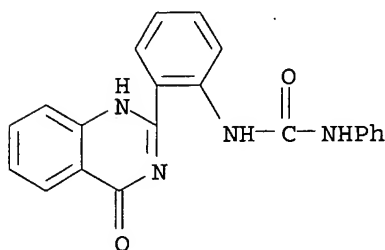
GI For diagram(s), see printed CA Issue.

AB cf. CA 57, 12490c. Some title compds., isomeric with tricycloquinazoline (I), were synthesized for examination of their carcinogenic activity. 9,10,15,15b-tetraazanaphtho[1,2,3-fg]naphthacene(II) is a weak epidermal carcinogen, while I is intermediate in activity between 1,2;5,6-dibenzanthracene and 3,4-benzopyrene. This contrast provides further evidence of the importance of the stereochem. fit in I carcinogenesis. The 4a,9,10,15-isomer (III) of II is too insol. in appropriate solvents for biol. testing. 2-Anilino-4-chloroquinazoline (4 g.) and 2.4 g. o-H₂NC₆H₄CO₂Me shaken 0.5 hr. in 50 cc. dry Me₂CO gave 2-anilino-4-(o-methoxycarbonylanilino)quinazoline-HCl (IV.HCl), m. 360-4° (EtOH); IV (from IV.HCl with alc. NH₃) m. 210-12° (aqueous AcOH). IV heated 1 hr. at 210° and then extracted with BuOH yielded 6-anilino-7H-5,6a,12-triazabenz[a]anthracen-7-one (V), m. 190-2°. 4-Hydroxy-2-[o-(3-phenylureido)phenyl]quinazoline (VI) (0.5 g.) in 15 cc. POCl₃ kept 12 hrs. or refluxed 1 hr. and poured onto 200 g. crushed ice yielded 74% V. 2-(o-Aminophenyl)-4-hydroxyquinazoline (VII) (5 g.) in 300 cc. dry C₆H₆ refluxed 1 hr. with 3 g. PhNCO yielded 6.1 g. VI, m. 304-6° (aqueous HCO₂H). VI fused 15 min. at 220-30° with NaOH gave 71% 2,4-dihydroxyquinazoline, m. 349-55°. VII (5 g.) in 400 cc. dry C₆H₆ and 10 g. cyclohexyl isocyanate refluxed 6 hrs. yielded 98% 2-[o(3-cyclohexylureido)] analog of VI, m. 242-4° (HCO₂H). V (1 g.) added at about 100° to a melt of 0.4 g. NaCl and 2 g. AlCl₃, heated 1 hr. at 320°, cooled, powdered, extracted with H₂O at 65°, and the extract treated with 50 cc. saturated aqueous NaNO₃ yielded II.HNO₃, dark red prisms, m. 216-18° (precipitated from H₂O with HNO₃). II.HNO₃ in H₂O treated with Et₃N and extracted with CHCl₃ gave II, dark green needles, m. 296-8° (CHCl₃), which sublimed at 265-70°/0.1 mm. gave prisms, m. 296-8°. II digested 2 days with N HCl-AcOH gave II.HCl, dark red needles, m. 328-30°; II picrate, green, m. 259-60° (AcOH). II with H₃PO₄ in Et₂O yielded during 10 days a deliquescent phosphate, dark red needles, m. 154-6°. II (0.4 g.) in 25 cc. AcOH refluxed 15 min. with 5 cc. 30% aqueous H₂O₂ and basified with NH₄OH yielded 0.17 g. N-oxide of II, pale yellow prisms, m. 276-7° (aqueous HCONMe₂). VI (0.5 g.) and 0.6 g. NaCl-AlCl₃ heated 1 hr. at 320° gave II, isolated as 25 mg. II.HNO₃. VIII (R = OH) (IX) (1.3 g.), 0.52 g. PhNH₂, and 2 g. NaCl-AcCl₃ heated 1 hr. at 320° yielded 0.12 g. II. (o-H₂NC₆H₄)CO (1.06 g.) and 1 g. 2,4-dichloroquinazoline in 20 cc. AcOH refluxed (0.5 hr. gave II, isolated as 0.75 g. II.HCl. II refluxed 8 hrs. with 4N HCl, 12 hrs. with 11N HCl, 5 hrs. with 5N NaOH, 5 hrs. with 10N NaOH, 24 hrs. with 2N HNO₃, 4 hrs. with 1.5N CrO₃, and 24 hrs. with 2N alkaline KMnO₄ showed 100, 42, 96, 24, 14, 41, and 20% recovery, resp. V (1 g.) in 6 cc. PhNO₂ and 0.45 g. POCl₃ refluxed 15 hrs.; basified with NH₃, steam-distd, to remove the

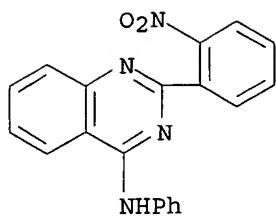
PhNO₂, and the tarry residue chromatographed on Al₂O₃ yielded 75 mg. I, m. 317-19°. VI (0.5 g.) in 20 cc. 100% H₃PO₄ heated 6 hrs. at 223° and poured into H₂O gave 15 mg. I. 2,4-Dianilinoquinazoline-HCl (20 g.) refluxed 4 hrs. with 50 g. KOH in 250 cc. (CH₂OH)₂, cooled, diluted with H₂O, acidified, and the precipitate extracted with EtOH gave from the extract

9.5 g. 2-anilino-4-hydroxyquinazoline, m. 260-2° (AcOH), which was also obtained in 61% yield by hydrolysis with alc. KOH; Ac derivative m. 201-3°. 4-Ethoxy-2-(o-carbethoxyanilino)quinazoline (X) (0.5 g.) and 5 cc. PhNH₂ heated 6 hrs. at 180° and diluted with 5 cc. Me₂CO yielded 0.29 g. 5-anilino-12H-6,7,12a-triazabenz[a]anthracen-12-one (XI), yellow prisms, m. 298-300° (EtOCH₂CH₂OH); the mother liquor deposited 0.07 g. 4-OH analog of X, m. 210-12°, resolidifying and remelting at 290-6°. 11,12-Dihydro-11,12-dioxo-5H-5,6,11a-triazanaphthacene (XII) (2 g.) in 50 cc. POCl₃ heated 6 hrs. at 120-40°, poured onto crushed ice, and extracted with CHCl₃ yielded 0.44 g. 6,12-dihydro-5,12dioxo-5H-6,7,12a-triaza[a]anthracene, m. 254-6°, and 1.4 g. unchanged XII. 4-Chloro-2-(o-nitrophenyl)quinazoline (XIII) (0.5 g.) and 5 g. MeNH₂.AcOH heated 1 hr. at 180°, extracted with H₂O, the insol, residue dissolved in EtOH, and basified gave 0.46 g. 4-methylamino-2-(o-nitrophenyl)quinazoline (XIV), m. 169-71° (aqueous EtOH); picrate m. 279-81°. XIII (2.9 g.), 0.83 g. PhNH₂, and 0.5 cc HCl in 150 cc. Me₂CO refluxed 0.5 hr. and cooled gave 3.1 g. 4-anilino-HCl analog of XIV, m. 192-5° (decomposition) (MeOH); free base m. 177-8° (decomposition) (BuOH). 5,6-Diazanaphthacene-11,12-diol (2 g.), 8 g. PCl₅, and 12 cc. POCl₃ heated 3 hrs. at 120-40°, kept 12 hrs., filtered rapidly, the filter residue mixed with 5 g. 2-aminopyridine, kept molten 0.5 hr., cooled, and extracted with H₂O left 0.17 g. III, yellow prisms, m. 370-2° (AcOH and sublimed). III with 2N aqueous-alc. H₂SO₄ gave the sulfate, yellow needles, m. 326-30° (decomposition). 11-Chloro-5,6-diazanaphthacene-12-ol (0.55 g.), 0.5 g. Cu powder, and 5 g. 2-aminopyridine refluxed 4 hrs. yielded 0.11 g. III. The ultraviolet absorption maximum of XI, the 5-piperidino analog of XI, and IX are recorded.

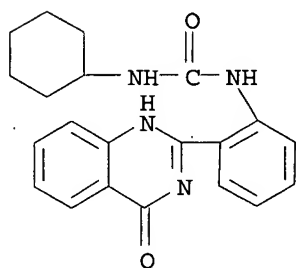
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 94688-16-1, Quinazoline, 4-anilino-2-(o-nitrophenyl)-
 94862-69-8, Urea, 1-cyclohexyl-3-[o-(3,4-dihydro-4-oxo-2-quinazolinyl)phenyl]- 94879-05-7, Quinazoline,
 4-(methylamino)-2-(o-nitrophenyl)- 94879-06-8, Quinazoline,
 4-(methylamino)-2-(o-nitrophenyl)-, picrate 106300-56-5,
 Quinazoline, 4-anilino-2-(o-nitrophenyl)-, hydrochloride
 (preparation of)
 RN 88844-14-8 HCAPLUS
 CN Carbanilide, 2-(3,4-dihydro-4-oxo-2-quinazolinyl)- (7CI) (CA INDEX NAME)



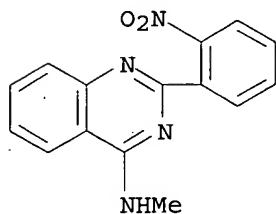
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RN 94862-69-8 HCAPLUS
 CN Urea, 1-cyclohexyl-3-[o-(3,4-dihydro-4-oxo-2-quinazolinyl)phenyl]- (7CI)
 (CA INDEX NAME)



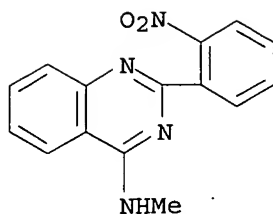
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 CN 4-Quinazolinamine, N-methyl-2-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



RN 94879-06-8 HCAPLUS
 CN Quinazoline, 4-(methylamino)-2-(o-nitrophenyl)-, picrate (7CI) (CA INDEX NAME)

CM 1

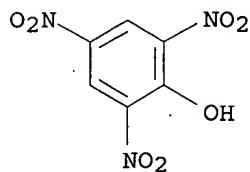
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CM 2

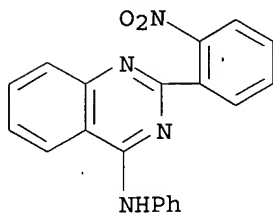
CRN 88-89-1

CMF C6 H3 N3 O7



RN 106300-56-5 HCAPLUS

CN Quinazoline, 4-anilino-2-(o-nitrophenyl)-, hydrochloride (7CI) (CA INDEX NAME)



● HCl

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ACCESSION NUMBER: 1962:462771 HCAPLUS

DOCUMENT NUMBER: 57:62771

ORIGINAL REFERENCE NO.: 57:12490c-i,12491a-i,12492a-d

TITLE: Cyclic amidines. XV. Derivatives of tricycloquinazoline

AUTHOR(S): Partridge, M. W.; Vipond, H. J.; Waite, J. A.

CORPORATE SOURCE: Univ. Nottingham, UK

SOURCE: Journal of the Chemical Society, Abstracts (1962) 2549-56

CODEN: JCSAAZ; ISSN: 0590-9791

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

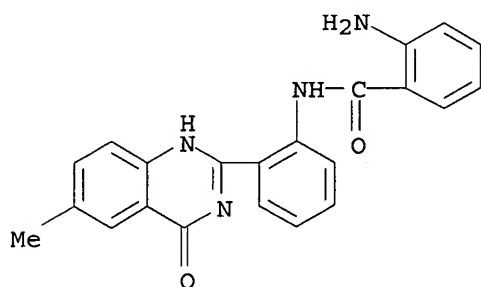
AB cf. CA 55, 9422a. Unsym. substituted tricycloquinazolines, required for examination of the relevance of the symmetry of tricycloquinazoline to its carcinogenic activity, were synthesized by a number of routes. 5-Fluoroisatin (15 g.) in 150 ml. 2.5N NaOH treated dropwise with 27 ml. 30% H₂O₂, heated 15 min. at 80-90°, filtered through C, and the filtrate treated with concentrated HCl gave 7 g. 5,2-F(H₂N)C₅H₃-CO₂H, m. 182-3° (xylene). 2-NCC₅H₄NH₂ (I) (11.8 g.) in 40 ml. dry C₆H₆ and 20 ml. pyridine shaken 1 hr. with 20 g. 2-O₂NC₆H₄COCl (II) in 70 ml. C₆H₆, the C₆H₆ distilled, and the residue treated with 300 ml. H₂O gave 16.4 g. 4,2 R(NC)C₆H₃NHCOC₆H₄NO₂-2 (III) (R = H), m. 205-6° (EtOH). Similarly were prepared 61% III (R = Me), m. 185-6° (EtOH), and 58% III (R = Br), m. 190-7° (AcOH or BuOH). II (65 g.) in 200 ml. C₆H₆ added during 10 min. to 49 g. 5,2-Me(H₂N)C₆H₃CO₂H in 500 ml. 0.8N NaOH with stirring, the mixture stirred 30 min., and the aqueous layer adjusted to pH 4 with AcOH gave 69 g. x,2-R(2-O₂-NC₆H₄CONH)C₆H₃CO₂H (IV) (R = 5-Me), m. 229.5-31.0° (BuOH). The following IV were prepared similarly (R, % yield, m.p., recrystn. solvent given): 3-Me, 209-10°, EtOH; 5-Br, 75, 251-2 BuOH; 5-F, 70, 252-3°, EtOH. IV (R = 5-Me) (68 g.) boiled 1 hr. with 200 ml. Ac₂O gave 58 g. V (R = Me, R' = H), m. 186.5-8.0° (AcOH). The following V were prepared similarly (R, R', % yield, m.p., recrystn. solvent given): H, Me, 86, 186-7 AcOH; Br, H, 86, 145-6°, EtOH; F, H, 92, 170-1°, AcOH. Method A. III (R = H) (5 g.) in 15 ml. dioxane and 100 ml. 20% aqueous NaOH refluxed 1 hr. with 60 ml. 30% H₂O₂, the solution treated with 25 ml. 30% H₂O₂, refluxed 30 min., diluted with 500 ml. H₂O, neutralized with AcOH, and made alkaline with aqueous NH₃

gave 4.35 g. VI (R = R' = H) (VIa), m. 227-8° (PhMe). Method B. V (R = R' = H) (30 g.) and 150 g. urea heated 30 min. at 180-90° and poured into 1.25 l. H₂O with stirring gave 26 g. VIa, m. 227-9° (BuOH). By the foregoing methods were prepared the following VI (R, R', method, % yield, m.p., recrystn. solvent given): Me, H, A, 88, 271-3° BuOH; Me, H, B, 92, 271-3°, BuOH; H, Me, B, 74, 286-8°, AcOH; Br, H, A, 90, 279-80°, AcOH; Br, H, B, 79, 279-80 AcOH; F, H, B, 68, 248-9°, MeOCH₂CH₂OH (VII). VIa (2.7 g.) in 20 ml. 2N NaOH treated gradually with 10.5 g. Na₂S₂O₄ at 80° while maintaining the pH above 9 by further addns. of 2N NaOH, after 30 min. the solution cooled, and neutralized with AcOH gave 1.4 g. VIII (R = R' = H) (VIIIa) m. 239-41°. Raney Ni added portion-wise to 2.7 g. VIa and 4 ml. 80% N₂H₄.H₂O (IX) in 80 ml. EtOH at 60-5° until effervescence subsided and the mixture filtered deposited 1.56 g. VIIIa, m. 240-1°; 2-(2-nitrobenzoyl) derivative (X) (formed with II) m. 272-3°. Reduction of the VI with Raney Ni and IX in EtOH or BuOH gave the following VIII (R, R', % yield, m.p., recrystn. solvent given): Me, H (XI), 76, 223-4° [HCl salt m. 279-81° (2N HCl)], iso-PrOH; H, Me (XII), 78, 259-60°, BuOH; Br, H, (XIII), 67, 264-5°, BuOH; F, H (XIV), 68, 266-7°, EtOH. VIIIa (1 g.) refluxed 90 min. in 25 ml. pyridine with 1.4 g. 2-phthalimidobenzoyl chloride, diluted with H₂O, and the alkali-sol, fraction worked up gave 1.1 g. 2-(2-phthalimidobenzoyl) derivative (XV) of VIIIa, m. 316-18° (PhMe). Reduction of X with Raney Ni and IX in EtOH gave 24% 2-(2-amino-benzoyl) derivative (XVI) of VIIIa, m. 314-16° (BuOH). XV (0.3 g.) in 20 ml. VII refluxed 2 hrs. with 0.5 ml. 80% IX and the solution neutralized with HCl gave 0.11 g. XVI. XI (0.75 g.) and 0.6 g. II in 16 ml. dry C₆H₆ and 25 ml. pyridine refluxed 90 min., the C₆H₆ removed, and the residual solution diluted with H₂O gave 0.87 g. corresponding amide (XVII), m. 272-3° (BuOH); the mother liquors deposited 0.045 g. compound, probably the secondary amide, m. 24950°. Reduction of XVII with Raney Ni and IX gave 58% 2-(2-aminobenzoyl) derivative (XVIII) of XI, m. 317-20° (BuOH); Ac derivative m. 295-7° (BuOH). Catalytic reduction of XVII in AcOH over PtO₂ gave 52% XVIII. From VIIIa and 2-(4-MeC₆H₄SO₂NH)C₆H₄COCl

was prepared 61% corresponding amide (XIX), m. 266-7° (BuOH). VIa (2.7 g.), 0.73 g. HCONMe₂, and 15 ml. SOCl₂ boiled 75 min., cooled, and poured onto 100 g. crushed ice with stirring gave 2.7 g. 4-chloro-2-(2-nitrophenyl)quinazoline (XX), m. 179-81° (anhydrous Me₂CO). VIa (40 g.) and 160 ml. POCl₃ heated 2.5 hrs. at 140°, filtered hot, and the filtrate kept at 0° gave 20.6 g. XX, m. 179-81°; from the mother liquor was obtained 9.3 g. XX, m. 178-80°. XX (2.85 g.), 1.51 g. 2-H₂NC₆H₄CO₂Me, and 0.2 ml. concentrated HCl in 150 ml. Me₂CO refluxed 1 hr. gave 4 g. XXI (R = CO₂Me, R' = R'' = H) (XXII) HCl salt, m. 232-3° (MeOH); XXII (obtained from XXII.HCl in MeOH with aqueous NH₃) m. 187-8° (AcOH). The following XXI were prepared similarly (R, R', R'', % yield, m.p., m.p. of HCl salt given): CO₂H, H, H, 70, 309-11°, 253-5°; CN, H, H, 74, 186-7°, -; CO₂Me, Me, H, 74, 196-7°, 173-5° (decomposition) (containing EtOH of crystallization); CO₂Me, H, Me, 69, 214-15°, 217-19° (decomposition); CN, H, Me, 83, 197-9°, 192-3° (decomposition) (containing AcOH of crystallization); CN, H, OMe, 76, 197-8°, 161-2°. XXII (2.2 g.) in 150 ml. AcOH shaken with H and 0.01 g. PtO₂, filtered, the filtrate evaporated, the residue extracted with acid, and the extract basified gave 1.3 g. XXIII (R = CO₂Me, R' = R'' = H) (XXIV), m. 192-3° (BuOH); HCl salt m. 176-8 (2N HCl); Ac derivative m. 212-13° (AcOH). Reduction of XXII with Raney Ni and IX in BuOH as described above gave 76% XXIV, m. 191-3°. By the latter reductive procedure were prepared the following XXIII (R, R', R'', % yield, m.p., recrystn. solvent given): CO₂Me, Me, H (XXV), 75, 152-3°, MeOH; CO₂Me, H, Me (XXVI), 90, 182-3°, BuOH; CN, H, Me (XXVII), 59, 195-6° (decomposition), PhMe; CN, H, OMe (XXVIII), 60, 201-3° (decomposition), BuOH. I (3 g.) and 8 g. Me anthranilate ptoluenesulfonate heated 40 min. at 210° and the product extracted with hot acid and alkali gave 1.02 g. tricycloquinazo-line (XXIX), m. 317-20°, having the characteristic bands between 245 and 455 μ neutralization of the acid and alkaline exts. gave 0.4 g. 5-amino-11-hydroxyphenhomazine, isomeric with VIIIA, m. 213-15° (MeOH) [di-Ac derivative m. 238-9° (AcOH)]. VIIIA (0.6 g.), 0.3 g. I, and 0.1 g. 4-MeC₆H₄SO₃H heated 45 min. at 210°, the powdered product washed with warm 2N HCl and 2N NaOH, and extracted with C₆H₆ gave 0.49 g. XXIX, m. 318-20°. The following derivs. of XXIX were prepared similarly by the latter method (reactants, derivative of XXIX formed, % yield, m.p. given): XII and I, 1-Me (XXX), 31, 292-4°; VIIIA and 5,2-Me(NC)C₆H₃NH₂, 2-Me (XXXI), 31, 278-9° (XXVII heated 1 hr. at 210° underwent cyclization and gave 30% XXXI, m. 278-80°); VIIIA and 4,2-Me(NC)C₆H₃NH₂ (XXXII) (obtained in 47% yield by pyrolysis of 5-methylisatin 3-oxime), 3-Me (XXXIII), 31, 266-7° XI and I, 3-Me, 33, 266-7°; VIIIA and 3,2-Me(NC)C₆H₃NH₂, 4-Me (XXXIV), 20, 246-8°; VIIIA and 4,2-Br(NC)C₆H₃NH₂ (XXXV), 3-Br, 34, 290-1°; XIII and I, 3-Br, 35, 290-1°; VIIIA and 4,2-F(NC)C₆H₃NH₂ (XXXVI) [b₁₅ 130 m. 94-5° (H₂O)], 3-F, 30, 322-3°; XI and XXXII, 3,8-Me₂, 42, 273-5°; XIII and XXXV, 3,8-Br₂, 18, 325-6°; XIV and XXXVI, 3,8-F₂, 29, 336-8°. XVI (0.1 g) and 0.4 g. P2O₆ in 15 ml. xylene boiled 90 min. and subsequently treated with H₂O gave 20 mg. XXIX, m. 317-20°. XVIII and XIX treated similarly gave 23% XXXIII, m. 264-6°, and 17% XXIX, m. 318-20°, resp. XXII treated similarly gave 23% XXXIII, m. 264-6°, and 17% XXIX, m. 318-20°, resp. XXII treated similarly gave (from the acid-soluble fraction) 30% recovered XXII and (as the acid-insol. fraction) 14% XXIX, m. 319-20°. XXII (0.5 g.) and 25 g. 100% H₃PO₄ heated 3 hrs. at 160° (optimum time and temperature) and poured into 70 ml. H₂O gave 0.34 g. XXIX, m. 319-20° (PhMe). Similar treatment of XXVI and XXV gave 80% XXXI, m. 278-9°, and 70%

XXXIII, m. 266-7 resp. XXXII.4-MeC₆H₄SO₃H heated 45 min. at 210° gave 11% 3,8,13-trimethyltricycloquinazoline, m. 388-90° (xylene). XXVIII (1 g.) heated 2 hrs. at 255° gave 0.73 g. 2-methoxytricycloquinazoline (XXXVII), m. 250-1° (PhMe). XXXVII demethylated by boiling 1 hr. with aqueous HBr gave 92% 2-hydroxytricycloquinazoline, m. 367-9 (aqueous pyridine). From preliminary biol. observations, the most significant indication was that XXXI was almost noncarcinogenic, whereas XXX, XXXIII, and XXXIV were carcinogenic. Spectral data for the tricycloquinazolines were recorded.

IT 97296-43-0, Benzanilide, 2-amino-2'-(4-hydroxy-6-methyl-2-quinazolinyl)-
(acetyl derivative)
RN 97296-43-0 HCAPLUS
CN Benzanilide, 2-amino-2'-(4-hydroxy-6-methyl-2-quinazolinyl)- (7CI) (CA INDEX NAME)

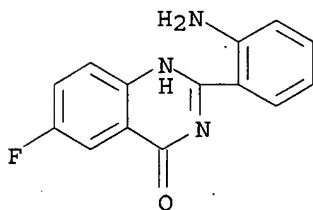


IT 732-45-6, 4-Quinazolinol, 2-(o-aminophenyl)-6-fluoro-
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27259-73-0, 4-Quinazolinol, 2-(o-aminophenyl)- 36567-87-0
, 4-Quinazolinol, 2-(o-nitrophenyl)- 88844-13-7, Benzanilide,
2-amino-2'-(4-hydroxy-2-quinazolinyl)- 91960-79-1,
4-Quinazolinol, 6-bromo-2-(o-nitrophenyl)- 92498-67-4,
4-Quinazolinol, 6-methyl-2-(o-nitrophenyl)- 92498-68-5,
4-Quinazolinol, 8-methyl-2-(o-nitrophenyl)- 92554-67-1,
4-Quinazolinol, 2-(o-aminophenyl)-6-methyl- 92554-68-2,
4-Quinazolinol, 2-(o-aminophenyl)-8-methyl- 93716-86-0,
4-Quinazolinol, 2-(o-aminophenyl)-6-bromo- 94873-30-0,
Anthranilic acid, N-[2-(o-nitrophenyl)-4-quinazolinyl]- 95024-95-6
, Benzoic acid, p-[[2-(o-aminophenyl)-4-quinazolinyl]amino]-, methyl ester
95139-11-0, p-Tolunitrile, 2-[[2-(o-nitrophenyl)-4-
quinazolinyl]amino]- 95139-13-2, p-Anisonitrile,
2-[[2-(o-nitrophenyl)-4-quinazolinyl]amino]- 95161-38-9,
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95435-27-1, p-Toluic acid, 2-[[2-(o-aminophenyl)-4-
quinazolinyl]amino]-, methyl ester 95947-52-7, Benzanilide,
2'-(4-hydroxy-2-quinazolinyl)-2-nitro- 96060-81-0, m-Toluic
acid, 6-[[2-(o-nitrophenyl)-4-quinazolinyl]amino]-, methyl ester
96174-91-3, Benzanilide, 2'-(4-hydroxy-2-quinazolinyl)-4-o-
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6-[[2-(o-aminophenyl)-4-quinazolinyl]amino]-, methyl ester
96270-96-1, Benzanilide, 2'-(4-hydroxy-2-quinazolinyl)-2-
phthalimido- 97296-43-0, Benzanilide, 2-amino-2'-(4-hydroxy-6-
methyl-2-quinazolinyl)- 97394-21-3, 4-Quinazolinol,

2-(o-aminophenyl)-6-methyl-, hydrochloride 100088-90-2,
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 2-[[2-(o-nitrophenyl)-4-quinazolinyl]amino]-, hydrochloride
 100322-03-0, p-Toluic acid, 2-[[2-(o-nitrophenyl)-4-
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 m-Toluic acid, 6-[[2-(o-nitrophenyl)-4-quinazolinyl]amino]-, methyl ester,
 hydrochloride 102814-31-3, Dibenzamide, N-[(o-4-hydroxy-6-methyl-
 2-quinazolinyl)-phenyl]-2,2'-dinitro- 104534-33-0, Benzoic acid,
 p-[[2-(o-aminophenyl)-4-quinazolinyl]amino]-, methyl ester, hydrochloride
 107159-62-6, Benzoic acid, p-[[2-(o-acetamidophenyl)-4-
 quinazolinyl]amino]-, methyl ester
 (preparation of)

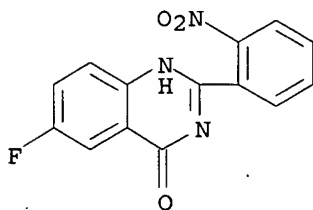
RN 732-45-6 HCAPLUS

CN 4-Quinazolinol, 2-(o-aminophenyl)-6-fluoro- (7CI, 8CI) (CA INDEX NAME)



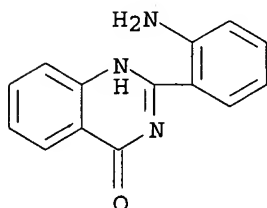
RN 1545-68-2 HCAPLUS

CN 4-Quinazolinol, 6-fluoro-2-(o-nitrophenyl)- (7CI, 8CI) (CA INDEX NAME)



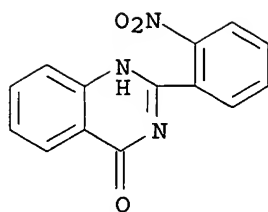
RN 27259-73-0 HCAPLUS

CN 4(1H)-Quinazolinone, 2-(2-aminophenyl)- (9CI) (CA INDEX NAME)



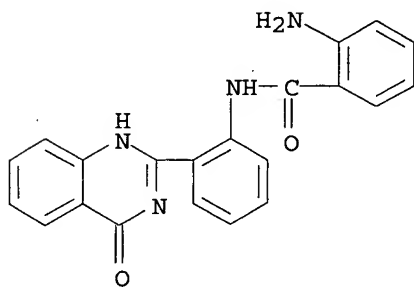
RN 36567-87-0 HCAPLUS

CN 4(1H)-Quinazolinone, 2-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



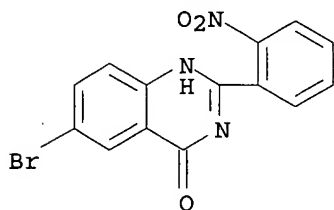
RN 88844-13-7 HCAPLUS

CN Benzanilide, 2-amino-2'-(4-hydroxy-2-quinazolinyl)- (7CI) (CA INDEX NAME)



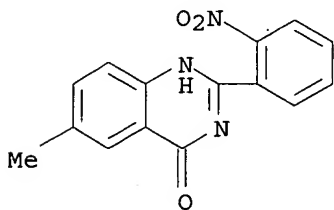
RN 91960-79-1 HCAPLUS

CN 4-Quinazolinol, 6-bromo-2-(o-nitrophenyl)- (7CI) (CA INDEX NAME)



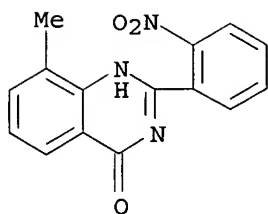
RN 92498-67-4 HCAPLUS

CN 4-Quinazolinol, 6-methyl-2-(o-nitrophenyl)- (7CI) (CA INDEX NAME)



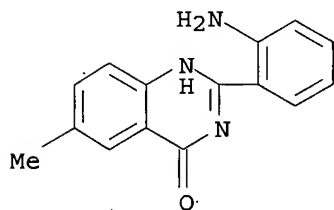
RN 92498-68-5 HCAPLUS

CN 4-Quinazolinol, 8-methyl-2-(o-nitrophenyl)- (7CI) (CA INDEX NAME)



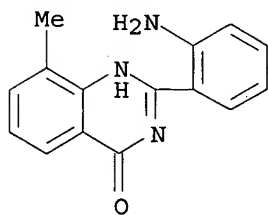
RN 92554-67-1 HCAPLUS

CN 4(1H)-Quinazolinone, 2-(2-aminophenyl)-6-methyl- (9CI) (CA INDEX NAME)



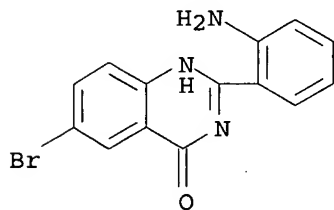
RN 92554-68-2 HCAPLUS

CN 4-Quinazolinol, 2-(o-aminophenyl)-8-methyl- (7CI) (CA INDEX NAME)



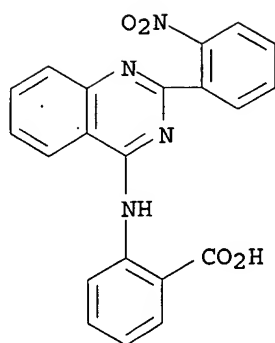
RN 93716-86-0 HCAPLUS

CN 4-Quinazolinol, 2-(o-aminophenyl)-6-bromo- (7CI) (CA INDEX NAME)



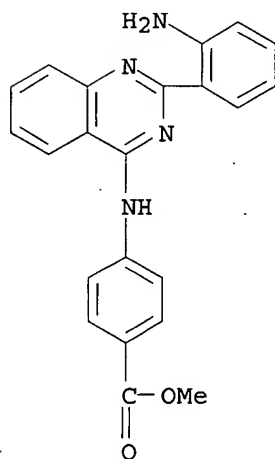
RN 94873-30-0 HCAPLUS

CN Anthranilic acid, N-[2-(o-nitrophenyl)-4-quinazolinyl]- (7CI) (CA INDEX NAME)



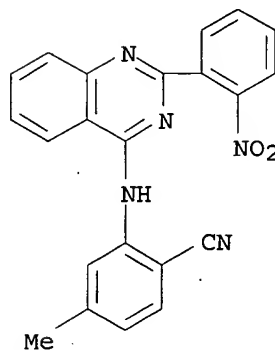
RN 95024-95-6 HCAPLUS

CN Benzoic acid, p-[[2-(o-aminophenyl)-4-quinazolinyl]amino]-, methyl ester (7CI) (CA INDEX NAME)



RN 95139-11-0 HCAPLUS

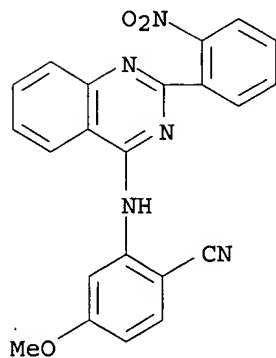
CN p-Tolunitrile, 2-[[2-(o-nitrophenyl)-4-quinazolinyl]amino]- (7CI) (CA INDEX NAME)



RN 95139-13-2 HCAPLUS

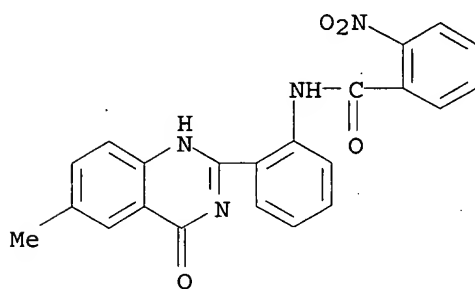
CN p-Anisonitrile, 2-[[2-(o-nitrophenyl)-4-quinazolinyl]amino]- (7CI) (CA

INDEX NAME)



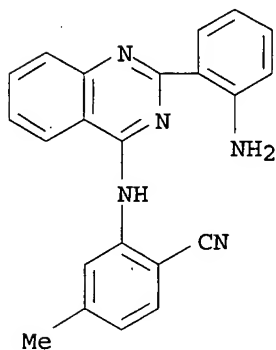
RN 95161-38-9 HCAPLUS

CN Benzanilide, 2'-(4-hydroxy-6-methyl-2-quinazolinyl)-2-nitro- (7CI) (CA INDEX NAME)



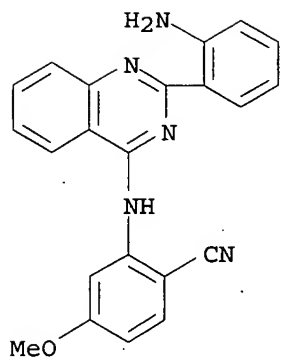
RN 95162-70-2 HCAPLUS

CN p-Tolunitrile, 2-[[2-(o-aminophenyl)-4-quinazolinyl]amino]- (7CI) (CA INDEX NAME)



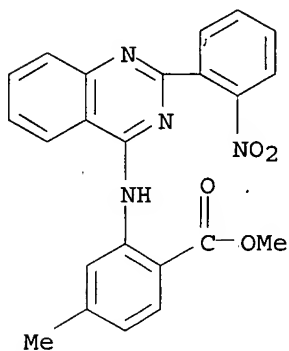
RN 95162-72-4 HCAPLUS

CN p-Anisonitrile, 2-[[2-(o-aminophenyl)-4-quinazolinyl]amino]- (7CI) (CA INDEX NAME)



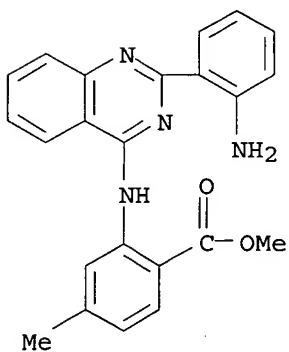
RN 95225-67-5 HCAPLUS

CN p-Toluic acid, 2-[[2-(o-nitrophenyl)-4-quinazolinyl]amino]-, methyl ester (7CI) (CA INDEX NAME)



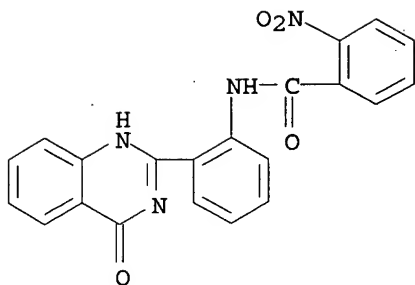
RN 95435-27-1 HCAPLUS

CN p-Toluic acid, 2-[[2-(o-aminophenyl)-4-quinazolinyl]amino]-, methyl ester (7CI) (CA INDEX NAME)

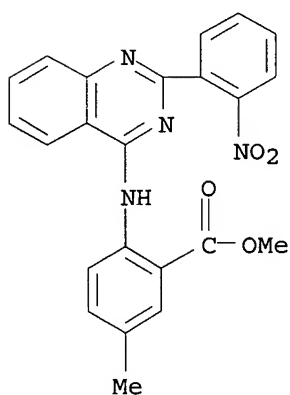


RN 95947-52-7 HCAPLUS

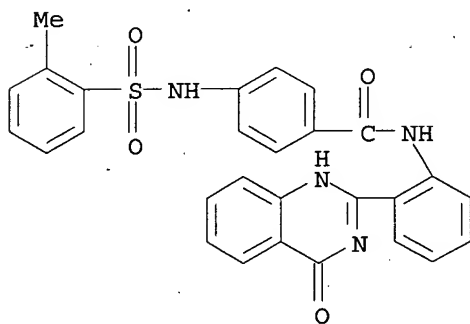
CN Benzanilide, 2'-(4-hydroxy-2-quinazolinyl)-2-nitro- (6CI, 7CI) (CA INDEX NAME)



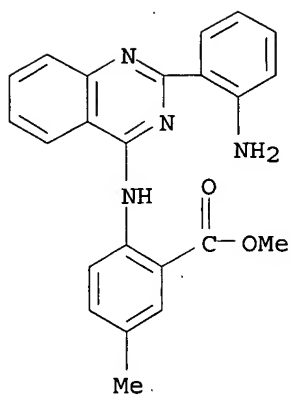
RN 96060-81-0 HCAPLUS
 CN m-Toluic acid, 6-[[2-(o-nitrophenyl)-4-quinazolinyl]amino]-, methyl ester
 (7CI) (CA INDEX NAME)



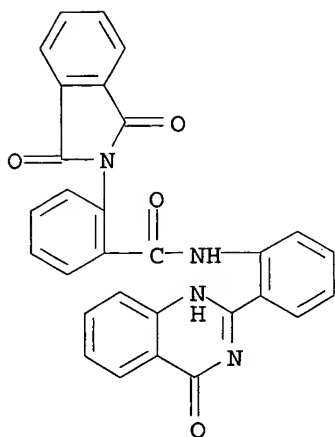
RN 96174-91-3 HCAPLUS
 CN Benzanilide, 2'-(4-hydroxy-2-quinazolinyl)-4-o-toluenesulfonamido- (7CI)
 (CA INDEX NAME)



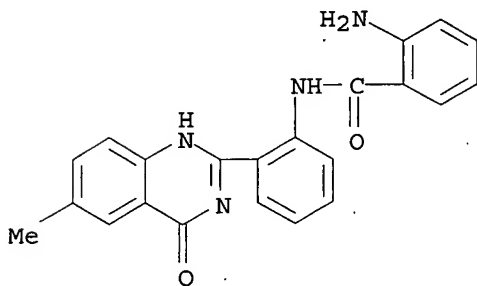
RN 96262-63-4 HCAPLUS
 CN m-Toluic acid, 6-[[2-(o-aminophenyl)-4-quinazolinyl]amino]-, methyl ester
 (7CI) (CA INDEX NAME)



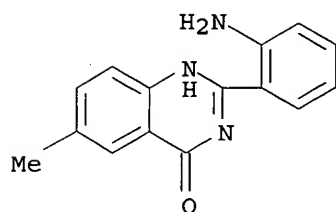
RN 96270-96-1 HCAPLUS
 CN Benzanilide, 2'-(4-hydroxy-2-quinazolinyl)-2-phthalimido- (7CI) (CA INDEX NAME)



RN 97296-43-0 HCAPLUS
 CN Benzanilide, 2-amino-2'-(4-hydroxy-6-methyl-2-quinazolinyl)- (7CI) (CA INDEX NAME)

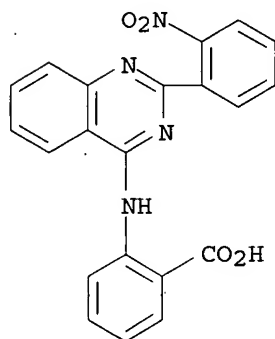


RN 97394-21-3 HCAPLUS
 CN 4-Quinazolinol, 2-(o-aminophenyl)-6-methyl-, hydrochloride (7CI) (CA INDEX NAME)



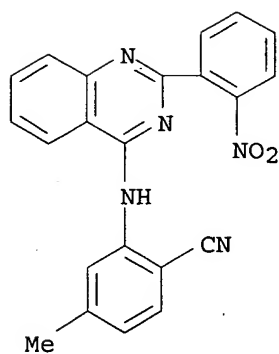
● HCl

RN 100088-90-2 HCAPLUS
CN Anthranilic acid, N-[2-(o-nitrophenyl)-4-quinazolinyl]-, hydrochloride
(7CI) (CA INDEX NAME)



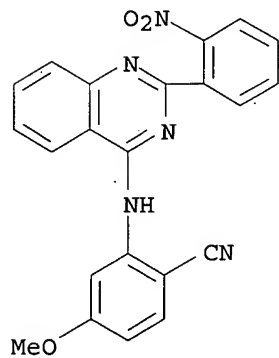
●x HCl

RN 100266-70-4 HCAPLUS
CN p-Tolunitrile, 2-[[2-(o-nitrophenyl)-4-quinazolinyl]amino]-, hydrochloride
(7CI) (CA INDEX NAME)



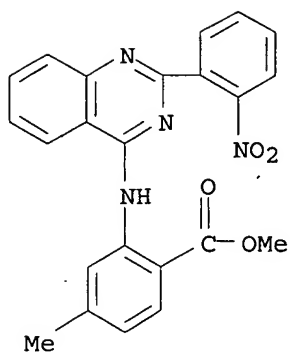
●x HCl

RN 100266-71-5 HCAPLUS
CN p-Anisonitrile, 2-[[2-(o-nitrophenyl)-4-quinazolinyl]amino]-, hydrochloride (7CI) (CA INDEX NAME)



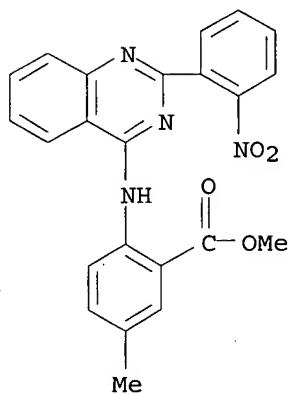
●x HCl

RN 100322-03-0 HCAPLUS
CN p-Toluic acid, 2-[[2-(o-nitrophenyl)-4-quinazolinyl]amino]-, methyl ester, hydrochloride (7CI) (CA INDEX NAME)



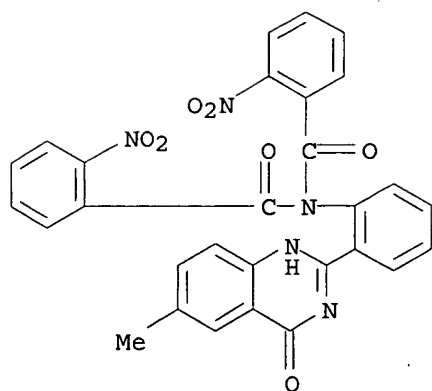
● HCl

RN 100410-65-9 HCAPLUS
CN m-Toluic acid, 6-[[2-(o-nitrophenyl)-4-quinazolinyl]amino]-, methyl ester, hydrochloride (7CI) (CA INDEX NAME)



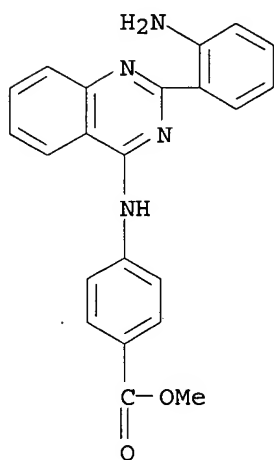
●x HCl

RN 102814-31-3 HCAPLUS
CN Dibenamide, N-[o-(4-hydroxy-6-methyl-2-quinazolinyl)phenyl]-2,2'-dinitro- (7CI) (CA INDEX NAME)



RN 104534-33-0 HCAPLUS

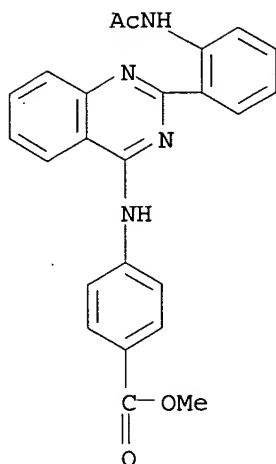
CN Benzoic acid, p-[[2-(o-aminophenyl)-4-quinazolinyl]amino]-, methyl ester, hydrochloride (7CI) (CA INDEX NAME)



● HCl

RN 107159-62-6 HCAPLUS

CN Benzoic acid, p-[[2-(o-acetamidophenyl)-4-quinazolinyl]amino]-, methyl ester (7CI) (CA INDEX NAME)



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ACCESSION NUMBER: 1954:18366 HCAPLUS

DOCUMENT NUMBER: 48:18366

ORIGINAL REFERENCE NO.: 48:3369a-g

TITLE: Antimalarials. I. Quinazoline series

AUTHOR(S): Dass, Ramji; Vig, O. P.; Gupta, I. S.; Narang, K. S.

SOURCE: Journal of Scientific & Industrial Research (

1952), 11B, 461-3

CODEN: JSIRAC; ISSN: 0022-4456

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

AB o-H₂NC₆H₄CONH₂ (I) was condensed with o- or p-ClC₆H₄COCl

(II), and the products cyclized to the quinazolines, and converted with PCl₅ and POCl₃ to the 4-Cl derivs. which were condensed with substituted aryl amines. I (4.4 g.) in 50 ml. C₆H₆ and 10 ml. C₅H₅N slowly treated with 5.0 g. II, the mixture warmed 20 min. on a H₂O bath, filtered, and the residue washed with Na₂CO₃ solution and crystallized from 70% EtOH gave 5 g. o-(o-chlorobenzamido)benzamide (III), m. 199.5°.

III (2 g.) in 20 ml. absolute EtOH treated with 0.5 g. KOH, the mixture heated 40 min., diluted with 200 ml. H₂O, cooled, filtered, and the filtrate acidified with HOAc, boiled, cooled, and filtered, gave 1.5 g. 2-(o-chlorophenyl)-4-quinazolinone (IV), m. 183° (from 40%

EtOH). PCl₅ (6 g.), 10 ml. POCl₃, and 2 g. IV refluxed 3 hrs., the P compds. removed by vacuum distillation, 15 ml. dry C₆H₆ added, then distilled

off,

the process repeated, and the product crystallized from 60-80° petr.

ether gave 1.0 g. 2-(o-chlorophenyl)-4-chloroquinazoline (V), m.

126°. V (1.0 g.) in 20 ml. dry C₆H₆ added to 1.05 g. PhCH₂NH₂, the mixture refluxed 1 hr., the C₆H₆ removed, the residue crystallized from EtOH containing HCl, the HCl salt washed with Et₂O and C₆H₆, dissolved in EtOH, treated with 2 ml. 1% KOH, and the product crystallized from 9% EtOH gave 1.2 g. 2-(o-chlorophenyl)-4-benzylaminoquinazoline, m. 188°.

Similarly were prepared the following 2-(o-chlorophenyl)quinazolines (4-substituent, m.p., and crystallization solvent given): p-toluidino,

170°, absolute EtOH; p-anisidino (HCl salt), 148°, diluted EtOH;

p-ethoxyanilino, 234-6°, absolute EtOH; o-toluidino (HCl salt),

174°, 60% EtOH; o-anisidino (HCl salt), 156°, 60%

EtOH; o-ethoxy, 146°, 80% EtOH; p-chloroanilino (HCl salt),

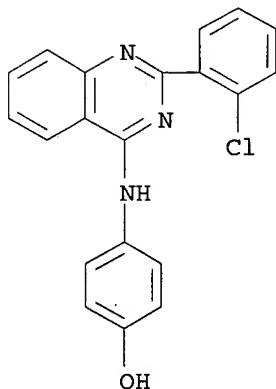
257°, 80% EtOH; p-bromoanilino, 197°, absolute EtOH;

p-hydroxyanilino (HCl salt), 304°, absolute EtOH; N-methyl-p-toluidino (HCl salt), 258°, absolute EtOH; N-ethyl-p-toluidino (HCl salt), 168.5°, 50% EtOH; N-methyl-o-toluidino (HCl salt), 163°, 40% EtOH; N-ethyl-o-toluidino (HCl salt), 174°, 50% EtOH; N-ethyl-p-methoxyanilino (HCl salt), 182-4°, diluted EtOH. 2-(p-Chlorophenyl)quinazolines (4-substituent, m.p., and crystallization solvent given): benzylamino (HCl salt), 300°, diluted EtOH; p-anisidino, 158°, 80% EtOH; p-ethoxyanilino, 105°, diluted EtOH; o-toluidino, 145°, absolute EtOH; o-anisidino (HCl salt), 270°, absolute EtOH; o-ethoxyanilino, 177°, diluted EtOH; p-chloroanilino, 197°, 70% MeOH; p-bromoanilino, 220°, C₆H₆; p-hydroxyanilino (HCl salt), 296°, absolute EtOH; N-methyl-p-toluidino, 170°, diluted EtOH; N-ethyl-p-toluidino-, 181°, absolute EtOH; N-methyl-o-toluidino-, 168°. Me₂CO; N-ethyl-o-toluidino, 120°, diluted EtOH; N-ethyl-p-anisidino, 124°, 60% EtOH; and p-toluidino, 148°, 90% EtOH.

IT 347366-40-9, Quinazoline, 2-[o-chlorophenyl]-4-p-hydroxyanilino-
347366-41-0, Quinazoline, 4-p-anisidino-2-(o-chlorophenyl)-
371218-83-6, Quinazoline, 4-o-anisidino-2-(o-chlorophenyl)-
446829-22-7, Quinazoline, 2-[p-chlorophenyl]-4-p-hydroxyanilino-
(hydrochlorides)

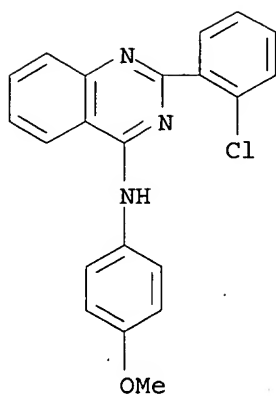
RN 347366-40-9 HCAPLUS

CN Phenol, 4-[[2-(2-chlorophenyl)-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



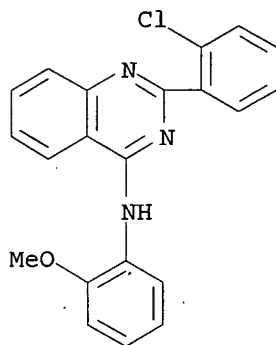
RN 347366-41-0 HCAPLUS

CN 4-Quinazolinamine, 2-(2-chlorophenyl)-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



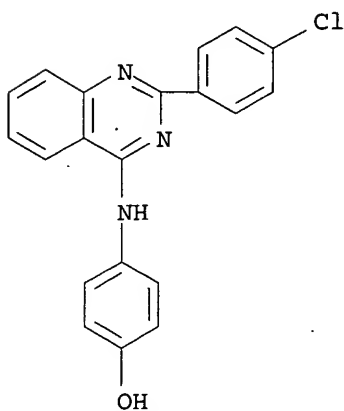
RN 371218-83-6 HCAPLUS

CN 4-Quinazolinamine, 2-(2-chlorophenyl)-N-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 446829-22-7 HCAPLUS

CN Phenol, 4-[[2-(4-chlorophenyl)-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



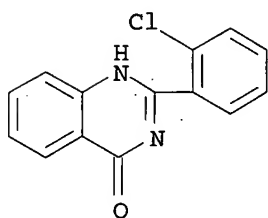
IT 4765-50-8, 4(1H)-Quinazolinone, 2-(o-chlorophenyl)-
329226-08-6, Quinazoline, 2-[o-chlorophenyl]-4-p-toluidino-

347366-42-1, Quinazoline, 2-[o-chlorophenyl]-4-p-phenetidino-
 371215-23-5, Quinazoline, 4-p-bromoanilino-2-[o-chlorophenyl]-
 371932-21-7, Quinazoline, 4-p-anisidino-2-(p-chlorophenyl)-
 371938-93-1, Quinazoline, 4-p-chloroanilino-2-(p-chlorophenyl)-
 371939-56-9, Quinazoline, 4-p-bromoanilino-2-[p-chlorophenyl]-
 371945-50-5, Quinazoline, 2-[p-chlorophenyl]-4-p-toluidino-
 420833-75-6, Quinazoline, 2-[o-chlorophenyl]-4-o-phenetidino-
 421573-59-3, Quinazoline, 2-[p-chlorophenyl]-4-p-phenetidino-
 421581-29-5, Quinazoline, 2-(p-chlorophenyl)-4-o-toluidino-
 451462-12-7, Quinazoline, 2-[p-chlorophenyl]-4-o-phenetidino-
 473800-21-4, Quinazoline, 2-(o-chlorophenyl)-4-o-toluidino-,
 hydrochloride

(preparation of)

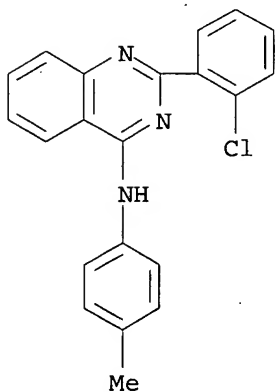
RN 4765-50-8 HCAPLUS

CN 4(1H)-Quinazolinone, 2-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



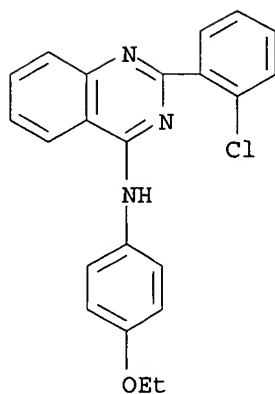
RN 329226-08-6 HCAPLUS

CN 4-Quinazolinamine, 2-(2-chlorophenyl)-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)

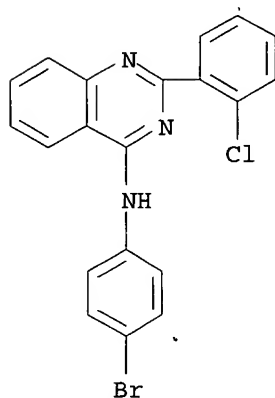


RN 347366-42-1 HCAPLUS

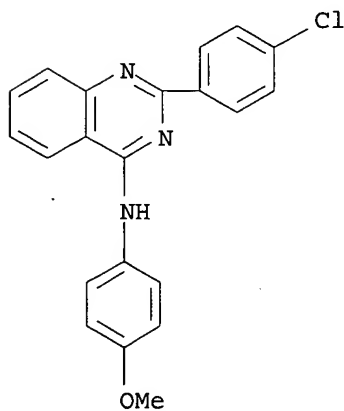
CN 4-Quinazolinamine, 2-(2-chlorophenyl)-N-(4-ethoxyphenyl)- (9CI) (CA INDEX NAME)



RN 371215-23-5 HCAPLUS
 CN 4-Quinazolinamine, N-(4-bromophenyl)-2-(2-chlorophenyl)- (9CI) (CA INDEX NAME)

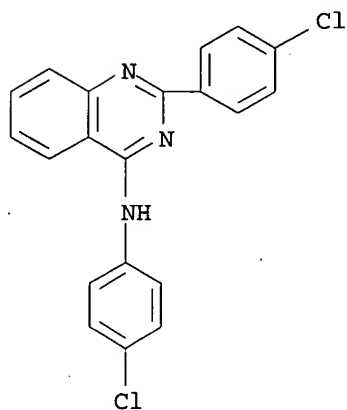


RN 371932-21-7 HCAPLUS
 CN 4-Quinazolinamine, 2-(4-chlorophenyl)-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



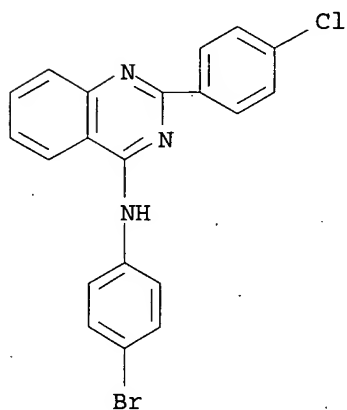
RN 371938-93-1 HCAPLUS

CN 4-Quinazolinamine, N,2-bis(4-chlorophenyl)- (9CI) (CA INDEX NAME)



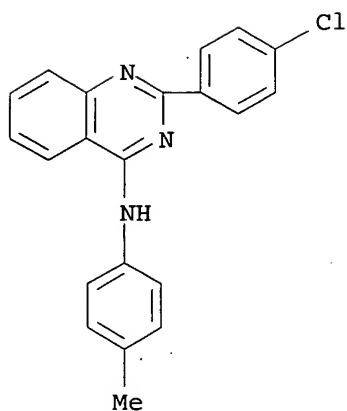
RN 371939-56-9 HCAPLUS

CN 4-Quinazolinamine, N-(4-bromophenyl)-2-(4-chlorophenyl)- (9CI) (CA INDEX NAME)

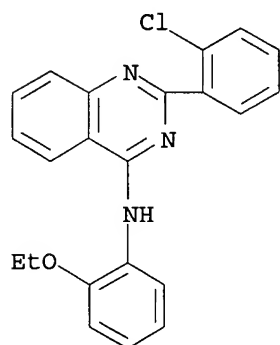


RN 371945-50-5 HCAPLUS

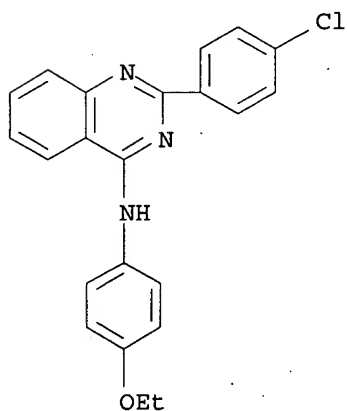
CN 4-Quinazolinamine, 2-(4-chlorophenyl)-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)



RN 420833-75-6 HCAPLUS
CN 4-Quinazolinamine, 2-(2-chlorophenyl)-N-(2-ethoxyphenyl)- (9CI) (CA INDEX NAME)

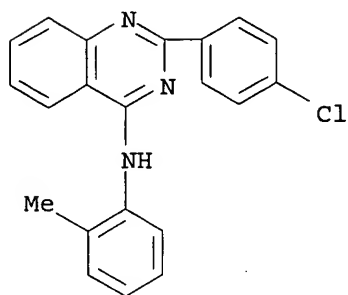


RN 421573-59-3 HCAPLUS
CN 4-Quinazolinamine, 2-(4-chlorophenyl)-N-(4-ethoxyphenyl)- (9CI) (CA INDEX NAME)



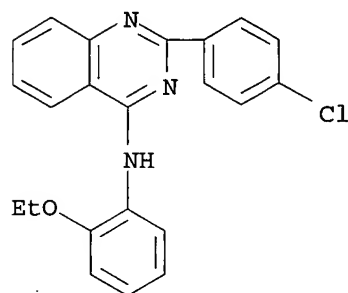
RN 421581-29-5 HCAPLUS

CN 4-Quinazolinamine, 2-(4-chlorophenyl)-N-(2-methylphenyl)- (9CI) (CA INDEX NAME)



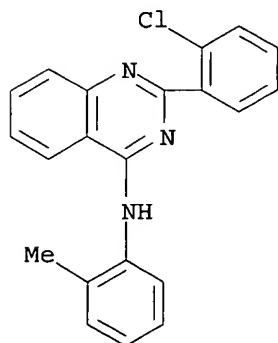
RN 451462-12-7 HCAPLUS

CN 4-Quinazolinamine, 2-(4-chlorophenyl)-N-(2-ethoxyphenyl)- (9CI) (CA INDEX NAME)



RN 473800-21-4 HCAPLUS

CN 4-Quinazolinamine, 2-(2-chlorophenyl)-N-(2-methylphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

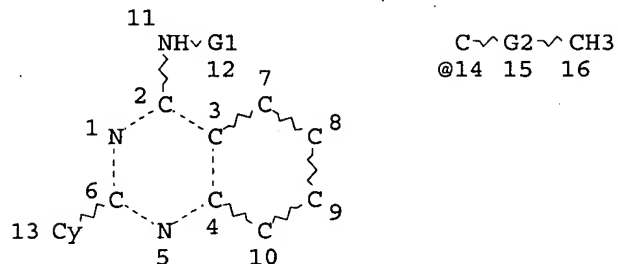


● HCl

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=> d stat que

L1 STR



VAR G1=14/ME/CB

REP G2=(0-6) C

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

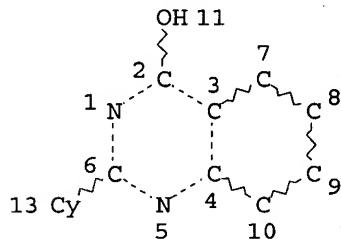
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE

L13 1834 SEA FILE=REGISTRY SSS FUL L1

L14 STR



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DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

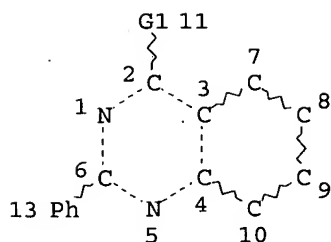
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NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L15 3071 SEA FILE=REGISTRY SSS FUL L14

L16 STR



VAR G1=N/OH
 NODE ATTRIBUTES:
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L17 1540 SEA FILE=REGISTRY SUB=L13 SSS FUL L1 NOT L16
 L18 2954 SEA FILE=REGISTRY SUB=L15 SSS FUL L14 NOT L16
 L19 112 SEA FILE=HCAPLUS ABB=ON PLU=ON L17
 L20 668 SEA FILE=HCAPLUS ABB=ON PLU=ON L18
 L22 88 SEA FILE=HCAPLUS ABB=ON PLU=ON L17/P
 L23 38 SEA FILE=HCAPLUS ABB=ON PLU=ON L20 AND L22
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 L25 78 SEA FILE=HCAPLUS ABB=ON PLU=ON L19 AND PD=<FEBRUARY 5, 1999
 L26 7 SEA FILE=HCAPLUS ABB=ON PLU=ON (L25 AND L20) NOT L24
 L27 14 SEA FILE=HCAPLUS ABB=ON PLU=ON (L25 AND (?DRUG? OR ?THERAP?
 OR ?MEDIC? OR ?PHARM? OR ?HYPERTEN? OR (BLOOD OR BLD) (W)PRESSUR
 E OR ?ANGINZ? OR ?CARDIA? OR HEART OR PLUMONARY)) NOT (L24 OR
 L26)
 L28 14 SEA FILE=HCAPLUS ABB=ON PLU=ON (L27 OR (L25 AND PULMONARY))
 NOT (L24 OR L26)

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L28 ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:703043 HCAPLUS

DOCUMENT NUMBER: 135:251985

TITLE: Compositions and kits comprising α -adrenergic
 receptor antagonists and nitric oxide donors and
 methods of use in the treatment of impotence

INVENTOR(S): Garvey, David S.; Schroeder, Joseph D.; Saenz de
 Tejada, Inigo

PATENT ASSIGNEE(S): NitroMed, Inc., USA

SOURCE: U.S., 37 pp., Cont.-in-part of U.S. 5,994,294.
 CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 9

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6294517	B1	20010925	US 1998-145143	19980901

US 5932538	A	19990803	US 1996-595732	19960202
US 5994294	A	19991130	US 1996-714313	19960918
WO 9727749	A1	19970807	WO 1997-US1294	19970128 <--
W: AU, CA, IL, JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6514934	B1	20030204	US 1999-280540	19990330
US 6323211	B1	20011127	US 1999-285048	19990402
US 6417162	B1	20020709	US 1999-306809	19990507
US 6433182	B1	20020813	US 1999-306805	19990507
CA 2339145	AA	20000309	CA 1999-2339145	19990901
WO 2000012075	A1	20000309	WO 1999-US20023	19990901
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9957016	A1	20000321	AU 1999-57016	19990901
AU 770414	B2	20040219		
EP 1109542	A1	20010627	EP 1999-944040	19990901
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002523449	T2	20020730	JP 2000-567193	19990901
US 6469065	B1	20021022	US 1999-387724	19990901
US 2002143007	A1	20021003	US 2002-146671	20020516
US 2005065161	A1	20050324	US 2004-951778	20040929

PRIORITY APPLN. INFO.:

US 1996-595732	A2	19960202
US 1996-714313	A2	19960918
WO 1997-US1294	A2	19970128
US 1998-145143	A3	19980901
WO 1999-US20023	W	19990901
US 2000-478222	B1	20000105

OTHER SOURCE(S): MARPAT 135:251985

AB The invention describes compns. and kits comprising α -adrenergic receptor antagonists and compds. that donate, transfer or release nitric oxide, elevate endogenous levels of endothelium-derived relaxing factor, or stimulate nitric oxide synthesis. In preferred embodiments, the α -adrenergic receptor antagonist is an alkaloid selected from rauwolfscine, corynanthine, yohimbine, apoyohimbine, yohimbol, pseudoyohimbine, and epi-3 α -yohimbine; and the compound that donates, transfers or releases nitric oxide, elevates endogenous levels of endothelium-derived relaxing factor, or stimulates nitric oxide synthesis is selected from L-arginine, S-nitroso-N-acetylcysteine, S-nitroso-captopril, S-nitroso-homocysteine, S-nitroso-cysteine, and S-nitroso-glutathione. In other embodiments, the invention describes compns. comprising yohimbine and L-arginine. In still other embodiments, the invention describes compns. comprising yohimbine and L-arginine where the yohimbine is derived from yohimbe bark or Rauwolfia root. The compns. of the invention are useful for treating impotence in males and females. Preparation of a variety of nitroso compds., e.g. N-(N-L- γ -glutamyl-S-Nitroso-L-cysteinyl)glycine, is described.

IT 194597-08-5P 194597-11-0P

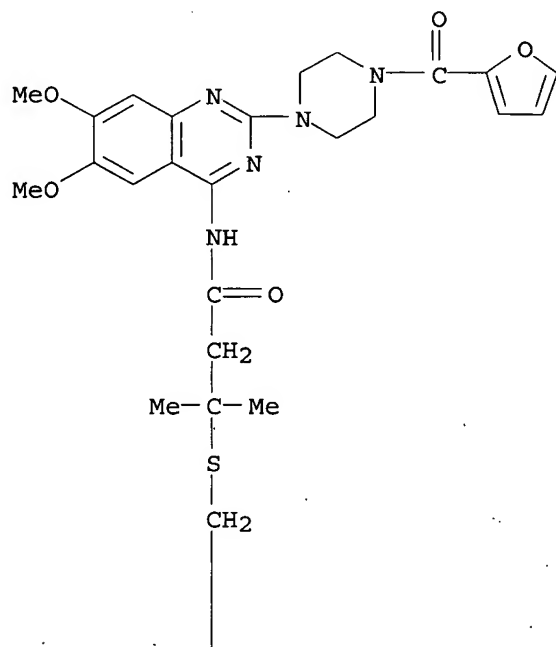
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction; α -adrenergic receptor antagonists and nitric oxide donors for treatment of impotence).

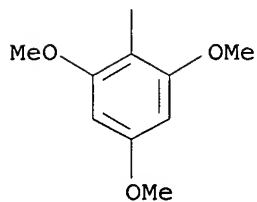
RN 194597-08-5 HCAPLUS

CN Butanamide, N-[2-[4-(2-furanylcarbonyl)-1-piperazinyl]-6,7-dimethoxy-4-quinazolinyl]-3-methyl-3-[[2,4,6-trimethoxyphenyl)methyl]thio]- (9CI)
(CA INDEX NAME)

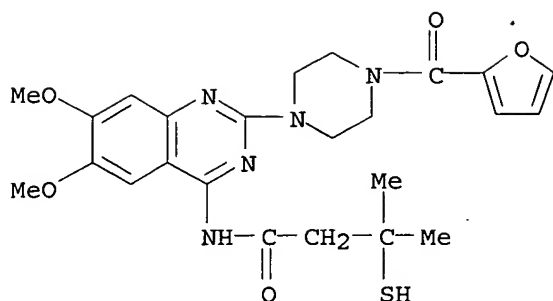
PAGE 1-A



PAGE 2-A



RN 194597-11-0 HCAPLUS
CN Butanamide, N-[2-[4-(2-furanylcarbonyl)-1-piperazinyl]-6,7-dimethoxy-4-quinazolinyl]-3-mercapto-3-methyl- (9CI) (CA INDEX NAME)



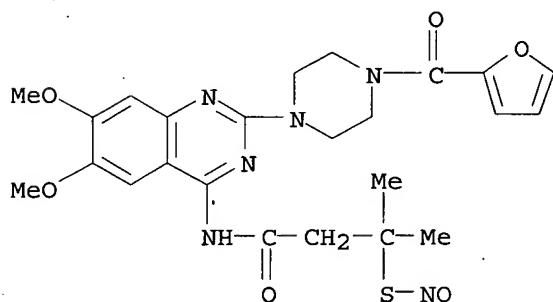
IT 194597-06-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(α -adrenergic receptor antagonists and nitric oxide donors for treatment of impotence)

RN 194597-06-3 HCAPLUS

CN Thionitrous acid (HNOS), S-[3-[[2-[4-(2-furanylcabonyl)-1-piperazinyl]-6,7-dimethoxy-4-quinazolinyl]amino]-1,1-dimethyl-3-oxopropyl] ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 60 THERE ARE 60 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:89741 HCAPLUS

DOCUMENT NUMBER: 130:276225

TITLE: Synthesis, Pharmacological Evaluation, and Structure-Activity Relationship and Quantitative Structure-Activity Relationship Studies on Novel Derivatives of 2,4-Diamino-6,7-dimethoxyquinazoline α 1-Adrenoceptor Antagonists

AUTHOR(S): Leonardi, Amedeo; Motta, Gianni; Boi, Carlo; Testa, Rodolfo; Poggesi, Elena; De Benedetti, Pier G.; Menziani, M. Cristina

CORPORATE SOURCE: Recordati S.p.A., Milan, 20148, Italy
SOURCE: Journal of Medicinal Chemistry (1999), 42(3), 427-437

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A new series of novel piperazine and non-piperazine derivs. of 2,4-diamino-6,7-dimethoxyquinazoline was synthesized and evaluated for binding affinity toward $\alpha 1$ -adrenergic and other G-protein-coupled aminergic receptors. The $\alpha 1$ -adrenoceptor (AR) subtype selectivity was also investigated for the most interesting compds. Only 1-(4-amino-6,7-dimethoxy-2-quinazolinyl)-4-[(2-isopropyl-6-methoxyphenoxy)acetyl]piperazine showed moderate selectivity toward the $\alpha 1b$ -AR subtype. Selected compds. were tested in vivo in a dog model indicating activity on **blood pressure** and on the lower urinary tract. 1-(4-Amino-6,7-dimethoxy-2-quinazolinyl)-4-(benzoylacetyl)piperazine showed in vivo potency close to that of prazosin. Powerful interpretative and predictive theor. QSAR models have been obtained. The theor. descriptors employed in the rationalization of the $\alpha 1$ -adrenergic binding affinity depict the key features for receptor binding which can be summarized in an electrostatic interaction between the protonated amine function and a primary nucleophilic site of the receptor, complemented by short-range attractive (polar and dispersive) and repulsive (steric) intermol. interactions. Moreover, on predictive grounds, the ad hoc derived size and shape QSAR model developed in a previous paper (Rastelli, G.; et al. J. Mol. Struct. 1991, 251, 307-318) proved to be successful in predicting nanomolar $\alpha 1$ -adrenergic binding affinity for 4-amino-6,7-dimethoxy-2-(1,2,3,4-tetrahydrobenz[f]isoquinolin-2-yl)quinazoline.

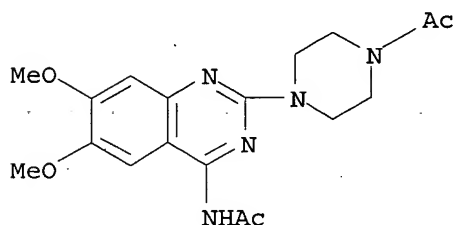
IT 222832-31-7P

RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)

(synthesis and **pharmacol.** evaluation and structure-activity relationship and quant. structure-activity relationship studies on novel derivs. of diaminodimethoxyquinazoline $\alpha 1$ -adrenoceptor antagonists)

RN 222832-31-7 HCAPLUS

CN Acetamide, N-[2-(4-acetyl-1-piperazinyl)-6,7-dimethoxy-4-quinazolinyl]- (9CI) (CA INDEX NAME)

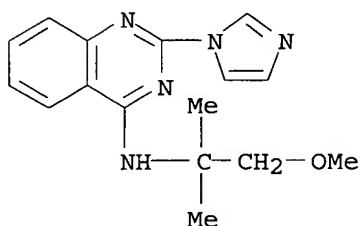


REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

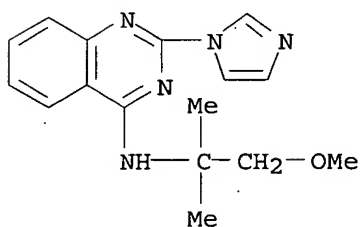
L28 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1998:226895 HCAPLUS
 DOCUMENT NUMBER: 128:304069
 TITLE: Inhibitors for nitric oxide formation
 INVENTOR(S): Taniguchi, Naoyuki; Nakai, Hisao
 PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	JP 10087492	A2	19980407	JP 1997-183227	19970625 <--
PRIORITY APPLN. INFO.:				JP 1996-164593	A 19960625
AB	Imidazolyl quinazoline, aminopyrimidine, and pyrimidine derivs. (Markush included) and their salts are claimed as inhibitors for nitric oxide formation for prevention and treatment of related diseases e.g. shock, hypotension, chronic rheumatism, ulcerative colitis, brain ischemia, tumor, insulin-dependent diabetes, etc. Examples of pharmaceutical tablets and injections were formulated.				
IT	157863-90-6 157863-91-7				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
	(inhibitors for nitric oxide formation for treatment of related diseases)				
RN	157863-90-6 HCAPLUS				
CN	4-Quinazolinamine, 2-(1H-imidazol-1-yl)-N-(2-methoxy-1,1-dimethylethyl)-(9CI) (CA INDEX NAME)				



RN 157863-91-7 HCAPLUS
 CN 4-Quinazolinamine, 2-(1H-imidazol-1-yl)-N-(2-methoxy-1,1-dimethylethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

L28 · ANSWER 4 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1997:532196 HCAPLUS
 DOCUMENT NUMBER: 127:200050
 TITLE: Nitrosated and nitrosylated α -adrenergic receptor antagonist compounds, preparation thereof, compositions containing them, and use in treatment of human impotence or erectile dysfunction

INVENTOR(S): Garvey, David S.; Schroeder, Joseph D.; Saenz De Tejada, Inigo
 PATENT ASSIGNEE(S): Nitromed, Inc., USA; Garvey, David S.; Schroeder, Joseph D.; Saenz De Tejada, Inigo
 SOURCE: PCT Int. Appl., 96 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 9
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9727749	A1	19970807	WO 1997-US1294	19970128 <--
W: AU, CA, IL, JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9717562	A1	19970822	AU 1997-17562	19970128 <--
AU 721247	B2	20000629		
JP 2000505424	T2	20000509	JP 1997-537755	19970128
EP 1018879	A1	20000719	EP 1997-904887	19970128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6294517	B1	20010925	US 1998-145143	19980901
US 6514934	B1	20030204	US 1999-280540	19990330
US 6323211	B1	20011127	US 1999-285048	19990402
US 6417162	B1	20020709	US 1999-306809	19990507
US 6433182	B1	20020813	US 1999-306805	19990507
PRIORITY APPLN. INFO.:			US 1996-595732	A 19960202
			US 1996-714313	A 19960918
			WO 1997-US1294	W 19970128
			US 1998-145143	A3 19980901

OTHER SOURCE(S): MARPAT 127:200050

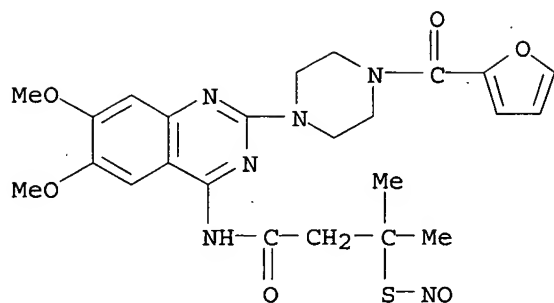
AB Disclosed are nitrosated and nitrosylated α -adrenergic receptor antagonists; compns. of an α -adrenergic receptor antagonist optionally substituted with ≥ 1 NO or NO₂ moiety, and a compound that donates, transfers, or releases nitric oxide as a charged species, i.e., nitrosonium or nitroxyl, or as the neutral species, nitric oxide; and uses for each of them in treating human impotence or erectile dysfunction. Preparation of compds. of the invention, e.g. N-(N-L- γ -glutamyl-S-nitroso-L-cysteinyl)glycine and 4-[2-(dimethylamino)ethoxy]-2-methyl-5-(1-methylethyl)phenol-(3-S-nitroso-3-methylbutyric acid)ester. The effect of selected compds. on erectile response in rabbits was determined

IT 194597-06-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (nitrosated and nitrosylated α -adrenergic receptor antagonist compds., preparation, compns., adrenergic antagonist-NO donor combinations, and use in treatment of human impotence or erectile dysfunction)

RN 194597-06-3 HCAPLUS

CN Thionitrous acid (HNOS), S-[3-[[2-[4-(2-furanylcarbonyl)-1-piperazinyl]-6,7-dimethoxy-4-quinazolinyl]amino]-1,1-dimethyl-3-oxopropyl] ester (9CI)
 (CA INDEX NAME)



IT 194597-08-5P 194597-11-0P

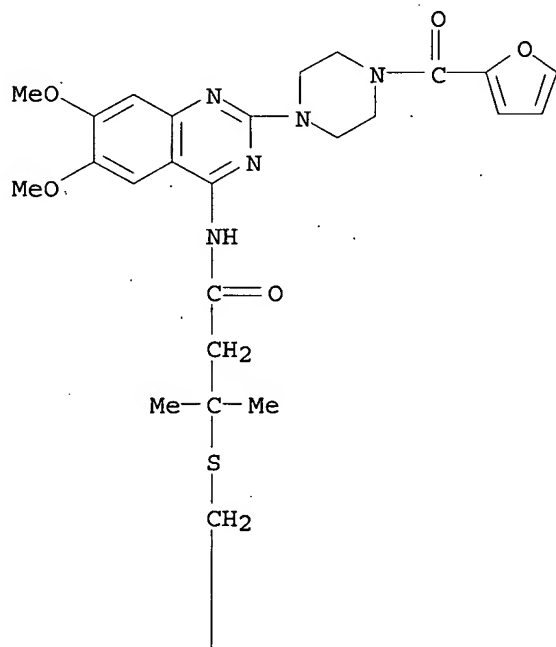
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

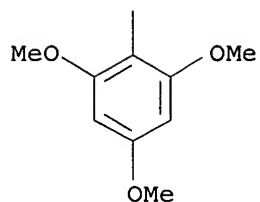
(preparation and reaction; nitrosated and nitrosylated α -adrenergic receptor antagonist compds., preparation, compns., adrenergic antagonist-NO donor combinations, and use in treatment of human impotence or erectile dysfunction)

RN 194597-08-5 HCAPLUS

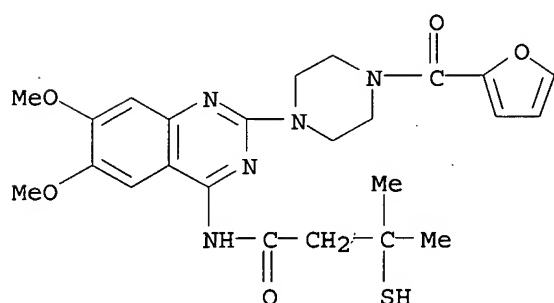
CN Butanamide, N-[2-[4-(2-furanylcarbonyl)-1-piperazinyl]-6,7-dimethoxy-4-quinazolinyl]-3-methyl-3-[[2,4,6-trimethoxyphenyl)methyl]thio]- (9CI)
(CA INDEX NAME)

PAGE 1-A

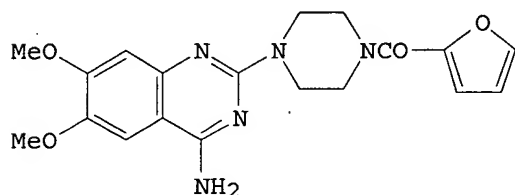




RN 194597-11-0 HCAPLUS
 CN Butanamide, N-[2-[4-(2-furanylcarbonyl)-1-piperazinyl]-6,7-dimethoxy-4-quinazolinyl]-3-mercapto-3-methyl- (9CI) (CA INDEX NAME)



L28 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1994:417836 HCAPLUS
 DOCUMENT NUMBER: 121:17836
 TITLE: Kinetics of rearrangement and hydrolysis of amino acid derivatives of prazosin
 AUTHOR(S): Pochopin, Nancy L.; Charman, William N.; Stella, Valentino J.
 CORPORATE SOURCE: Dep. Pharm. Chem., Univ. Kansas, Lawrence, KS, 66045, USA
 SOURCE: International Journal of Pharmaceutics (1994), 105(2); 169-76
 CODEN: IJPHDE; ISSN: 0378-5173
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



I

AB Amino acid amides of prazosin (I) have been synthesized as potential **prodrugs** to increase the water solubility of the parent compound and target peptidase enzymes for cleavage of the **prodrug** in vivo

(bioreversion). The α -amino acid derivs. degraded rapidly in aqueous solution at pH values >5 with half-lives on the order of 10-50 min. The rapid degradation of these derivs. was attributed to intramol. nucleophilic attack of the α -amine of the amino acid resulting in a rearranged product, not I. In the absence of a free α -amino group, greater stabilization was achieved and the primary route of degradation at all pH values was hydrolysis of the amide bond to give I.

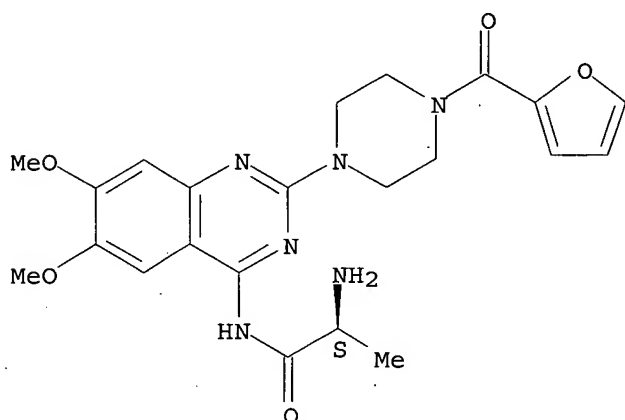
IT 155603-40-0P 155603-44-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and hydrolysis and rearrangement kinetics of, as prodrug)

RN 155603-40-0 HCAPLUS

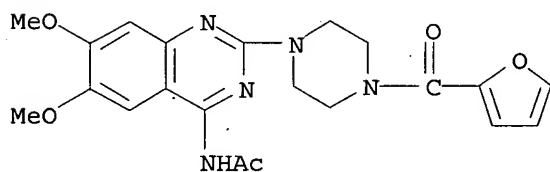
CN Propanamide, 2-amino-N-[2-[4-(2-furanylcarbonyl)-1-piperazinyl]-6,7-dimethoxy-4-quinazolinyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 155603-44-4 HCAPLUS

CN Acetamide, N-[2-[4-(2-furanylcarbonyl)-1-piperazinyl]-6,7-dimethoxy-4-quinazolinyl]- (9CI) (CA INDEX NAME)



L28 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1992:633965 HCAPLUS

DOCUMENT NUMBER: 117:233965

TITLE: Synthesis and antihypertensive activity of 1,4-disubstituted piperazines

AUTHOR(S): Abou-Zeid, K. A. M.; Youssef, K. M.; Amine, F. M.; Botros, S.

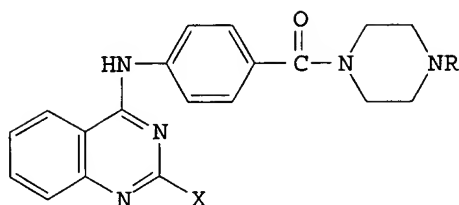
CORPORATE SOURCE: Fac. Pharm., Cairo Univ., Cairo, Egypt

SOURCE: Egyptian Journal of Pharmaceutical Sciences (1991), 32(1-2), 165-74

CODEN: EJPSBZ; ISSN: 0301-5068

DOCUMENT TYPE: Journal

LANGUAGE: English
OTHER SOURCE(S): CASREACT 117:233965
GI



II

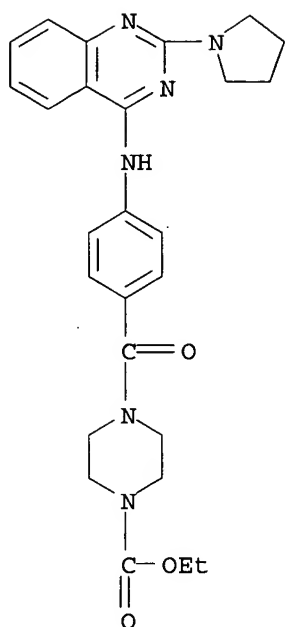
AB The synthesis of a new series of 1-aryl-4-[4(4-quinazolinylamino)benzoyl]piperazines was achieved. 2,4-Dichloroquinazoline was reacted with p-aminobenzoic acid to afford the key compound 2-chloro-4-(4-carboxyanilino)quinazoline (I), which was converted to the corresponding acid chloride with thionyl chloride followed by treatment with arylpiperazines to give the intermediate II (X = Cl). The latter was reacted with cyclic amines to yield the target compds. II (X = NR₂). In an alternative synthesis of II (X = NR₂), intermediate I was first reacted with cyclic amines to give 2-amino-4-(4-carboxyanilino)quinazoline (III). Reaction of III with 1-arylpiperazines afforded the desired compds. II (X = NR₂). The synthesized compds. showed no hypotensive activity as tested in anesthetized normotensive rabbits.

IT 144259-40-5P 144259-41-6P 144259-42-7P
144259-43-8P 144259-44-9P 144259-45-0P
144259-46-1P 144259-47-2P 144259-48-3P
144259-49-4P 144259-50-7P 144259-51-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 144259-40-5 HCAPLUS

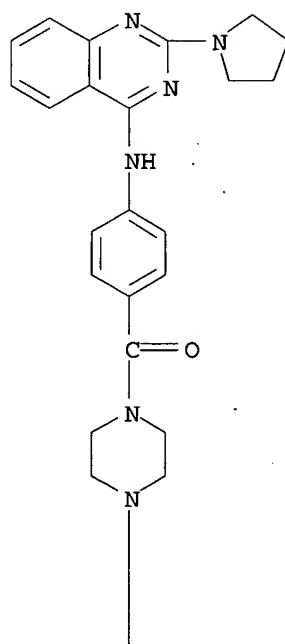
CN 1-Piperazinecarboxylic acid, 4-[4-[[2-(1-pyrrolidinyl)-4-quinazolinyl]amino]benzoyl]-, ethyl ester (9CI) (CA INDEX NAME)



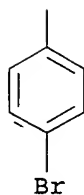
RN 144259-41-6 HCAPLUS

CN Piperazine, 1-(4-bromophenyl)-4-[4-[[2-(1-pyrrolidinyl)-4-quinazolinyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

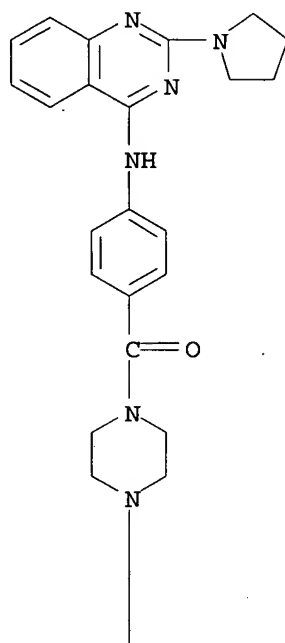


PAGE 2-A

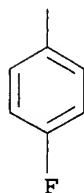


RN 144259-42-7 HCAPLUS
 CN Piperazine, 1-(4-fluorophenyl)-4-[4-[[2-(1-pyrrolidinyl)-4-quinazolinyl]amino]benzoyl] - (9CI) (CA INDEX NAME)

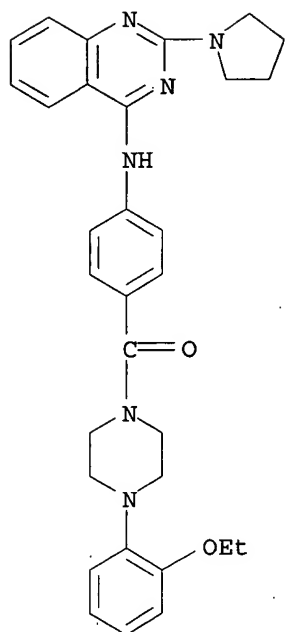
PAGE 1-A



PAGE 2-A



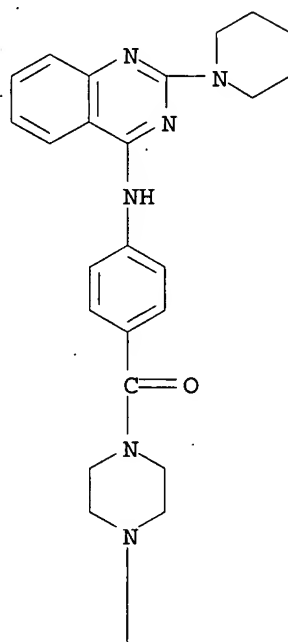
RN 144259-43-8 HCAPLUS
 CN Piperazine, 1-(2-ethoxyphenyl)-4-[4-[[2-(1-pyrrolidinyl)-4-quinazolinyl]amino]benzoyl] - (9CI) (CA INDEX NAME)



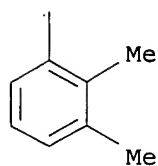
RN 144259-44-9 HCAPLUS

CN Piperazine, 1-(2,3-dimethylphenyl)-4-[4-[[2-(1-piperidinyl)-4-quinazolinyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

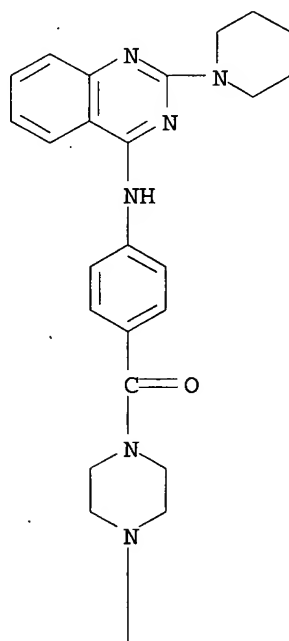


PAGE 2-A

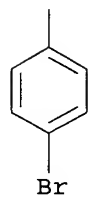


RN 144259-45-0 HCAPLUS
 CN Piperazine, 1-(4-bromophenyl)-4-[4-[[2-(1-piperidinyl)-4-quinazolinyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

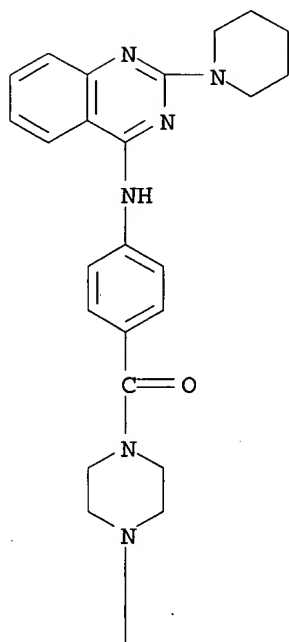


PAGE 2-A

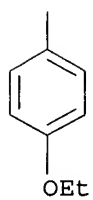


RN 144259-46-1 HCAPLUS
 CN Piperazine, 1-(4-ethoxyphenyl)-4-[4-[[2-(1-piperidinyl)-4-quinazolinyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

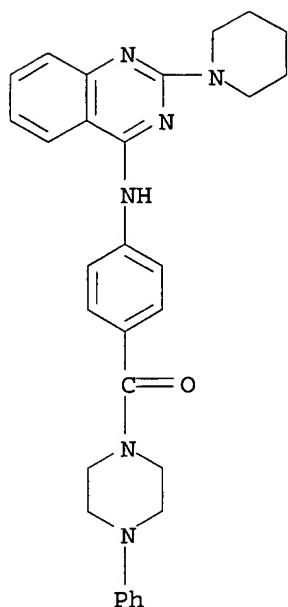
PAGE 1-A



PAGE 2-A

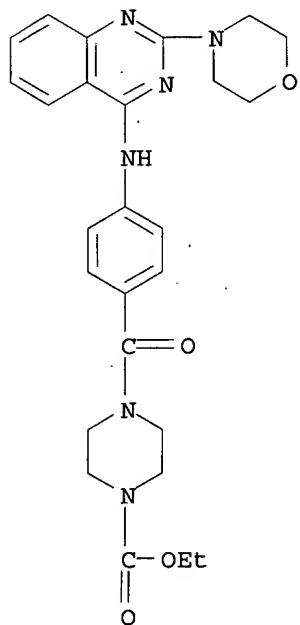


RN 144259-47-2 HCAPLUS
CN Piperazine, 1-phenyl-4-[4-[[2-(1-piperidinyl)-4-quinazolinyl]amino]benzoyl]- (9CI) (CA INDEX NAME)



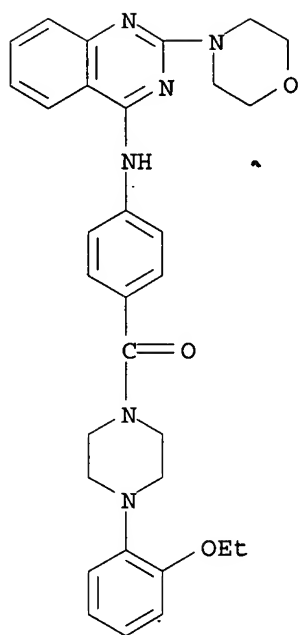
RN 144259-48-3 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[[2-(4-morpholinyl)-4-quinazolinyl]amino]benzoyl]-, ethyl ester (9CI) (CA INDEX NAME)



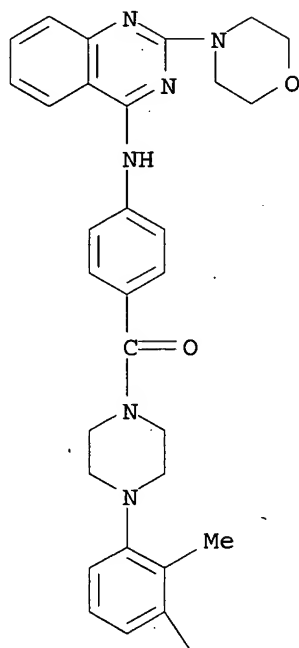
RN 144259-49-4 HCAPLUS

CN Piperazine, 1-(2-ethoxyphenyl)-4-[4-[[2-(4-morpholinyl)-4-quinazolinyl]amino]benzoyl]- (9CI) (CA INDEX NAME)



RN 144259-50-7 HCAPLUS
 CN Piperazine, 1-(2,3-dimethylphenyl)-4-[4-[[2-(4-morpholinyl)-4-quinazolinyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

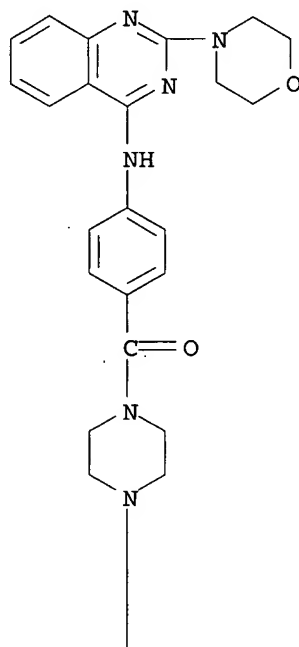


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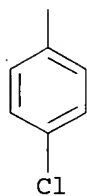
Me

RN 144259-51-8 HCAPLUS
 CN Piperazine, 1-(4-chlorophenyl)-4-[4-[[2-(4-morpholinyl)-4-quinazolinyl]amino]benzoyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



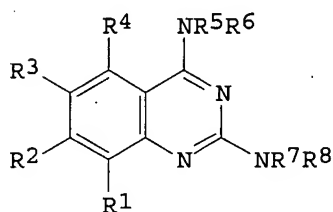
PAGE 2-A



L28 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1992:174164 HCAPLUS
 DOCUMENT NUMBER: 116:174164
 TITLE: Preparation of diaminoquinazoline derivatives as ulcer inhibitors
 INVENTOR(S): Ife, Robert J.; Brown, Thomas H.; Leach, Colin A.; Keeling, David J.
 PATENT ASSIGNEE(S): SmithKline Beecham Intercredit B. V., UK

SOURCE: U.S., 20 pp. Cont.-in-part of U.S. Ser. No. 467,075,
abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5064833	A	19911112	US 1990-520561	19900508 <--
ZA 9003479	A	19911224	ZA 1990-3479	19900508 <--
PRIORITY APPLN. INFO.:			US 1988-278064	B2 19881130
			GB 1989-10722	A 19890510
			US 1990-467075	B2 19900118
OTHER SOURCE(S):		MARPAT 116:174164		
GI				

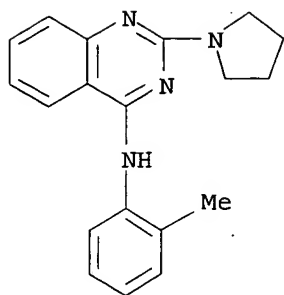


I

AB Substituted diaminoquinazoline derivs. (I: R1- R4 = H, C1-4 alkyl, C1-4 alkoxy, Ph, C1-4 alkylthio, etc.; R5, R6 = H, C1-4 alkyl; NR5R6 = piperidino, morpholino, imidazolyl, pyridyl, pyrrolidine ring; R7, R8 = H, C1-4; NR7R8 with N = piperidino, morpholino, imidazolyl, pyridyl, pyrrolidine ring) are inhibitors of H⁺/K⁺ATPase enzyme and useful for the inhibition of increased gastric acid secretion. Thus, 8-methoxy-4-(2-methylphenylamino)-2-chloroquinaxoline (preparation given) was heated with ethanolic ammonia for 3 h to obtain 2-amino-4-(2-methylphenylamino)-8-methoxyquinazoline (II). II at 10 μmol/kg inhibited pentagastrin-stimulated gastric acid secretion in rats by 60%. A tablet contained II 100, lactose 153, starch 33, crospovidone 12, microcryst. cellulose 30, Mg stearate 2 mg.

IT 124309-30-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of diaminoquinazoline derivative as
ulcer inhibitor)

RN 124309-30-4 HCAPLUS
CN 4-Quinazolinamine, N-(2-methylphenyl)-2-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

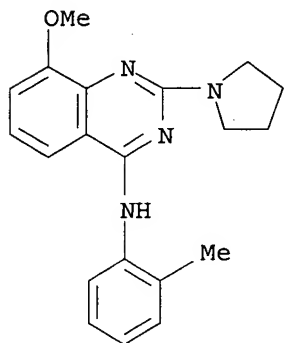


IT 124309-37-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as proton-potassium-activated ATPase inhibitor)

RN 124309-37-1 HCAPLUS

CN 4-Quinazolinamine, 8-methoxy-N-(2-methylphenyl)-2-(1-pyrrolidinyl)- (9CI)
(CA INDEX NAME)



L28 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1987:611447 HCAPLUS

DOCUMENT NUMBER: 107:211447

TITLE: Synthesis and pharmacological study of
prazosin analogs

AUTHOR(S): Volzhina, O. N.; Azimov, V. A.; Medvedev, B. A.;
Kazakov, A. A.; Zhikhareva, G. P.; Bondarenko, V. A.;
Yuzhakov, S. D.; Dolgun, O. V.; Mashkovskii, M. D.;
Yakhontov, L. N.

CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst., Moscow, USSR
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1987),
21(7), 802-7

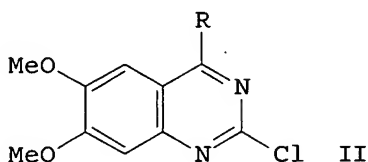
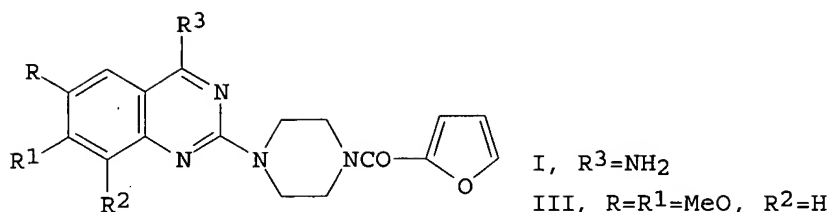
CODEN: KHFZAN; ISSN: 0023-1134

DOCUMENT TYPE: Journal

LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 107:211447

GI



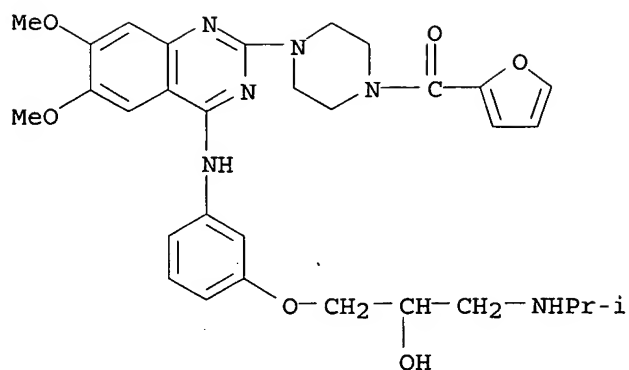
AB I ($R = 4, Br, \text{ or } NO_2$; $R^1 = H \text{ or } Cl$; $R^2 = H, Br, \text{ or } NO_2$) were prepared by the reaction of appropriately substituted aminobenzoic acids with urea followed by the conversion of the quinazoline-2,4-diones to 2,4-dichloroquinazolines, amine substitution and reaction with N-furylpiperazine. II [$R = OCH_2CH(OH)CH_2NHCHMe_2$ or $NHC_6H_4[OCH_2CH(OH)NHCHMe_2]-3$ (or 4)] were prepared by the reaction of 2,4-dichloro-6,7-dimethoxyquinazoline with 2-phenyl-3-isopropyl-5-hydroxymethyloxazolidine or 3 (or 4)-(3-isopropylamino-2-hydroxypropoxy)aniline. Further reaction of II with N-furylpiperazine gave III (R^3 is same as R for II). In anesthetized cats, I ($R = R^2 = H$, $R^1 = Cl$), III [$R^3 = OCH_2CH(OH)CH_2NHCHMe_2$ and $R^3 = NHC_6H_4[OCH_2CH(OH)CH_2NHCHMe_2-3$ (or 4)] at 0.5 or 5' mg/kg decreased the arterial **blood pressure** by 10-40% based on starting conditions. The duration of the action was ≤ 30 min. In anesthetized rats, the same compds. at comparatively higher doses caused hypotensive activity. These compds. showed α -adrenergic blocking activity in anesthetized cats and the duration was ≤ 30 min. Structure-activity relations are discussed.

IT 111218-81-6P 111218-82-7P 111218-84-9P
 111218-85-0P

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
 (preparation and **pharmacol.** of)

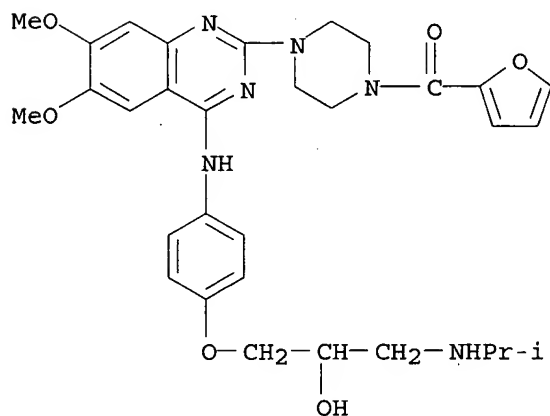
RN 111218-81-6 HCAPLUS

CN Piperazine, 1-(2-furanylcarbonyl)-4-[4-[[3-[2-hydroxy-3-[(1-methylethyl)amino]propoxy]phenyl]amino]-6,7-dimethoxy-2-quinazolinyl]-
 (9CI) (CA INDEX NAME)



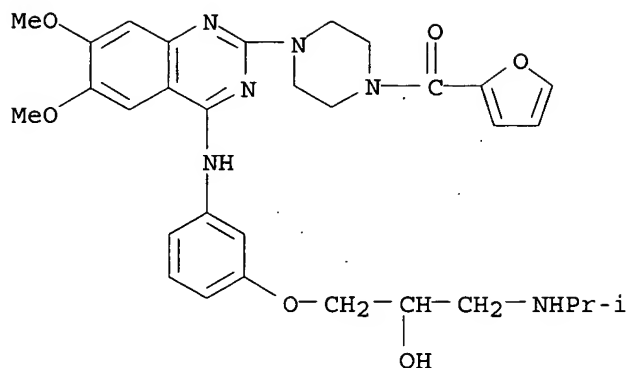
RN 111218-82-7 HCAPLUS

CN Piperazine, 1-(2-furanylcarbonyl)-4-[4-[[4-[2-hydroxy-3-[(1-methylethyl)amino]propoxy]phenyl]amino]-6,7-dimethoxy-2-quinazolinyl]-(9CI) (CA INDEX NAME)



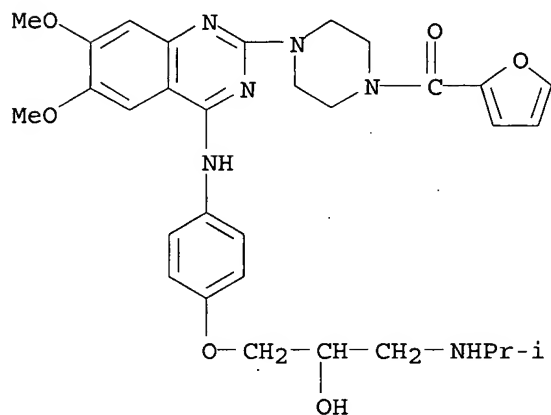
RN 111218-84-9 HCAPLUS

CN Piperazine, 1-(2-furanylcarbonyl)-4-[4-[[3-[2-hydroxy-3-[(1-methylethyl)amino]propoxy]phenyl]amino]-6,7-dimethoxy-2-quinazolinyl]-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

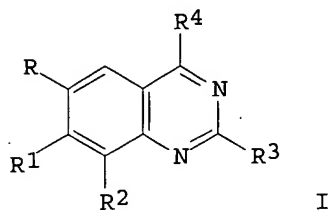
RN 111218-85-0 HCAPLUS
 CN Piperazine, 1-(2-furanylcarbonyl)-4-[4-[[4-[2-hydroxy-3-[(1-methylethyl)amino]propoxy]phenyl]amino]-6,7-dimethoxy-2-quinazolinyl]-, trihydrochloride (9CI) (CA INDEX NAME)



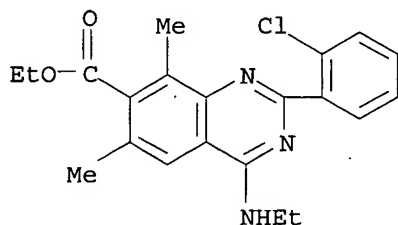
●3 HCl

L28 ANSWER 9 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1984:121102 HCAPLUS
 DOCUMENT NUMBER: 100:121102
 TITLE: Quinazoline derivatives
 PATENT ASSIGNEE(S): Showa Denko K. K., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 58172379	A2	19831011	JP 1982-53734	19820402 <--
PRIORITY APPLN. INFO.:			JP 1982-53734	19820402
OTHER SOURCE(S):	CASREACT 100:121102			
GI				



AB Thirteen quinazoline derivs. (I; R, R2 = alkyl; R1 = alkoxycarbonyl; R3 = H, alkyl, aryl; R4 = alkoxy, dialkylaminoalkoxy, 1-piperidinoalkoxy, H2N, etc.), effective **antihypertensives** at 100 µg/kg, were prepared
 Thus, 12 mL NH3-saturated EtOH was added to 200 mg chloro derivative I (R = R2
 = R3 = Me, R1 = EtO2C, R4 = Cl) in EtOH at 70° to give quant. amino derivative I (R4 = H2N, others same).
 IT 89200-76-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 89200-76-0 HCAPLUS
 CN 7-Quinazolinecarboxylic acid, 2-(2-chlorophenyl)-4-(ethylamino)-6,8-dimethyl-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

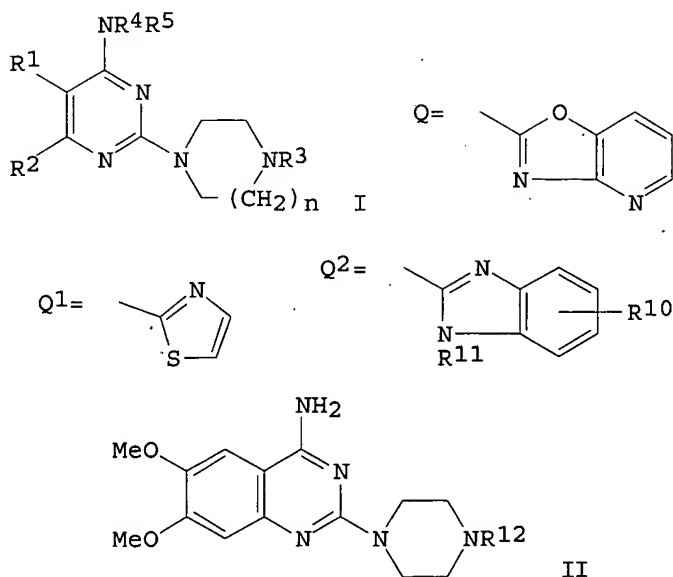
L28 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1983:34596 HCAPLUS
 DOCUMENT NUMBER: 98:34596
 TITLE: 2-(Piperazinyl)-4-pyrimidinamines
 INVENTOR(S): Rakhit, Sumanas; Bagli, Jehan F.
 PATENT ASSIGNEE(S): American Home Products Corp., USA
 SOURCE: U.S., 14 pp. Cont.-in-part of U.S. 4,333,937.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4351832	A	19820928	US 1981-245798	19810320 <--
US 4333937	A	19820608	US 1980-141548	19800418 <--
ZA 8102354	A	19821124	ZA 1981-2354	19810408 <--
CA 1152986	A1	19830830	CA 1981-375300	19810413 <--
WO 8103022	A1	19811029	WO 1981-US502	19810416 <--
W: AU, DK, HU, JP, SU				
RW: AT, CH, DE, FR, GB, LU, NL, SE				
EP 39190	A1	19811104	EP 1981-301719	19810416 <--
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AU 8170789	A1	19811110	AU 1981-70789	19810416 <--
JP 57500561	T2	19820401	JP 1981-501442	19810416 <--
EP 56027	A1	19820721	EP 1981-901112	19810416 <--
R: AT, CH, DE, FR, GB, LU, NL, SE				
DK 8105624	A	19811217	DK 1981-5624	19811217 <--
PRIORITY APPLN. INFO.:				
			US 1980-141548	A2 19800418
			US 1981-245798	A 19810320
			WO 1981-US502	A 19810416

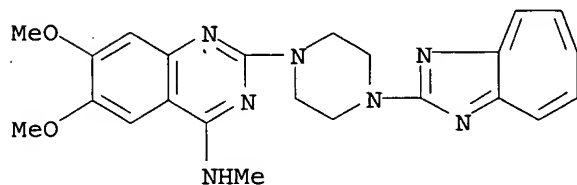
OTHER SOURCE(S): CASREACT 98:34596

GI



AB The antihypertensive (no data) title compds. I [R¹, R² = H, R¹R² = CR⁶:CR⁷:CR⁸:CR⁹ (R⁶-R⁹ = H, alkoxy; R³ = Q, Q¹, Q² (R¹⁰ = H, halo, alkyl, alkoxy, HO, 1-oxoalkoxy, amino, alkylamino, dialkylamino; R¹¹ = alkyl); R⁴, R⁵ = H, alkyl, n = 1, 2] and their therapeutically acceptable and addition salts were prepared Thus, 4-amino-6,7-dimethoxy-2-(1-piperazinyl)quinazoline-HCl was treated with 2-chlorobenzimidazole to give the piperazinoquinazolinamine II (R¹² = 2-benzimidazolyl). 2-Piperazinocycloheptimidazole-HCl prepared from methoxy-2,4,6-cyclohexatriene, was treated with 2-chloro-4-amino-6,7-

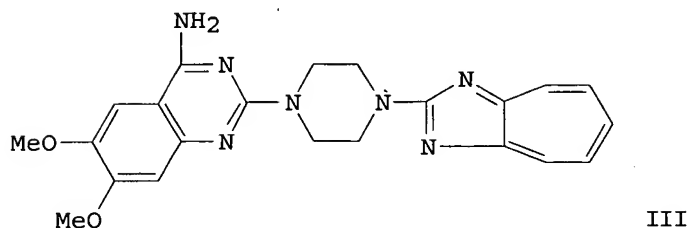
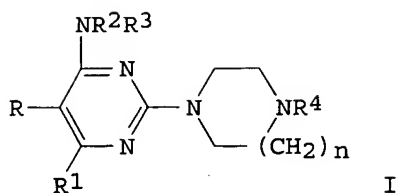
dimethoxyquinazoline to give II (R12 = 2-cycloheptimidazolyl).
 IT 80841-30-1P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 80841-30-1 HCAPLUS
 CN 4-Quinazolinamine, 2-[4-(2-cycloheptimidazolyl)-1-piperazinyll]-6,7-
 dimethoxy-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

L28 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1982:85588 HCAPLUS
 DOCUMENT NUMBER: 96:85588
 TITLE: 2-(1-Piperazinyll)-4-pyrimidinamines and related
 compounds
 INVENTOR(S): Rakhit, Sumanas; Bagli, Jehan Framroz
 PATENT ASSIGNEE(S): American Home Products Corp., USA
 SOURCE: Eur. Pat. Appl., 41 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 39190	A1	19811104	EP 1981-301719	19810416 <--
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4333937	A	19820608	US 1980-141548	19800418 <--
US 4351832	A	19820928	US 1981-245798	19810320 <--
AU 8170789	A1	19811110	AU 1981-70789	19810416 <--
JP 57500561	T2	19820401	JP 1981-501442	19810416 <--
DK 8105624	A	19811217	DK 1981-5624	19811217 <--
PRIORITY APPLN. INFO.:			US 1980-141548	A 19800418
			US 1981-245798	A 19810320
			WO 1981-US502	A 19810416
OTHER SOURCE(S):			CASREACT 96:85588	
GI				



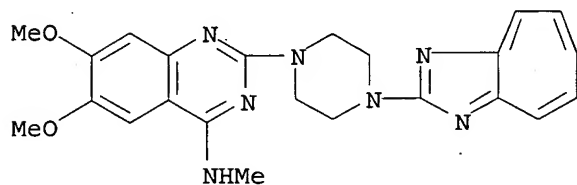
AB The title compds. I [R, R1 = H; RR1 = (un)substituted CH:CHCH:CH; R2, R3 = H, alkyl, R4 = (un)substituted pyridooxazolyl, thiazolyl, cycloheptimidazolyl, oxocycloheptyl, benzoxazolyl, benzothiazolyl, benzimidazolyl; n = 1,2] were prepared Thus, 2-(1-piperazinyl)cycloheptimidazole (II) was prepared by treating formylpiperazine with MeSC(:NH)NH2 and 2-methoxy-2,4,6-cycloheptatrienone, followed by deformylation. Treatment of II with 4-amino-2-chloro-6,7-dimethoxyquinazoline gave III, which at 1 mg/kg orally in rats gave a 20% decrease in **blood pressure**.

IT 80841-30-1P 80841-42-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 80841-30-1 HCAPLUS

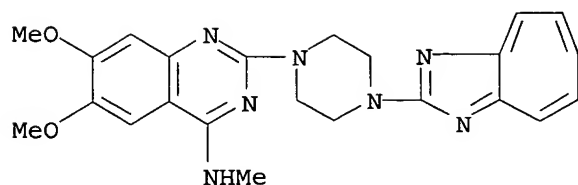
CN 4-Quinazolinamine, 2-[4-(2-cycloheptimidazolyl)-1-piperazinyl]-6,7-dimethoxy-N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 80841-42-5 HCAPLUS

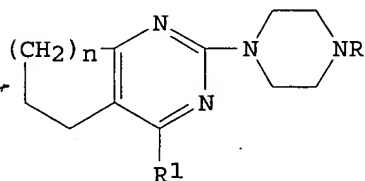
CN 4-Quinazolinamine, 2-[4-(2-cycloheptimidazolyl)-1-piperazinyl]-6,7-dimethoxy-N-methyl- (9CI) (CA INDEX NAME)



L28 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 1981:425129 HCAPLUS
 DOCUMENT NUMBER: 95:25129
 TITLE: **Pharmaceutical 5,6-alkylenepyrimidine derivatives**
 INVENTOR(S): Hiranuma, Hidetoshi; Mizogami, Susumu; Mori, Motokuni; Sekiya, Tetsuo; Kanayama, Toshiji; Hanatsuka, Mitsuo
 PATENT ASSIGNEE(S): Mitsubishi Yuka Pharmaceutical Co., Ltd., Japan
 SOURCE: Eur. Pat. Appl., 72 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 22481	A1	19810121	EP 1980-103456	19800620 <--
R: BE, DE, FR, GB, IT				
JP 56002968	A2	19810113	JP 1979-77582	19790621 <--
JP 56090070	A2	19810721	JP 1979-166792	19791224 <--
JP 63038997	B4	19880803		
US 4352928	A	19821005	US 1980-160080	19800616 <--
PRIORITY APPLN. INFO.:			JP 1979-77582	A 19790621
			JP 1979-166792	A 19791224

OTHER SOURCE(S): CASREACT 95:25129
 GI



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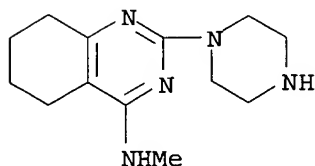
AB Piperazinopyrimidines I ($n = 1-3$; $R = H$, alkyl, optionally substituted CH_2Ph , acyl, thioacyl, carbamoyl, $PhSO_2$, heterocyclic; $R_1 = \text{amino, alkoxy, aryloxy}$) were prepared. Thus, 2-chloro-4-amino-5,6-tetramethylenepyrimidine was treated with *N*-formylpiperazine and deformed to give I ($R = H$, $R_1 = NH_2$, $n = 2$). At 30 mg/kg orally in mice I ($R = H$, $R_1 = NH_2$, $n = 2$) caused 67.8% decrease in blood sugar level and at 100 μM caused 100% inhibition of blood platelet aggregation. Other I had antiinflammatory and antidiabetic activity.

IT 76781-33-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and antidiabetic and platelet aggregation-inhibiting activity of)

RN 76781-33-4 HCAPLUS

CN 4-Quinazolinamine, 5,6,7,8-tetrahydro-N-methyl-2-(1-piperazinyl)- (9CI)
(CA INDEX NAME).



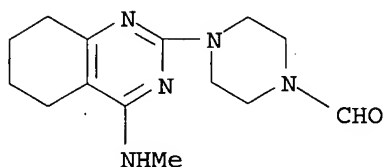
IT 76781-50-5P 76781-51-6P 76781-52-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deformylation of)

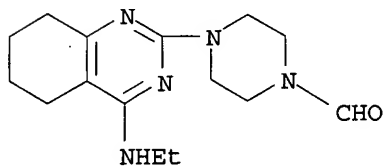
RN 76781-50-5 HCAPLUS

CN 1-Piperazinecarboxaldehyde, 4-[5,6,7,8-tetrahydro-4-(methylamino)-2-quinazolinyl]- (9CI) (CA INDEX NAME)



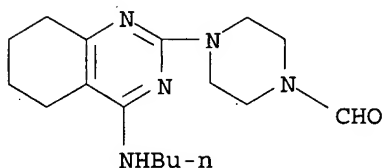
RN 76781-51-6 HCAPLUS

CN 1-Piperazinecarboxaldehyde, 4-[4-(ethylamino)-5,6,7,8-tetrahydro-2-quinazolinyl]- (9CI) (CA INDEX NAME)



RN 76781-52-7 HCAPLUS

CN 1-Piperazinecarboxaldehyde, 4-[4-(butylamino)-5,6,7,8-tetrahydro-2-quinazolinyl]- (9CI) (CA INDEX NAME)



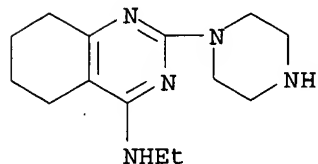
IT 76781-34-5P 78042-18-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 76781-34-5 HCAPLUS

CN 4-Quinazolinamine, N-ethyl-5,6,7,8-tetrahydro-2-(1-piperazinyl)- (9CI)
(CA INDEX NAME)



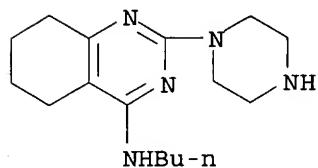
RN 78042-18-9 HCAPLUS

CN 4-Quinazolinamine, N-butyl-5,6,7,8-tetrahydro-2-(1-piperazinyl)-, compd.
with 2,4,6-trinitrophenol (9CI) (CA INDEX NAME)

CM 1

CRN 76781-36-7

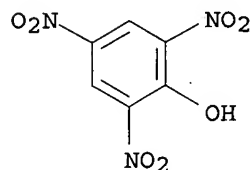
CMF C16 H27 N5



CM 2

CRN 88-89-1

CMF C6 H3 N3 O7



L28 ANSWER 13 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1981:139724 HCAPLUS

DOCUMENT NUMBER: 94:139724

TITLE: Pyrimidine derivatives I. Synthesis of hypoglycemic
2-piperazino-5,6-polymethylenepyrimidines

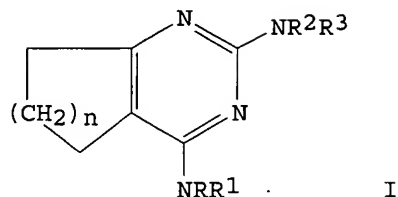
AUTHOR(S): Sekiya, Tetsuo; Hiranuma, Hidetoshi; Kanayama,
Toshiji; Hata, Shunsuke

CORPORATE SOURCE: Res. Lab., Mitsubishi Yuka Pharm. Co., Ltd., Ibaraki,
300-03, Japan

SOURCE: European Journal of Medicinal Chemistry (1980
, 15(4), 317-22

CODEN: EJMCAS; ISSN: 0009-4374

DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 94:139724
 GI



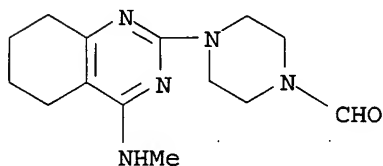
AB Cycloalkanopyrimidinediamines I ($n = 1-3$; $R = H$, $R_1 = H, Me, Et, Bu, CH_2CH_2OH$; $NRR_1 = NMe_2, NEt_2$, morpholino, pyrrolidino; $NR_2R_3 =$ pyrrolidino, piperidino, 4-benzylpiperidino, morpholino, optionally substituted piperazino) (36 compds.) were prepared by aminating dichlorocycloalkanopyrimidines, prepared by treating 2-ethoxycarbonylcycloalkanones with urea and chlorinating the resulting uracils. I had hypoglycemic activity which is most potent in I ($NR_2R_3 =$ optionally substituted piperazino). Some I also have blood platelet aggregation-inhibiting activity.

IT 76781-50-5P 76781-51-6P 76781-52-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and deformylation of)

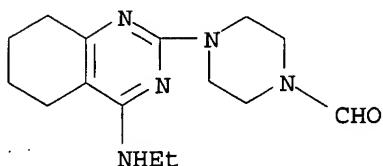
RN 76781-50-5 HCAPLUS

CN 1-Piperazinecarboxaldehyde, 4-[5,6,7,8-tetrahydro-4-(methylamino)-2-quinazolinyl]- (9CI) (CA INDEX NAME)



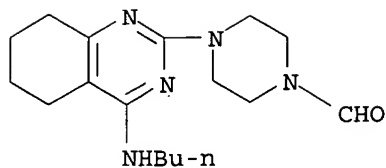
RN 76781-51-6 HCAPLUS

CN 1-Piperazinecarboxaldehyde, 4-[4-(ethylamino)-5,6,7,8-tetrahydro-2-quinazolinyl]- (9CI) (CA INDEX NAME)



RN 76781-52-7 HCAPLUS

CN 1-Piperazinecarboxaldehyde, 4-[4-(butylamino)-5,6,7,8-tetrahydro-2-quinazolinyl]- (9CI) (CA INDEX NAME)



IT 76781-35-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and hypoglycemic activity of)

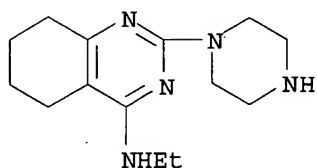
RN 76781-35-6 HCAPLUS

CN 4-Quinazolinamine, N-ethyl-5,6,7,8-tetrahydro-2-(1-piperazinyl)-, ethanedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 76781-34-5

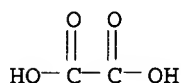
CMF C14 H23 N5



CM 2

CRN 144-62-7

CMF C2 H2 O4

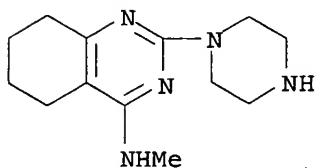


IT 76781-33-4P 76781-37-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and pharmacol. activity of)

RN 76781-33-4 HCAPLUS

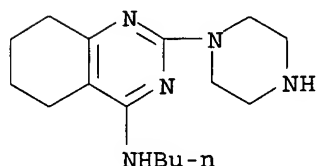
CN 4-Quinazolinamine, 5,6,7,8-tetrahydro-N-methyl-2-(1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 76781-37-8 HCAPLUS
 CN 4-Quinazolinamine, N-butyl-5,6,7,8-tetrahydro-2-(1-piperazinyl)-, compd.
 with 2,4,6-trinitrophenol (1:2) (9CI) (CA INDEX NAME)

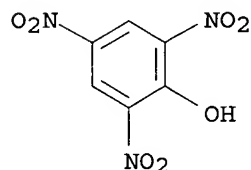
CM 1

CRN 76781-36-7
 CMF C16 H27 N5



CM 2

CRN 88-89-1
 CMF C6 H3 N3 O7



L28 ANSWER 14 OF 14 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1951:8788 HCAPLUS
 DOCUMENT NUMBER: 45:8788
 ORIGINAL REFERENCE NO.: 45:1600f-i,1601a-c
 TITLE: Furylquinazolines. I. 4-Substituted
 2-furylquinazolines
 AUTHOR(S): Andrisano, Renato; Modena, G.
 CORPORATE SOURCE: Univ. Bologna, Italy
 SOURCE: Gazzetta Chimica Italiana (1950), 80, 228-33
 CODEN: GCITA9; ISSN: 0016-5603
 DOCUMENT TYPE: Journal
 LANGUAGE: Unavailable

AB cf. following abstract In view of the plasmocidal action of quinazoline
 derivs. containing a pentylamine side chain (cf. Endicott, et al., C.A. 40,
 5748.3; Price, et al., C.A. 40, 5747.4), some 2-furylquinazoline derivs.
 were prepared to study their anti-malarial activity and the comparative
 influence on their pharmacol. properties of the Ph and furan
 ring in the quinazoline nucleus. o-H₂NC₆H₄CO₂Me (20 g.) and 20 g.
 OC₄H₃C(:NH)OEt [cf. Ber. 25, 1416(1892)], heated 3 hrs. at 210-20°,
 taken up in MeOH, filtered, and the residue purified by EtOH, yields 74%
 of 2-furyl-4-hydroxyquinazoline (I), m. 220°. Also, 10.3 g.
 o-H₂NC₆H₄CO₂H and 9.5 g. OC₄H₃C(:S)NH₂ [Hantzsch, Ber. 25,
 1314(1892)], heated at 150° until no more H₂S is evolved, and the
 product treated as before, yield approx. 74% I. I (10 g.) in 80 cc. POCl₃
 and 14 g. PCl₅, heated 100 min. (no temperature given), distilled in vacuo, the

residue neutralized with NH_4OH , mixed with ice water, and the crystallized product dried and extracted with C_6H_6 , yield 9 g. (80%) of 2-furyl-4-chloroquinazoline (II). Hydrolysis by 5% alc. KOH yields I. II (4.1 g.) and 5 g. $\text{H}_2\text{NCHMe}(\text{CH}_2)_3\text{NEt}_2$ in 60 cc. C_6H_6 , refluxed 3 hrs., made alkaline with Na_2CO_3 , and steam-distilled, leave a pasty residue which could

not

be crystallized even after distillation in vacuo (b16 286°). However, with alc. picric acid it formed, after purification by EtOH , a dipicrate, $\text{C}_{33}\text{H}_{34}\text{O}_{15}\text{N}_{10}$, m. 179°, and with H_3PO_4 a monohydrated diphosphate, $\text{C}_{21}\text{H}_{36}\text{O}_{10}\text{N}_4\text{P}_2$, m. 210°. The wts. of these corresponded to an almost 100% yield of 2-furyl-4-(4-diethylamino-1-methylbutylamino)quinazoline (III). III is also formed by the same procedure, but in the presence of PhOH without solvent. II (0.01 mol.) and alc. NaOMe (from 0.03 atom Na in 40 cc. MeOH), refluxed 1 hr., diluted with water, extracted with Et_2O , the extract evaporated, and the oil residue

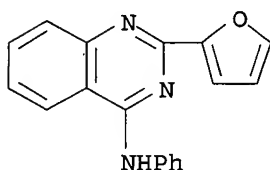
distilled

in vacuo (b16 212°), give, after purification by ligroin, a good yield of 2-furyl-4-methoxyquinazoline, m. 65°. II (0.01 mol.) and NaOPh (from 0.03 atom Na, 12 g. PhOH , and 20 cc. dioxane), refluxed 1 hr., poured into water, and NaOH added, give, after purification by ligroin, almost 100% of 2-furyl-4-phenoxyquinazoline (IV), m. 135°. Alc. II, treated while refluxing with anhydrous NH_3 for 1 hr., diluted with water, and the precipitate purified by EtOH , yields almost 100% 2-furyl-4-aminoquinazoline, m. 225°. II (0.01 mol.) in C_6H_6 and 0.02 mol. of arylamine in 40 cc. C_6H_6 , refluxed 1 hr., made alkaline with Na_2CO_3 , steam-distilled, and the residues purified by EtOH , yielded almost 100% of the following 2-furyl-4-(arylamino)quinazolines: NHPh , m. 115°; $\text{NHC}_6\text{H}_4\text{Me}$, m. 133°; $\text{NHC}_6\text{H}_4\text{OMe}$, m. 110°; $\text{NHC}_6\text{H}_4\text{OEt}$, m. 105°. The extreme reactivity of the Cl in II is similar to the behavior of Cl in 2,4,1-(O_2N) $2\text{C}_{10}\text{H}_5\text{Cl}$ (cf. Mangini and Frenguelli, C.A. 32, 1258.3) and the Cl in 4-chloroquinazoline (cf. Tomisek and Christensen, C.A. 32, 1259.1). This is in harmony with the theory of Bonino and the expts. of Mangini and Frenguelli (Atti accad. sci. Bologna [10] 1, 201(1944); C.A. 33, 5398.6), and of the **pharmacol.** expts. of Erlenmeyer (C.A. 41, 1671g) concerning the analogy between the heterocyclic N atom and the aromatic CNO_2 group, which, by strongly polarizing the electronic cloud in relation to the nuclear CCl group, increase the tendency toward replacement of the Cl.

IT 157863-04-2, Quinazoline, 4-anilino-2-(2-furyl)-
(preparation of)

RN 157863-04-2 HCAPLUS

CN 4-Quinazolinamine, 2-(2-furanyl)-N-phenyl- (9CI) (CA INDEX NAME)



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